Welcome to STN International! Enter x:x

```
LOGINID:ssspta1611sxp
PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2
* * * * * * * * * *
                     Welcome to STN International
NEWS 1
                 Web Page URLs for STN Seminar Schedule - N. America
NEWS 2 Apr 08
                "Ask CAS" for self-help around the clock
NEWS 3 Jun 03 New e-mail delivery for search results now available
NEWS 4 Aug 08 PHARMAMarketLetter(PHARMAML) - new on STN
NEWS 5 Aug 19 Aquatic Toxicity Information Retrieval (AQUIRE)
                 now available on STN
NEWS 6 Aug 26 Sequence searching in REGISTRY enhanced
NEWS 7 Sep 03 JAPIO has been reloaded and enhanced
NEWS 8 Sep 16 Experimental properties added to the REGISTRY file
NEWS 9 Sep 16 CA Section Thesaurus available in CAPLUS and CA
NEWS 10 Oct 01 CASREACT Enriched with Reactions from 1907 to 1985
NEWS 11 Oct 24 BEILSTEIN adds new search fields
NEWS 12 Oct 24 Nutraceuticals International (NUTRACEUT) now available on STN
NEWS 13 Nov 18 DKILIT has been renamed APOLLIT
NEWS 14 Nov 25 More calculated properties added to REGISTRY
NEWS 15 Dec 04 CSA files on STN
NEWS 16 Dec 17 PCTFULL now covers WP/PCT Applications from 1978 to date
NEWS 17
         Dec 17
                 TOXCENTER enhanced with additional content
NEWS 18
         Dec 17
                 Adis Clinical Trials Insight now available on STN
NEWS 19
         Jan 29
                 Simultaneous left and right truncation added to COMPENDEX,
                 ENERGY, INSPEC
NEWS 20 Feb 13 CANCERLIT is no longer being updated
NEWS 21 Feb 24 METADEX enhancements
NEWS 22 Feb 24 PCTGEN now available on STN
NEWS 23 Feb 24 TEMA now available on STN
NEWS 24 Feb 26 NTIS now allows simultaneous left and right truncation
NEWS 25 Feb 26 PCTFULL now contains images
NEWS 26 Mar 04 SDI PACKAGE for monthly delivery of multifile SDI results
NEWS 27 Mar 20 EVENTLINE will be removed from STN
NEWS 28 Mar 24 PATDPAFULL now available on STN
NEWS 29 Mar 24 Additional information for trade-named substances without
                 structures available in REGISTRY
NEWS 30 Apr 11 Display formats in DGENE enhanced
NEWS 31 Apr 14
                 MEDLINE Reload
NEWS 32 Apr 17
                 Polymer searching in REGISTRY enhanced
NEWS 33 Apr 21
                 Indexing from 1947 to 1956 being added to records in CA/CAPLUS
NEWS 34 Apr 21
                 New current-awareness alert (SDI) frequency in
                 WPIDS/WPINDEX/WPIX
                 RDISCLOSURE now available on STN
NEWS 35 Apr 28
NEWS 36 May 05 Pharmacokinetic information and systematic chemical names
                 added to PHAR
NEWS 37 May 15 MEDLINE file segment of TOXCENTER reloaded
NEWS 38 May 15 Supporter information for ENCOMPPAT and ENCOMPLIT updated
NEWS 39 May 16 CHEMREACT will be removed from STN
```

NEWS EXPRESS April 4 CURRENT WINDOWS VERSION IS V6.01a, CURRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP), AND CURRENT DISCOVER FILE IS DATED 01 APRIL 2003

NEWS HOURS STN Operating Hours Plus Help Desk Availability

NEWS INTER General Internet Information

NEWS LOGIN Welcome Banner and News Items

NEWS PHONE Direct Dial and Telecommunication Network Access to STN

NEWS WWW CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

FILE 'HOME' ENTERED AT 17:17:40 ON 18 MAY 2003

=> file reg COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 17:17:47 ON 18 MAY 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

COPYRIGHT (C) 2003 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 16 MAY 2003 HIGHEST RN 517103-55-8 DICTIONARY FILE UPDATES: 16 MAY 2003 HIGHEST RN 517103-55-8

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details: http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

Uploading 10077150.7

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS
L1 STR

Patel <5/18/2003>

Page 3

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.

=> d ll sss full

L1 HAS NO ANSWERS

'SSS FULL ' IS NOT A VALID STRUCTURE FORMAT KEYWORD

Structure Formats

SIA ---- Structure Image, Attributes, and map table if it contains data. (Default)

SIM ---- Structure IMage.

SAT ---- Structure ATtributes and map table if it contains data.

SCT ---- Structure Connection Table and map table if it contains

data.

SDA ---- All Structure DAta (image, attributes, connection table and map table if it contains data).

NOS ---- NO Structure data.

ENTER STRUCTURE FORMAT (SIM), NOS:end

=> s l1 sss full

FULL SEARCH INITIATED 17:18:55 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 791354 TO ITERATE

50.5% PROCESSED 400000 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

13138 ANSWERS

SEARCH TIME: 00.00.12

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**

> BATCH **INCOMPLETE**

PROJECTED ITERATIONS:

791354 TO 791354

PROJECTED ANSWERS:

25509 TO 26475

L2 13138 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE TOTAL

> ENTRY SESSION 148.95 149.16

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 17:19:20 ON 18 MAY 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 18 May 2003 VOL 138 ISS 21 FILE LAST UPDATED: 16 May 2003 (20030516/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 12

L3 2810 L2

=> s 13 quinixaline and pyridine
MISSING OPERATOR L3 QUINIXALINE
The search profile that was entered contains terms or
nested terms that are not separated by a logical operator.

=> s 13 and quinoxaline and pyrazolopyrimidine L9 0 L3 AND QUINOXALINE AND PYRAZOLOPYRIMIDINE

=> s l3 and quinoxaline and triazolopyrimidine L10 1 L3 AND QUINOXALINE AND TRIAZOLOPYRIMIDINE

=> s 13 benzoxadiazle and pyrimidine
MISSING OPERATOR L3 BENZOXADIAZ
The search profile that was entered contains terms or
nested terms that are not separated by a logical operator.

=> s 13 and benzothiadiazole L12 138 L3 AND BENZOTHIADIAZOLE

=> s l12 and triazine L14 2 L12 AND TRIAZINE

=> s l12 and imidazolopyrimidine L16 0 L12 AND IMIDAZOLOPYRIMIDINE

=> s 112 and pyrozolopyrimidine

10077150.7 Page 5 0 L12 AND PYROZOLOPYRIMIDINE => s 112 and triazolopyrimidine 0 L12 AND TRIAZOLOPYRIMIDINE => s 13 and benztriazole 6 L3 AND BENZTRIAZOLE => s 13 and benz-methyltriazole 0 L3 AND BENZ-METHYLTRIAZOLE => d his (FILE 'HOME' ENTERED AT 17:17:40 ON 18 MAY 2003) FILE 'REGISTRY' ENTERED AT 17:17:47 ON 18 MAY 2003 L1STRUCTURE UPLOADED L213138 S L1 SSS FULL FILE 'CAPLUS' ENTERED AT 17:19:20 ON 18 MAY 2003 L3 2810 S L2 L40 S L3 AND QUINIXALINE AND PYRIDINE L5 8 S L3 AND QUINOXALINE AND PYRIMIDINE 2 S L3 AND QUINOXALINE AND TRIAZINE L6 L7 0 S L3 AND QUINOXALINE AND PYRROLOPYRIMIDINE 0 S L3 AND QUINOXALINE AND IMIDAZOLOPYRIMIDINDE L8 0 S L3 AND QUINOXALINE AND PYRAZOLOPYRIMIDINE L9 1 S L3 AND QUINOXALINE AND TRIAZOLOPYRIMIDINE L10 L110 S L3 AND BENZOXADIAZLE AND PYRIMIDINE 138 S L3 AND BENZOTHIADIAZOLE L127 S L12 AND PYRIMIDINE L13 L142 S L12 AND TRIAZINE L15 0 S L12 AND PYRROLOPYRIMIDINE L16 0 S L12 AND IMIDAZOLOPYRIMIDINE L17 0 S L12 AND PYROZOLOPYRIMIDINE L18 0 S L12 AND TRIAZOLOPYRIMIDINE L19 6 S L3 AND BENZTRIAZOLE L20 0 S L3 AND BENZ-METHYLTRIAZOLE => s 13 and benzoxadiazole and pyrim idine 0 L3 AND BENZOXADIAZOLE AND PYRIM IDINE => s 13 and benzoxadiazole and pyrimidine 3 L3 AND BENZOXADIAZOLE AND PYRIMIDINE => s 13 and benzoxadiazole and triazine 2 L3 AND BENZOXADIAZOLE AND TRIAZINE => s 13 and pyrrolopyrimidine 3 L3 AND PYRROLOPYRIMIDINE => s 13 and imidazolopyrimidine

=> d his

Patel <5/18/2003>

0 L3 AND IMIDAZOLOPYRIMIDINE

(FILE 'HOME' ENTERED AT 17:17:40 ON 18 MAY 2003) FILE 'REGISTRY' ENTERED AT 17:17:47 ON 18 MAY 2003 L1 STRUCTURE UPLOADED L2 13138 S L1 SSS FULL FILE 'CAPLUS' ENTERED AT 17:19:20 ON 18 MAY 2003 2810 S L2 L3 L40 S L3 AND QUINIXALINE AND PYRIDINE L5 8 S L3 AND OUINOXALINE AND PYRIMIDINE 2 S L3 AND QUINOXALINE AND TRIAZINE L6 L70 S L3 AND QUINOXALINE AND PYRROLOPYRIMIDINE L80 S L3 AND QUINOXALINE AND IMIDAZOLOPYRIMIDINDE L9 0 S L3 AND QUINOXALINE AND PYRAZOLOPYRIMIDINE L10 1 S L3 AND QUINOXALINE AND TRIAZOLOPYRIMIDINE L110 S L3 AND BENZOXADIAZLE AND PYRIMIDINE L12 138 S L3 AND BENZOTHIADIAZOLE L13 7 S L12 AND PYRIMIDINE L142 S L12 AND TRIAZINE L15 0 S L12 AND PYRROLOPYRIMIDINE L16 0 S L12 AND IMIDAZOLOPYRIMIDINE L17 0 S L12 AND PYROZOLOPYRIMIDINE 0 S L12 AND TRIAZOLOPYRIMIDINE L18 6 S L3 AND BENZTRIAZOLE L19 L20 0 S L3 AND BENZ-METHYLTRIAZOLE L21 0 S L3 AND BENZOXADIAZOLE AND PYRIM IDINE L223 S L3 AND BENZOXADIAZOLE AND PYRIMIDINE L23 2 S L3 AND BENZOXADIAZOLE AND TRIAZINE L24 3 S L3 AND PYRROLOPYRIMIDINE L25 0 S L3 AND IMIDAZOLOPYRIMIDINE L26 3 S L3 AND TRIAZOLOPYRIMIDINE => d l5 fbib hitstr abs total L5 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2003 ACS AN 2002:790220 CAPLUS DN 137:294982 TIPreparation of piperazinylpyrazinyl aryloxyalkyl ethers as 5-HT2C receptor agonists IN Nilsson, Bjorn; Tejbrant, Jan; Pelcman, Benjamin; Ringberg, Erik; Thor, Markus; Nilsson, Jonas; Jonsson, Mattias PA Biovitrum AB, Swed. U.S., 45 pp., Cont.-in-part of U.S. Ser. No. 573,348, abandoned. SO CODEN: USXXAM DTPatent LΑ English FAN.CNT 2 PATENT NO. APPLICATION NO. DATE KIND DATE -----____ -----PΙ US 6465467 В1 US 2000-589282 20000608 20021015 SE 1999-1884 A 19990521 US 1999-137527PP 19990603 US 2000-573348 B220000519 US 2003092694 A1 20030515 US 2002-269670 20021011 SE 1999-1884 A 19990521 US 1999-137527PP 19990603 US 2000-573348 B220000519

US 2000-589282 A320000608

```
PATENT FAMILY INFORMATION:
    2000:900625
     PATENT NO.
                      KIND DATE
                                            APPLICATION NO. DATE
     -----
                      ____
                            _____
                                            -----
PΙ
     WO 2000076984
                       A2
                             20001221
                                            WO 2000-SE1017
                                                              20000519
     WO 2000076984
                      A3
                             20010208
         W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,
             CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL,
             IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI,
             SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
             CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                            SE 1999-1884
                                                          A 19990521
                                            US 1999-137527PP 19990603
     EP 1178973
                       A2
                             20020213
                                            EP 2000-931877 20000519
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO
                                            SE 1999-1884
                                                           A 19990521
                                            US 1999-137527PP 19990603
                                            WO 2000-SE1017 W 20000519
     BR 2000010783
                       Α
                             20020409
                                            BR 2000-10783
                                                              20000519
                                                           A 19990521
                                            SE 1999-1884
                                            US 1999-137527PP 19990603
                                            WO 2000-SE1017 W 20000519
     JP 2003502317
                       T2
                             20030121
                                            JP 2001-503842
                                                             20000519
                                            SE 1999-1884
                                                          A 19990521
                                            US 1999-137527PP 19990603
                                            WO 2000-SE1017 W 20000519
     NO 2001005686
                       Α
                             20020115
                                            NO 2001-5686
                                                              20011121
                                            SE 1999-1884
                                                           A 19990521
                                            US 1999-137527PP 19990603
                                            WO 2000-SE1017 W 20000519
OS
     MARPAT 137:294982
     313655-27-5P, 4-[2-[[3-(1-Piperazinyl)-2-pyrazinyl]oxy]ethoxy]-
IT
     2,1,3-benzothiadiazole Dihydrochloride 313655-31-1P,
     5-[2-[[3-(1-Piperazinyl)-2-pyrazinyl]oxy]ethoxy]quinoxaline
     Hydrochloride
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (prepn. of heterocyclylpyrazinyl aryloxyalkyl ether 5-HT2C receptor
        agonists from aryloxyalkanols, halopyrazines, and heterocycles)
RN
     313655-27-5 CAPLUS
CN
     2,1,3-Benzothiadiazole, 4-[2-[[3-(1-piperazinyl)pyrazinyl]oxy]ethoxy]-,
     dihydrochloride (9CI) (CA INDEX NAME)
```

10077150.7

Page 8

●2 HCl

● HCl

IT 313655-28-6P, tert-Butyl 4-[3-[2-(2,1,3-benzothiadiazol-4 yloxy)ethoxy]-2-pyrazinyl]-1-piperazinecarboxylate
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (prepn. of heterocyclylpyrazinyl aryloxyalkyl ether 5-HT2C receptor
 agonists from aryloxyalkanols, halopyrazines, and heterocycles)
RN 313655-28-6 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[3-[2-(2,1,3-benzothiadiazol-4-

yloxy)ethoxy]pyrazinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

GΙ

The title compds. (I) [wherein X and Y = independently O, S, or NR7; R and ΑB R1 = independently H, alkyl, or halo; or C2RR1 = optionally halo substituted benzene or thiophene; R2 = H, OH, or alkyl; R3, R4, and R5 = independently H or alkyl; R6 = H or alkyl; or CYR6R8 for a 5-6 membered heterocycle; R7 = H or alkyl, preferably Me or Et; R8 = (un)substituted (hetero)aryl; m and n = independently 1 or 2; or pharmaceutically acceptable salts, hydrates, geometric isomers, tautomers, optical isomers, N-oxides, and prodrugs thereof] were prepd. and tested as 5-HT2C receptor agonists. For instance, 2,3-dichloropyrazine and 2-phenoxyethanol were treated with t-BuONa in dioxane to give 2-chloro-3-(2phenoxyethoxy) pyrazine (62%). The halopyrazine, piperazine, and K2CO3 in MeCN were stirred and heated to afford the desired 2-(phenoxy)ethyl 3-(1-piperazinyl)-2-pyrazinyl ether (II) in 65% yield, which was then converted to the maleate salt. In competition expts., I showed affinity for 5-HT2C receptor protein with Ki values typically ranging from 1 ${\rm nM}$ to 1500 nM and specific values ranging from 5 nM to 377 nM for twelve compds.

Ι

I exhibited agonist efficacy at the 5-HT2C receptor by mobilizing intracellular Ca in transfected HEK293 cells with max. responses in the range of 20-100% relative to the max. response of 5-HT (serotonin) at a concn. of 1 .mu.M. Acute toxicity studies in mice following oral administration of I showed that mortality typically occurred at doses between 200 mg/kg to 450 mg/kg body wt. I are useful for the treatment of serotonin-related central nervous system disorders, such as eating disorders, memory disorders, schizophrenia, mood disorders, anxiety disorders, pain, sexual dysfunctions, and urinary disorders (no data).

RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L5
    ANSWER 2 OF 8 CAPLUS COPYRIGHT 2003 ACS
AN
     2002:754196 CAPLUS
DN
     137:257677
TΙ
     Methods of treating or preventing Alzheimer's disease using
     4-aryl-3-aralkoxypiperidines and -azabicyclooctanes
     Nieman, James A.; Fang, Lawrence; Jagodzinska, Barbara
IN
PA
     Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn Company
SO
     PCT Int. Appl., 449 pp.
     CODEN: PIXXD2
     Patent
DT
     English
LΑ
FAN.CNT 1
     PATENT NO.
                     KIND DATE
                                          APPLICATION NO. DATE
                      ----
                            -----
PΙ
     WO 2002076440
                      A2
                            20021003
                                           WO 2002-US9100
                                                            20020321
     WO 2002076440
                      A3
                            20021128
            AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
             TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                           US 2001-278371PP 20010323
                                           US 2001-308729PP 20010730
OS
    MARPAT 137:257677
ΙT
     188876-01-9P, Quinoxaline, 6-[[[4-[4-[3-[(2-
     methoxyphenyl)methoxy]propoxy]phenyl]-3-piperidinyl]oxy]methyl]-, trans-
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (methods of treating or preventing Alzheimer's and other diseases using
        4-aryl-3-aralkoxypiperidines and -azabicyclooctanes)
     188876-01-9 CAPLUS
RN
     Quinoxaline, 6-[[(3R,4R)-4-[4-[3-[(2-methoxyphenyl)methoxy]propoxy]phenyl]
CN
     ]-3-piperidinyl]oxy]methyl]-, rel- (9CI) (CA INDEX NAME)
```

Relative stereochemistry.

$$\begin{array}{c} O \\ CH_2) \\ \hline \\ O \\ \hline \\ N \\ \end{array}$$

CN 1-Piperidinecarboxylic acid, 4-[4-[3-[(2-methoxyphenyl)methoxy]propoxy]phe nyl]-3-(6-quinoxalinylmethoxy)-, 1,1-dimethylethyl ester, (3R,4R)-rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

GΙ

$$\mathbb{R}^{4}$$
 \mathbb{R}^{3}
 \mathbb{R}^{2}
 \mathbb{R}^{2}
 \mathbb{R}^{1}

$$\mathbb{R}^{4}$$
 $\mathbb{X}^{\mathbb{Z}_{n}\mathbb{R}^{1}}$
 $\mathbb{X}^{\mathbb{Z}_{n}\mathbb{R}^{1}}$

AΒ Disclosed are methods for treating or preventing Alzheimer's disease, and other diseases, and/or inhibiting .beta.-secretase enzyme, and/or inhibiting deposition of A beta peptide in a mammal, using 3,4-disubstituted piperidinyl compds. (I) wherein the variables R1, R2, R3, R4, Q, W, X, Z, m, and n are defined below. Although neither the compds. nor the methods of prepn. are claimed, .apprx.150 example prepns., translations from the German examples of patent WO 9709311, are included. I inhibit .beta.-secretase with IC50 < 50 .mu.M; compds. that are effective inhibitors of .beta.-secretase activity demonstrate reduced cleavage of the substrate as compared to a control. In I, R1 is aryl, heterocycle; R2 is Ph, naphthyl, acenaphthyl, cyclohexyl, pyridyl, pyrimidinyl, pyrazinyl, oxopyridinyl, diazinyl, triazolyl, thienyl, oxazolyl, oxadiazolyl, thiazolyl, pyrrolyl, or furyl, optionally substituted. R3 is: H, hydroxy, lower-alkoxy, or lower-alkenyloxy; R4 is: H, lower-alkyl, lower-alkenyl, lower-alkoxy, hydroxy-lower-alkyl, lower-alkoxy-lower-alkyl, benzyl, oxo, or where R3 and R4 together are a bond, or as specified in the claims. Q is: ethylene, or is absent; X is: a bond, -O-, -S-, -CH-R11- (R11 defined in claims), -CHOR9- (R9 defined in claims), -OCO, -CO-, or C:NOR10- (R10 is carboxyalkyl, alkoxycarbonylalkyl, alkyl or H), with the bond emanating from an O or S atom joining to a satd. C atom of group Z or to R1; W is: -O-, or -S-; Z is: lower-alkylene, lower-alkenylene, hydroxy-lower-alkylidene, -O-, -S-, -O-Alk- (Alk is a lower alkylene), -S-Alk-, -Alk-O-, or -Alk-S. N is: 1, or 0 or 1 when X is -0-CO; and where m is 0 or 1; with provisos.

```
L5 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2003 ACS
```

AN 2000:725471 CAPLUS

DN 133:281794

TI Preparation of aminopyrimidines as sorbitol dehydrogenase inhibitors

IN Chu-moyer, Margaret Yuhua; Murry, Jerry Anthony; Mylari, Banavara Lakshman; Zembrowski, William James

PA Pfizer Products Inc., USA

SO PCT Int. Appl., 328 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE ____ _____ -----PΙ WO 2000059510 A1 20001012 WO 2000-IB296 W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,

CG, CI,	CM, GA, GN, GW,	ML, MR, NE, SN, TD, TG
		US 1999-127437PP 19990401
NZ 514144	A 20010928	NZ 2000-514144 20000316
		US 1999-127437PP 19990401
BR 2000009433	A 20020115	BR 2000-9433 20000316
		US 1999-127437PP 19990401
		WO 2000-IB296 W 20000316
EP 1185275	A1 20020313	EP 2000-909565 20000316
		FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI,	LT, LV, FI, RO	
		US 1999-127437PP 19990401
		WO 2000-IB296 W 20000316
JP 2002541109	T2 20021203	JP 2000-609073 20000316
		US 1999-127437PP 19990401
		WO 2000-IB296 W 20000316
EE 200100509	A 20021216	
		US 1999-127437PP 19990401
		WO 2000-IB296 W 20000316
US 6414149	B1 20020702	US 2000-538039 20000329
		US 1999-127437PP 19990401
NO 2001004642	A 20011128	20020323
		US 1999-127437PP 19990401
DG 105000		WO 2000-IB296 W 20000316
BG 106038	A 20020628	200130
		US 1999-127437PP 19990401
110 0000065100		WO 2000-IB296 W 20000316
US 2003065179	A1 20030403	US 2002-87869 20020228
		US 1999-127437PP 19990401
MADDAM 122 001-0		US 2000-538039 A320000329
MARPAT 133:28179	14	

OS

ΙT 300551-69-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of aminopyrimidines as sorbitol dehydrogenase inhibitors)

RN300551-69-3 CAPLUS

CN2-Pyrimidinemethanol, 4-[5,6-dihydro-3-(6-quinoxalinyl)-1,2,4-triazolo[4,3a]pyrazin-7(8H)-yl]-.alpha.-methyl-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

GI

```
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *
AΒ
     The title compds. [I; R1 = CHO, COMe; COCH2Me, etc.; R2 = H, alkyl,
     alkoxy; R3 = II-IV, etc.; R23 = CONR25R26, SO2NR25R26 (wherein R25 = H,
     alkyl, arylalkylenyl; R26 = arylalkylenyl); R24 = H, alkyl,
     alkoxycarbonyl, etc.; R27 = H, alkyl; R28, R29 = H, OH, halo, etc.],
     sorbitol dehydrogenase inhibitors (no data) which are useful in treating
     or preventing diabetic complications, particularly diabetic neuropathy,
     diabetic nephropathy, diabetic microangiopathy, diabetic macroangiopathy
     and diabetic cardiomyopathy, were prepd. and formulated. E.g., a
     multi-step synthesis of the pyrimidine (R)-V, was given. This
     invention is also directed to pharmaceutical compns. comprising a
     combination of the compd. I with an aldose reductase inhibitor and to
     methods of treating or preventing diabetic complications therewith.
     invention is also directed to pharmaceutical compns. comprising a
     combination of the compd. I with an NHE-1 inhibitor and to methods of
     treating cardiomyopathy and other heart-related problems therewith.
               THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE.CNT 5
              ALL CITATIONS AVAILABLE IN THE RE FORMAT
     ANSWER 4 OF 8 CAPLUS COPYRIGHT 2003 ACS
L5
AN
     1999:595180 CAPLUS
DN
     131:214301
TI
     Preparation of bicyclic heterocyclic amides as modulators of protein
     tyrosine phosphatases (PTPases)
IN
     Andersen, Henrik Sune; Jones, Todd Kevin; Holsworth, Daniel Dale
PΑ
     Novo Nordisk A/S, Den.; Ontogen Corporation
SO
     PCT Int. Appl., 81 pp.
     CODEN: PIXXD2
DT
     Patent
     English
LΑ
FAN.CNT 5
     PATENT NO.
                     KIND DATE
                                            APPLICATION NO. DATE
                     ----
                                              ______
PΙ
     WO 9946268
                       A1
                              19990916
                                              WO 1999-DK124
                                                               19990311
         W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,
             DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,
             JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU,
             TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
              CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                              DK 1998-346
                                                              A 19980312
                                              DK 1998-347
                                                              A 19980312
                                              DK 1998-348
                                                              A 19980312
                                              DK 1998-474
                                                              A 19980403
                                              DK 1998-475
                                                              A 19980403
```

US 2002019412

A1

20020214

A 19980403

A 19980312

A 19980312

19990309 A 19980312

DK 1998-476

DK 1998-346 DK 1998-347

DK 1998-348

US 1999-265316

```
A 19980403
                                            DK 1998-474
                                            DK 1998-475
                                                         A 19980403
                                            DK 1998-476
                                                         A 19980403
                                            US 1998-82365P P 19980420
                                            US 1998-82371P P 19980420
                                            US 1998-82373P P 19980420
     AU 9928258
                      A1
                            19990927
                                            AU 1999-28258
                                                             19990311
                                                          A 19980312
                                            DK 1998-346
                                                          A 19980312
                                            DK 1998-347
                                            DK 1998-348
                                                        A 19980312
                                            DK 1998-474
                                                        A 19980403
                                            DK 1998-475
                                                         A 19980403
                                            DK 1998-476
                                                          A 19980403
                                            WO 1999-DK124 W 19990311
     EP 1062218
                      A1
                            20001227
                                            EP 1999-908770 19990311
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI
                                            DK 1998-346 A 19980312
                                                          A 19980312
                                            DK 1998-347
                                            DK 1998-348
                                                         A 19980312
                                            DK 1998-474
                                                          A 19980403
                                            DK 1998-475
                                                          A 19980403
                                                          A 19980403
                                            DK 1998-476
                                            WO 1999-DK124 W 19990311
     JP 2002506073 T2
                          20020226
                                            JP 2000-535646 19990311
                                                         A 19980312
                                            DK 1998-346
                                                          A 19980312
                                            DK 1998-347
                                            DK 1998-348
                                                        A 19980312
                                            DK 1998-474
                                                        A 19980403
                                            DK 1998-475
                                                        A 19980403
                                            DK 1998-476
                                                        A 19980403
                                            WO 1999-DK124 W 19990311
     ZA 9902038 A
                            19990927
                                            ZA 1999-2038
                                                           19990312
       .
                                            DK 1998-346
                                                         A 19980312
PATENT FAMILY INFORMATION:
FAN
    1999:595124
     PATENT NO.
                     KIND DATE
                                          APPLICATION NO. DATE
     _______
                                          -----
PΙ
     WO 9946236
                     A1 19990916
                                          WO 1999-DK122 19990311
        W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,
             DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU,
             TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,
             ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
             CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                            DK 1998-342
                                                         A 19980312
                                            DK 1998-345
                                                        A 19980312
                                            DK 1998-472
                                                        A 19980403
                                            DK 1998-479
                                                         A 19980403
                                                        A 19980715
                                            DK 1998-940
                                            US 1999-265069 19990309
     US 6225329
                      B1
                           20010501
                                            DK 1998-342 , A 19980312
                                            DK 1998-345
                                                         A 19980312
                                            DK 1998-472
                                                           A 19980403
                                            DK 1998-479 A 19980403
                                            US 1998-82913P P 19980424
```

```
US 1998-82914P P 19980424
                                        DK 1998-940 A 19980715
                                        US 1998-93638P P 19980721
    AU 9927136
                    A1
                        19990927
                                        AU 1999-27136
                                                         19990311
                                        DK 1998-342
                                                      A 19980312
                                                     A 19980312
                                        DK 1998-345
                                                     A 19980403
                                        DK 1998-472
                                                      A 19980403
                                        DK 1998-479
                                        WO 1999-DK122 W 19990311
    EP 1062199
                    A1 20001227
                                        EP 1999-907333 19990311
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI
                                        DK 1998-342 A 19980312
                                        DK 1998-345
                                                     A 19980312
                                        DK 1998-472
                                                    A 19980403
                                        DK 1998-479
                                                    A 19980403
                                        DK 1998-940
                                                     A 19980715
                                        WO 1999-DK122 W 19990311
    JP 2002506055 T2
                        20020226
                                        JP 2000-535619 19990311
                                        DK 1998-342 A 19980312
                                        DK 1998-345
                                                     A 19980312
                                                     A 19980403
                                        DK 1998-472
                                                     A 19980403
A 19980715
                                        DK 1998-479
                                        DK 1998-940
                                                      A 19980715
                                        WO 1999-DK122 W 19990311
    ZA 9902029
               A
                          19990927
                                        ZA 1999-2029 19990312
                                        DK 1998-342
                                                     A 19980312
FAN 1999:595127
    PATENT NO.
                    KIND DATE
                                        APPLICATION NO. DATE
    WO 9946237 A1 19990916 WO 1999-DK126 19990312
PΙ
        W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,
            DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,
            JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,
            MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,
            TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD,
            RU, TJ, TM
        RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,
            ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
            CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                        DK 1998-350
                                                      A 19980312
                                         DK 1998-345
                                                      A 19980312
                                         DK 1998-343
                                                      A 19980312
                                         DK 1998-342
                                                     A 19980312
                                                     A 19980312
                                         DK 1998-344
                                                     A 19980312
                                         DK 1998-347
                                         DK 1998-346
                                                     A 19980312
                                         DK 1998-348
                                                    A 19980312
                                        DK 1998-479
                                                    A 19980403
                                         DK 1998-472
                                                    A 19980403
                                        DK 1998-473
                                                    A 19980403
                                        DK 1998-478
                                                    A 19980403
                                         DK 1998-475
                                                    A 19980403
                                         DK 1998-474
                                                     A 19980403
                                        DK 1998-476
                                                     A 19980403
                                        DK 1998-480 A 19980403
                                        US 1998-82912P P 19980424
                                        DK 1998-667 A 19980515
                                        US 1998-88115P P 19980605
```

			DK 1998-939 I	19980715
			DK 1998-940	19980715
			DK 1998-938	19980715
			DK 1998-1385	19981028
			DK 1998-1561	19981126
			DK 1998-1612	19981207
US 6225329	B1	20010501	US 1999-265069	19990309
			DK 1998-342 I	19980312
			DK 1998-345	19980312
				19980403
				19980403
			US 1998-82913P I	
			US 1998-82914P I	
				19980715
			US 1998-93638P I	
US 2002019412	A1	20020214	US 1999-265316	19990309
				19980312
				19980312
				19980312
				19980403
				19980403
				19980403
			US 1998-82365P I	
			US 1998-82371P I	
			US 1998-82373P I	
AU 9927139	A1	19990927	AU 1999-27139	19990311
				19980403
				19980403
				19980403
				19980403
				19980403
				19980403
				19980515
				19980715
				A 19980312
				19980312
			DK 1998-343	19980312
			DK 1998-342	19980312
			DK 1998-344 I	19980312
			DK 1998-347	19980312
			DK 1998-346	19980312
			DK 1998-348	19980312
			DK 1998-479	19980403
			DK 1998-472 I	19980403
			WO 1999-DK126 V	19990312
			DK 1998-1561 <i>I</i>	19981126
			US 1998-82912P	19980424
			US 1998-88115P	19980605
US 6262044	B1	20010717	US 1999-268490	19990311
			DK 1998-344 A	19980312
				19980403
			US 1998-82915P I	9 19980424
				19980715
			US 1998-93525P I	
				19981028
			US 1998-108747PI	
				19981207
US 2002002199	A1	20020103	US 1999-266395	19990311

```
A 19980312
                                     DK 1998-343
                                     DK 1998-473
                                                    A 19980403
                                     US 1998-82368P P 19980420
                                     DK 1998-939
                                                    A 19980715
                                     US 1998-93620P P 19980721
                                     DK 1998-1561
                                                   A 19981126
                                     US 1999-115528PP 19990112
CA 2323472
                AA
                      19990916
                                      CA 1999-2323472 19990312
                                     DK 1998-342
                                                  A 19980312
                                     DK 1998-343
                                                    A 19980312
                                     DK 1998-344
                                                   A 19980312
                                     DK 1998-345
                                                  A 19980312
                                     DK 1998-346
                                                   A 19980312
                                     DK 1998-347
                                                   A 19980312
                                     DK 1998-348
                                                   A 19980312
                                     DK 1998-350
                                                  A 19980312
                                     DK 1998-472
                                                   A 19980403
                                     DK 1998-473
                                                   A 19980403
                                     DK 1998-474
                                                   A 19980403
                                     DK 1998-475
                                                   A 19980403
                                     DK 1998-476
                                                   A 19980403
                                     DK 1998-478
                                                    A 19980403
                                     DK 1998-479
                                                    A 19980403
                                     DK 1998-480
                                                    A 19980403
                                     DK 1998-667
                                                    A 19980515
                                     DK 1998-938
                                                   A 19980715
                                     DK 1998-939
                                                   A 19980715
                                     DK 1998-940
                                                    A 19980715
                                     DK 1998-1385
                                                    A 19981028
                                     DK 1998-1561
                                                    A 19981126
                                     DK 1998-1612
                                                    A 19981207
                                     WO 1999-DK126 W 19990312
ZA 9902029
                Α
                     19990927
                                     ZA 1999-2029
                                                      19990312
                                     DK 1998-342
                                                    A 19980312
ZA 9902032
                 Α
                     19990927
                                     ZA 1999-2032
                                                     19990312
                                                    A 19980312
                                     DK 1998-343
ZA 9902038
                 Α
                     19990927
                                     ZA 1999-2038
                                                     19990312
                                     DK 1998-346
                                                    A 19980312
ZA 9902036
                 Α
                     19991001
                                     ZA 1999-2036
                                                     19990312
                                     DK 1998-344
                                                    A 19980312
BR 9908723
                Α
                     20001121
                                     BR 1999-8723
                                                      19990312
                                     DK 1998-342
                                                    A 19980312
                                     DK 1998-343
                                                    A 19980312
                                     DK 1998-344
                                                   A 19980312
                                     DK 1998-345
                                                   A 19980312
                                     DK 1998-346
                                                   A 19980312
                                     DK 1998-347
                                                   A 19980312
                                     DK 1998-348
                                                   A 19980312
                                     DK 1998-350
                                                   A 19980312
                                     DK 1998-472
                                                   A 19980403
                                     DK 1998-473
                                                   A 19980403
                                     DK 1998-480
                                                    A 19980403
                                     WO 1999-DK126 W 19990312
EP 1080068
                                     EP 1999-907336 19990312
                 A1
                      20010307
   R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE,
       SI, LT, FI, RO
                                     DK 1998-342
                                                    A 19980312
                                     DK 1998-343
                                                   A 19980312
```

```
DK 1998-344
                                                   A 19980312
                                     DK 1998-345
                                                  A 19980312
                                     DK 1998-346
                                                  A 19980312
                                     DK 1998-347
                                                  A 19980312
                                     DK 1998-348
                                                  A 19980312
                                     DK 1998-350
                                                   A 19980312
                                     DK 1998-472
                                                   A 19980403
                                     DK 1998-473
                                                   A 19980403
                                     DK 1998-474
                                                   A 19980403
                                                  A 19980403
                                     DK 1998-475
                                                  A 19980403
                                     DK 1998-476
                                     DK 1998-478
                                                  A 19980403
                                                  A 19980403
                                     DK 1998-479
                                     DK 1998-480
                                                   A 19980403
                                     US 1998-82912P P 19980424
                                     DK 1998-667
                                                   A 19980515
                                     US 1998-88115P P 19980605
                                     DK 1998-938 A 19980715
                                     DK 1998-939
                                                  A 19980715
                                     DK 1998-940
                                                  A 19980715
                                                  A 19981028
                                     DK 1998-1385
                                     DK 1998-1561
                                                  A 19981126
                                     DK 1998-1612
                                                   A 19981207
                                     WO 1999-DK126 W 19990312
NO 2000004526
              Α
                     20001108
                                     NO 2000-4526
                                                      20000911
                                     DK 1998-342
                                                    A 19980312
                                     DK 1998-343
                                                  A 19980312
                                                  A 19980312
                                     DK 1998-344
                                                 A 19980312
A 19980312
A 19980312
                                     DK 1998-345
                                     DK 1998-346
                                                  A 19980312
                                     DK 1998-347
                                     DK 1998-348 A 19980312
                                     DK 1998-350 A 19980312
                                     DK 1998-472 A 19980403
                                     DK 1998-473 A 19980403
                                     DK 1998-474
                                                 A 19980403
                                     DK 1998-475
                                                 A 19980403
                                     DK 1998-476
                                                 A 19980403
                                     DK 1998-478
                                                 A 19980403
                                     DK 1998-479
                                                 A 19980403
                                     DK 1998-480
                                                   A 19980403
                                     US 1998-82912P P 19980424
                                     DK 1998-667
                                                   A 19980515
                                     US 1998-88115P P 19980605
                                     DK 1998-938 A 19980715
                                     DK 1998-939
                                                    A 19980715
                                     DK 1998-940
                                                    A 19980715
                                     DK 1998-1385
                                                    A 19981028
                                     DK 1998-1561
                                                    A 19981126
                                                    A 19981207
                                     DK 1998-1612
                                     WO 1999-DK126 W 19990312
US 6410586
                B1
                      20020625
                                     US 2001-810266
                                                      20010316
                                     DK 1998-344
                                                 A 19980312
                                     DK 1998-480
                                                    A 19980403
                                     US 1998-82915P P 19980424
                                     DK 1998-938
                                                  A 19980715
                                     US 1998-93525P P 19980721
                                     DK 1998-1385
                                                  A 19981028
```

```
US 1998-108747PP 19981117
                                           DK 1998-1612 A 19981207
                                           US 1999-268490 A319990311
     US 2002165398
                     A1
                            20021107
                                           US 2002-127043 20020419
                                                        A 19980312
                                           DK 1998-343
                                           DK 1998-473
                                                          A 19980403
                                           US 1998-82368P P 19980420
                                           DK 1998-939
                                                        A 19980715
                                           US 1998-93620P P 19980721
                                           DK 1998-1561 A 19981126
                                           US 1999-115528PP 19990112
                                           US 1999-266395 B119990311
     US 2003069267
                   A1
                            20030410
                                           US 2002-158464 20020528
                                           DK 1998-344 A 19980312
                                           DK 1998-480
                                                        A 19980403
                                           US 1998-82915P P 19980424
                                           DK 1998-938
                                                        A 19980715
                                           US 1998-93525P P 19980721
                                           DK 1998-1385
                                                        A 19981028
                                           US 1998-108747PP 19981117
                                           DK 1998-1612 A 19981207
                                           US 1999-268490 A319990311
                                           US 2001-810266 A320010316
FAN 1999:595137
     PATENT NO.
                      KIND DATE
                                           APPLICATION NO. DATE
                      _ _ _ _
                            -----
                                           -----
                                         WO 1999-DK123 19990311
     WO 9946244
PΙ
                     A1
                            19990916
        W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,
             DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,
            JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,
             TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU,
             TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,
             ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
             CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                           DK 1998-343
                                                          A 19980312
                                           DK 1998-473
                                                          A 19980403
                                                          U 19980715
                                           DK 1998-939
                                           DK 1998-1561
                                                          U 19981126
                                           AU 1999-27137
    AU 9927137
                      A1
                            19990927
                                                          19990311
                                           DK 1998-343
                                                          A 19980312
                                           DK 1998-473
                                                          A 19980403
                                           WO 1999-DK123 W 19990311
     EP 1062204
                      A1
                            20001227
                                           EP 1999-907334
                                                            19990311
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI
                                           DK 1998-343
                                                        A 19980312
                                           DK 1998-473
                                                          A 19980403
                                           DK 1998-939
                                                          A 19980715
                                           DK 1998-1561
                                                          A 19981126
                                           WO 1999-DK123 W 19990311
    US 2002002199 A1
                            20020103
                                           US 1999-266395 19990311
                                           DK 1998-343
                                                        A 19980312
                                           DK 1998-473
                                                          A 19980403
                                           US 1998-82368P P 19980420
                                           DK 1998-939
                                                         A 19980715
                                           US 1998-93620P P 19980721
                                           DK 1998-1561 A 19981126
```

	JP 2002506058	T2 20020226	US 1999-115528PP 19990112 JP 2000-535625 19990311 DK 1998-343 A 19980312 DK 1998-473 A 19980403 DK 1998-939 A 19980715 DK 1998-1561 A 19981126 WO 1999-DK123 W 19990311
	ZA 9902032	A 19990927	ZA 1999-2032 19990312
	US 2002165398	A1 20021107	DK 1998-343 A 19980312 US 2002-127043 20020419 DK 1998-343 A 19980312 DK 1998-473 A 19980403 US 1998-82368P P 19980420 DK 1998-939 A 19980715 US 1998-93620P P 19980721 DK 1998-1561 A 19981126 US 1999-115528PP 19990112 US 1999-266395 B119990311
FAN	1999:595178 PATENT NO.	KIND DATE	APPLICATION NO. DATE
ΡΙ	W: AE, AL, DE, DK, JP, KE, MN, MW,	AM, AT, AU, AZ, BA EE, ES, FI, GB, GD KG, KP, KR, KZ, LC MX, NO, NZ, PL, PT	WO 1999-DK121 19990311 A, BB, BG, BR, BY, CA, CH, CN, CU, CZ, D, GE, GH, GM, HR, HU, ID, IL, IN, IS, LK, LR, LS, LT, LU, LV, MD, MG, MK, C, RO, RU, SD, SE, SG, SI, SK, SL, TJ, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU,
	ES, FI,	KE, LS, MW, SD, SL FR, GB, GR, IE, IT GA, GN, GW, ML, MR	DK 1998-344 A 19980312
	CA 2323493	AA 19990916	DK 1998-480 A 19980403 DK 1998-938 A 19980715 DK 1998-1385 A 19981028 DK 1998-1612 A 19981207 CA 1999-2323493 19990311 DK 1998-344 A 19980312 DK 1998-480 A 19980403 DK 1998-938 A 19980715 DK 1998-1385 A 19981028 DK 1998-1612 A 19981207
	AU 9927135	A1 19990927	WO 1999-DK121 W 19990311 AU 1999-27135 19990311 DK 1998-344 A 19980312 DK 1998-480 A 19980403 DK 1998-938 A 19980715 DK 1998-1385 A 19981028
	BR 9908726	A 20001121	DK 1998-1612 A 19981207 WO 1999-DK121 W 19990311 BR 1999-8726 19990311 DK 1998-344 A 19980312 DK 1998-480 A 19980403 DK 1998-938 A 19980715 DK 1998-1385 A 19981028
	EP 1080095	A1 20010307	DK 1998-1612 A 19981207 WO 1999-DK121 W 19990311 EP 1999-907332 19990311

Patel <5/18/2003>

	R:		BE, LT,			, DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	PT,	IE,
		51,	ш,	гт,	RO				ח	v 10	98-3	11	7	1998	0212		
											98-4			1998			
											98-9			1998			
											98-1			1998			
												612		1998			
												K121		1999			
IIC	6262	044		В	1	2001	0717					6849			0311		
00	0202	011		ט	_	2001	0,1,				98-3			1998			
											98-4			1998			
												29151					
											98-9			1998			
												35251					
											98-1			1998			
												0874 <i>′</i>					
											98-1			1998			
JP	2002	5060	72	T	2	2002	0226					3564!		1999			
			. –		-	2002	0000				98-3			1998			
											98-4			1998			
											98-9			1998			
											98-1			1998			
											98-1			1998			
												K121		1999			
ZA	9902	036		Α		1999	1001				99-2				0312		
											98-3		Α	1998			
NO	2000	0045	27	Α		2000	1107				00-4			2000			
											98-3		Α	1998			
											98-4			1998			
											98-9			1998			
											98-1			1998			
											98-1		Α	1998	1207		
									W	0 19	99 - D	K121	W	1999	0311		
US	6410	586		В	1	2002	0625		U	S 20	01-8	10266	5	2001	0316		
									D:	K 19	98-3	44	Α	1998	0312		
									D:	K 19	98-4	80	Α	1998	0403		
									U:	S 19	98-8	29151	? P	1998	0424		
									D:	K 19	98-9	38	Α	1998	0715		
									U:	S 19	98-9	35251					
											98-1			1998			
												0874					
											98-1			1998			
				_	_							68490					
US	2003	0692	67	A	1	2003	0410					58464			0528		
											98-3			1998			
											98-4			1998			
												29151					
											98-9			1998			
												35251					
											98-1			1998			
												08747					
											98-1			1998			
												68490					
MΔE	PAT	131.	21430) 1					U.	5 4 0	ΛT-8	10266	A A	2001	0316		
1.11.71	TA1		- T + 3 (· -													

OS

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

ΙT 243463-49-2P, 7-(Oxalylamino)quinoxaline-6-carboxylic

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(target compd.; prepn. of bicyclic heterocyclic amides as modulators of protein tyrosine phosphatases (PTPases))

RN 243463-49-2 CAPLUS

CN 6-Quinoxalinecarboxylic acid, 7-[(carboxycarbonyl)amino]- (9CI) (CA INDEX NAME)

GI

$$R^{1}$$
 R^{4}
 R^{2}
 R^{2}
 R^{2}
 R^{2}
 R^{3}
 R^{4}
 R^{2}
 R^{3}
 R^{4}
 R^{4}
 R^{2}
 R^{2}
 R^{3}
 R^{4}
 R^{4

AΒ The invention provides novel compds., novel compns., methods of their use. and methods of their manuf., where such compds. are pharmacol. useful inhibitors of protein tyrosine phosphatases (PTPases) such as PTP1B, CD45, SHP-1, SHP-2, PTP.alpha., LAR, and HePTP, or the like. The compds. are depicted by formula I [A = atoms to complete various 5/5 and 5/6 bicyclicheterocycles, e.g., thienopyridines; R1 = acyl, OH or derivs., CF3, NO2, cyano, SO3H, (un) substituted NH2, or various 5-membered heterocycles; R2 = acyl, OH or derivs., CF3, NO2, cyano, SO3H, (un) substituted NH2, various 5-membered heterocycles; R4 = H, OH, alkyl, (un) substituted aryl or aralkyl, (un) substituted NH2, alkoxy], and include salts, optical isomers, and tautomers. The compds. are useful in the treatment of type I diabetes, type II diabetes, impaired glucose tolerance, insulin resistance, obesity, immune dysfunctions including autoimmunity diseases with dysfunctions of the coagulation system, allergic diseases including asthma, osteoporosis, proliferative disorders including cancer and psoriasis, diseases with decreased or increased synthesis or effects of growth hormone, diseases with decreased or increased synthesis of hormones or cytokines that regulate the release of/or response to growth hormone, diseases of the brain including Alzheimer's disease and schizophrenia, and infectious diseases. For instance, 3-aminothieno[2,3-b]pyridine-2carboxylic acid Me ester was amidated with Et oxalyl chloride (61%), followed by hydrolysis of the ester functions with NaOH in aq. EtOH (30%), to give title compd. II as the mono-Na salt (III). In an in vitro test against PTP1B expressed in E. coli and purified by known methods, III had Ki of 330 .mu.M.

RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

Patel <5/18/2003>

L5 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2003 ACS

AN 1999:255217 CAPLUS

DN 131:44803

TI Preorganized macrocyclic receptors featuring endo-carboxylic acid groups. Host synthesis and inclusion compounds with alcohol and amine guests

AU Weber, Edwin; Haase, Reinhard; Pollex, Rolf; Czugler, Matyas

CS Institut Organische Chemie, Technische Universitat-Bergakademie Freiberg, Freiberg, D-09596, Germany

SO Journal fuer Praktische Chemie (Weinheim, Germany) (1999), 341(3), 274-283 CODEN: JPCHF4; ISSN: 1436-9966

PB Wiley-VCH Verlag GmbH

DT Journal

LA English

OS CASREACT 131:44803

IT 227293-34-7P 227293-42-7P

RN 227293-34-7 CAPLUS

CN Dispiro[cyclohexane-1,2'-[7,15,25,33]tetraoxaheptacyclo[32.2.2.23,6.216,19.221,24.19,13.127,31]hexatetraconta[3,5,9,11,13(44),16,18,21,23,27,29,31(3.9),34,36,37,40,42,45-octadecaene]-20',1''-cyclohexane]-39',44'-dicarboxylic acid, 11',29'-bis(1,1-dimethylethyl)-, compd. with quinoxaline (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 223397-25-9 CMF C62 H68 O8

CM 2

CRN 91-19-0 CMF C8 H6 N2 10077150.7

RN 227293-42-7 CAPLUS

CN 7,15,25,33-Tetraoxaheptacyclo[32.2.2.23,6.216,19.221,24.19,13.127,31]hexat etraconta-3,5,9,11,13(44),16,18,21,23,27,29,31(39),34,36,37,40,42,45-octadecaene-39,44-dicarboxylic acid, 11,29-bis(1,1-dimethylethyl)-2,2,20,20-tetramethyl-, compd. with quinoxaline (1:1) (9CI) (CA INDEX NAME)

Page 25

CM 1

CRN 159051-86-2 CMF C56 H60 O8

CM 2

CRN 91-19-0 CMF C8 H6 N2

GI

AB The synthesis and characterization of macrocyclic host compds. I [RR1 = (CH2)5; R, R1 = Me; RR1 = O; R = Me, R1 = CH2CO2H] having modified diphenylmethane units as bridging elements and 2 endo-oriented carboxyl groups attached to arom. building blocks are described. The complexation properties of the macrocycles towards amines and alcs. are reported, showing that the ability to form convergent inclusion compds. depends on the type of the spacer element. For the dicarboxylic hosts I [RR1 = (CH2)5; R, R1 = Me] endo-complexation of guest mols. based on H bonding to the acid functions is proved using 1H NMR and x-ray crystal structure anal.

RE.CNT 59 THERE ARE 59 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2003 ACS

AN 1998:761764 CAPLUS

DN 130:54817

TI Secondary lithium batteries

IN Yakata, Hiroshi; Amano, Kosuke; Sakauchi, Hiroshi; Sato, Masaharu

PA NEC Corp., Japan

SO Jpn. Kokai Tokkyo Koho, 9 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
ΡI	JP 10312827	A2	19981124	JP 1997-123979	19970514		
	JP 3114651	B2	20001204				
				JP 1997-123979	19970514		

IT 164363-68-2, Poly(quinoxaline-5,8-diyl)

RL: DEV (Device component use); USES (Uses)

(cathodes with elec. attached porous conducting polymer member on anode side for lithium batteries)

RN 164363-68-2 CAPLUS

CN Poly(5,8-quinoxalinediyl) (9CI) (CA INDEX NAME)

Patel <5/18/2003>

10077150.7

AB The batteries have a cathode, a Li intercalating or Li depositing anode, an electrolyte, and a porous member of a conducting polymer, which can be doped by N type dopant, between the electrodes and elec. connected to the cathode and insulated from the anode. The polymer is selected from polythiophene, poly(p-aniline), poly(pyridine-diyl), poly(pyrimidine-diyl), poly(quinoxaline-diyl), poly(naphthalidine-diyl), or their derivs.

Page 27

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS

AN 1996:711261 CAPLUS

DN 126:47192

TI Ambident reactivity of nitro heteroaromatic anions

AU Murashima, Takashi; Tamai, Ryuji; Fujita, Ken-ichi; Uno, Hidemitsu; Ono, Noboru

CS Dep. Chem., Faculty Sci., Ehime Univ., Matsuyama, 790-77, Japan

SO Tetrahedron Letters (1996), 37(46), 8391-8394 CODEN: TELEAY; ISSN: 0040-4039

PB Elsevier

DT Journal

LA English

OS CASREACT 126:47192

IT 180723-45-9P

RL: SPN (Synthetic preparation); PREP (Preparation) (reaction of nitroarenes with base and Et isocyanoacetate)

RN 180723-45-9 CAPLUS

CN [1,2,5]Thiadiazolo[3,4-f]quinazoline-9-carboxylic acid, ethyl ester, 6-oxide (9CI) (CA INDEX NAME)

GΙ

The reaction of nitro heteroarom. compds. such as quinoxalines, benzothiadiazoles and selenadiazoles with Et isocyanoacetate in the presence of 1,8-diazabicyclo[5,4,9]undec-7-ene gave the corresponding pyrimidine N-oxides, while, in contrast, use of a proazaphosphatrane, i.e., 2,8,9-trimethyl-2,5,8,9-tetraaza-1-phosphabicyclo[3.3.3]undecane (I) or an iminophosphorane, i.e., 1,1',1''-[(1,1-dimethylethyl)phosphinimylidyne]tris[pyrrolidine] (II) as a base under similar conditions gave pyrroles. The reaction of 1-nitronaphthalene with I gave 2H-benz[e]isoindole-3-carboxylic acid Et ester (III) (21% yield). A similar reaction of 6-nitroquinoline with II gave 2H-pyrrolo[3,4-f]quinoline-1-carboxylic acid Et ester (IV) (22% yield).

```
L5 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2003 ACS
```

AN 1995:767627 CAPLUS

DN 124:21803

TI Method and agents for preventing tissue injury from hypoxia

IN Bursten, Stuart L.; Singer, Jack W.; Rice, Glenn C.

PA Ce;; Therapeutics, Inc., USA

SO PCT Int. Appl., 56 pp. CODEN: PIXXD2

DT Patent

LA English

FAN. CNT 1

	PATENT NO.	KIND DATE		APPLICATION	NO.	DATE			
ΡΙ	WO 9513075 W: AU, CA,		0518	WO 1994-US:	12821	19941114			
	· · · · · · · · · · · · · · · · · · ·		ES, FR,	GB, GR, IE,		•	PT,	SE	
				US 1993-152	2117	19931112			
	AU 9510907	Al 1995	0529	AU 1995-109	907	19941114			
				US 1993-152	2117	19931112			
				WO 1994-US	12821	19941114			
	EP 728003	A1 1996	0828	EP 1995-903	1808	19941114			
	R: AT, BE,	CH, DE, DK,	ES, FR,	GB, GR, IE, I	IT, LI,	LU, MC,	NL,	PT,	SE
				US 1993-152	2117	19931112			
				WO 1994-US	12821	19941114			

US 5856331 A 19990105 US 1997-948747 19971010 US 1993-152117 19931112 US 1994-353756 19941212

OS MARPAT 124:21803

IT 167427-02-3D, aminoalkyl derivs.

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(method and agents for preventing tissue injury from hypoxia)

RN 167427-02-3 CAPLUS

CN Quinoxaline, tetrahydro- (9CI) (CA INDEX NAME)

CM 1

CRN 91-19-0 CMF C8 H6 N2

GI

$$\begin{array}{c|c}
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\
 & & & \\$$

AB Tissue injury, caused by tissue hypoxia and reoxygenation, is prevented by administering a xanthine deriv. I [R1 = (.omega.-1) secondary alc.-substituted C5-12 alkyl enantiomer; R2, R3 = C1-12 alkyl or (di)oxaalkyl] or a (heterocyclylalkyl)amine that inhibits signal transduction by inhibiting cellular accumulation of linoleoy phosphatidic acid through inhibition of lysophosphatidic acyltransferase. Diseases that can be treated with these compds. include shock, sequelae of myocardial infarction and stroke, altitude sickness, acidosis, hypoxia-mediated neurodegenerative diseases, and disorders related to transplantation and transplant rejection. Thus, in mice with exptl. hemorrhage, treatment with lisophylline (100 mg/kg i.v. after 1 h, then 100 mg/kg i.p. 8 times at 8-h intervals) largely normalized signs of hemorrhagic shock (neutrophil infiltration, interstitial edema, elevated plasma levels of interferon-.gamma. and tumor necrosis factor .alpha., elevated mRNA levels for interleukins 1.beta. and 6 in pulmonary mononuclear cells, etc.).

=> d l6 fbib hitstr abs total

Ι

L6 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2003 ACS

```
2000:725471 CAPLUS
AN
     133:281794
DN
TI
     Preparation of aminopyrimidines as sorbitol dehydrogenase inhibitors
     Chu-moyer, Margaret Yuhua; Murry, Jerry Anthony; Mylari, Banavara
IN
     Lakshman; Zembrowski, William James
     Pfizer Products Inc., USA
PA
     PCT Int. Appl., 328 pp.
SO
     CODEN: PIXXD2
DT
     Patent
     English
LΑ
FAN.CNT 1
     PATENT NO.
                     KIND DATE
                                           APPLICATION NO. DATE
                     ----
                           -----
                                           -----
PΙ
    WO 2000059510
                     A1
                           20001012
                                         WO 2000-IB296 20000316
        W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,
            CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID,
            IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV,
            MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG,
            SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW,
            AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
            DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
             CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                           US 1999-127437PP 19990401
    NZ 514144
                      Α
                            20010928
                                           NZ 2000-514144
                                           US 1999-127437PP 19990401
     BR 2000009433
                      Α
                            20020115
                                           BR 2000-9433
                                                            20000316
                                           US 1999-127437PP 19990401
                                           WO 2000-IB296 W 20000316
     EP 1185275
                      Α1
                            20020313
                                           EP 2000-909565
                                                            20000316
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO
                                           US 1999-127437PP 19990401
                                           WO 2000-IB296 W 20000316
     JP 2002541109
                       T2
                            20021203
                                           JP 2000-609073
                                                            20000316
                                           US 1999-127437PP 19990401
                                           WO 2000-IB296 W 20000316
     EE 200100509
                            20021216
                                           EE 2001-509
                      Α
                                                            20000316
                                           US 1999-127437PP 19990401
                                           WO 2000-IB296 W 20000316
    US 6414149
                      B1
                            20020702
                                           US 2000-538039
                                                            20000329
                                           US 1999-127437PP 19990401
    NO 2001004642
                            20011128
                                           NO 2001-4642
                                                            20010925
                                           US 1999-127437PP 19990401
                                           WO 2000-IB296 W 20000316
     BG 106038
                      Α
                            20020628
                                           BG 2001-106038
                                                            20011023
                                           US 1999-127437PP 19990401
                                           WO 2000-IB296 W 20000316
    US 2003065179
                      A1
                            20030403
                                           US 2002-87869
                                                            20020228
                                           US 1999-127437PP 19990401
                                           US 2000-538039 A320000329
    MARPAT 133:281794
OS
IΤ
     300551-69-3P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (prepn. of aminopyrimidines as sorbitol dehydrogenase inhibitors)
RN
     300551-69-3 CAPLUS
```

CN 2-Pyrimidinemethanol, 4-[5,6-dihydro-3-(6-quinoxalinyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-.alpha.-methyl-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

GI

- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- AB The title compds. [I; R1 = CHO, COMe; COCH2Me, etc.; R2 = H, alkyl, alkoxy; R3 = II-IV, etc.; R23 = CONR25R26, SO2NR25R26 (wherein R25 = H, alkyl, arylalkylenyl; R26 = arylalkylenyl); R24 = H, alkyl, alkoxycarbonyl, etc.; R27 = H, alkyl; R28, R29 = H, OH, halo, etc.], sorbitol dehydrogenase inhibitors (no data) which are useful in treating or preventing diabetic complications, particularly diabetic neuropathy, diabetic nephropathy, diabetic microangiopathy, diabetic macroangiopathy and diabetic cardiomyopathy, were prepd. and formulated. E.g., a multi-step synthesis of the pyrimidine (R)-V, was given. This invention is also directed to pharmaceutical compns. comprising a combination of the compd. I with an aldose reductase inhibitor and to methods of treating or preventing diabetic complications therewith. This invention is also directed to pharmaceutical compns. comprising a combination of the compd. I with an NHE-1 inhibitor and to methods of treating cardiomyopathy and other heart-related problems therewith.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L6 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2003 ACS
```

AN 1995:767627 CAPLUS

DN 124:21803

TI Method and agents for preventing tissue injury from hypoxia

IN Bursten, Stuart L.; Singer, Jack W.; Rice, Glenn C.

PA Ce;; Therapeutics, Inc., USA

SO PCT Int. Appl., 56 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN. CNT 1

PATENT NO.

KIND DATE

APPLICATION NO. DATE

WO 1994-US12821 19941114 WO 9513075 19950518 PΙ A1W: AU, CA, JP RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE US 1993-152117 19931112 AU 9510907 19950529 AU 1995-10907 19941114 A1 US 1993-152117 19931112 WO 1994-US12821 19941114 EP 728003 19960828 EP 1995-901808 19941114 A1 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE US 1993-152117 19931112 WO 1994-US12821 19941114 US 5856331 Α 19990105 US 1997-948747 19971010 US 1993-152117 19931112 US 1994-353756 19941212

OS MARPAT 124:21803

IT 167427-02-3D, aminoalkyl derivs.

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(method and agents for preventing tissue injury from hypoxia)

RN 167427-02-3 CAPLUS

CN Quinoxaline, tetrahydro- (9CI) (CA INDEX NAME)

CM 1

CRN 91-19-0 CMF C8 H6 N2

GI

$$\begin{array}{c|c}
 & 0 & R^3 \\
 & N & N \\
 & N & N
\end{array}$$

Ι

AB Tissue injury, caused by tissue hypoxia and reoxygenation, is prevented by administering a xanthine deriv. I [R1 = (.omega.-1) secondary alc.-substituted C5-12 alkyl enantiomer; R2, R3 = C1-12 alkyl or (di)oxaalkyl] or a (heterocyclylalkyl)amine that inhibits signal transduction by inhibiting cellular accumulation of linoleoyl phosphatidic acid through inhibition of lysophosphatidic acyltransferase. Diseases that can be treated with these compds. include shock, sequelae of myocardial infarction and stroke, altitude sickness, acidosis, hypoxia-mediated neurodegenerative diseases, and disorders relate

transplantation and transplant rejection. Thus, in mice with exptl. hemorrhage, treatment with lisophylline (100 mg/kg i.v. after 1 h, then 100 mg/kg i.p. 8 times at 8-h intervals) largely normalized signs of hemorrhagic shock (neutrophil infiltration, interstitial edema, elevated plasma levels of interferon-.gamma. and tumor necrosis factor .alpha., elevated mRNA levels for interleukins 1.beta. and 6 in pulmonary mononuclear cells, etc.).

=> d l10 fbib hitstr abs total

```
L10 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS
     1995:767627 CAPLUS
AN
DN
     124:21803
ΤI
     Method and agents for preventing tissue injury from hypoxia
     Bursten, Stuart L.; Singer, Jack W.; Rice, Glenn C.
IN
PΑ
     Ce;; Therapeutics, Inc., USA
SO
     PCT Int. Appl., 56 pp.
     CODEN: PIXXD2
     Patent
DT
LA English
FAN.CNT 1
```

	PAC	TENT NO.		KIND	DATE			APP	LICATIO	ON NO.	DATE				
ΡI	WO.	9513075		A1	19950	 -10		WO :	1004 11	S12821	1004	1114			
FI	WO	W: AU,			19950	210		WO.	1994-0	217871	1994:	1114			
		RW: AT,	BE,	CH, D	E, DK,	ES, F	R,	-		•	•	•	PT,	SE	
								US :	1993-1!	52117	1993:	1112			
	AU	9510907		A1	19950	529		AU :	1995-1	0907	1994	1114			
								US :	1993-1	52117	1993	1112			
								WO :	1994-U	S12821	1994	1114			
	ΕP	728003		A1	19960	828		EP :	1995-90	01808	1994	1114			
		R: AT,	BE,	CH, D	E, DK,	ES, F	R,	GB, GI	R, IE,	IT, LI	, LU,	MC,	NL,	PT,	SE
								US :	1993-1	52117	1993	1112			
								WO :	1994 - U	S12821	1994	1114			
	US	5856331		A	19990	105		US :	1997-94	48747	1997	1010			
								US :	1993-1!	52117	1993	1112			
								US :	1994-3	53756	1994	1212			

OS MARPAT 124:21803

IT 167427-02-3D, aminoalkyl derivs.

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(method and agents for preventing tissue injury from hypoxia)

RN 167427-02-3 CAPLUS

CN Quinoxaline, tetrahydro- (9CI) (CA INDEX NAME)

CM 1

CRN 91-19-0 CMF C8 H6 N2

Patel <5/18/2003>

GI

$$\begin{array}{c|c}
 & 0 & R^3 \\
 & N & N \\
 & N & N
\end{array}$$

AΒ Tissue injury, caused by tissue hypoxia and reoxygenation, is prevented by administering a xanthine deriv. I [R1 = (.omega.-1) secondary alc.-substituted C5-12 alkyl enantiomer; R2, R3 = C1-12 alkyl or (di)oxaalkyl] or a (heterocyclylalkyl)amine that inhibits signal transduction by inhibiting cellular accumulation of linoleoyl phosphatidic acid through inhibition of lysophosphatidic acyltransferase. Diseases that can be treated with these compds. include shock, sequelae of myocardial infarction and stroke, altitude sickness, acidosis, hypoxia-mediated neurodegenerative diseases, and disorders related to transplantation and transplant rejection. Thus, in mice with exptl. hemorrhage, treatment with lisophylline (100 mg/kg i.v. after 1 h, then 100 mg/kg i.p. 8 times at 8-h intervals) largely normalized signs of hemorrhagic shock (neutrophil infiltration, interstitial edema, elevated plasma levels of interferon-.gamma. and tumor necrosis factor .alpha., elevated mRNA levels for interleukins 1.beta. and 6 in pulmonary mononuclear cells, etc.).

=> d 113 fbib hitstr abs total

```
L13 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2003 ACS
```

AN 2003:282533 CAPLUS

DN 138:304304

TI Preparation of difluoroalkene derivatives as pest control agents containing the same, and intermediate therefor

IN Abe, Tetsuya; Tamai, Ryuji; Ito, Minoru; Tamaru, Masatoshi; Yano, Hiroyuki; Takahashi, Satoru; Muramatsu, Norimichi

PA Kumiai Chemical Industry Co., Ltd., Japan; Ihara Chemical Industry Co., Ltd.

SO PCT Int. Appl., 195 pp. CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

```
PATENT NO.
                  KIND DATE
                                       APPLICATION NO. DATE
    ----
                         ______
                                       -----
PΙ
    WO 2003029211
                   Al 20030410
                                      WO 2002-JP10142 20020930
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
           CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
           GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
           LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
           PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
           UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD,
           RU, TJ, TM
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
```

CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

JP 2001-299687 A 20010928 JP 2002-142329 A 20020517

OS MARPAT 138:304304

IT 509098-35-5P 509098-56-0P 509100-31-6P

RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of difluoroalkenyl heterocyclecarboxylate and -thiocarboxylates as pest control agents such as insecticides, acaricides, and nematocides)

RN 509098-35-5 CAPLUS

CN 2,1,3-Benzothiadiazole-5-carboxylic acid, 6,6-difluoro-5-methyl-5-hexenyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \operatorname{CF_2} & \operatorname{O} \\ \parallel & \parallel \\ \operatorname{Me-C-} \left(\operatorname{CH_2} \right) \operatorname{4-O-C} & \parallel \\ & \parallel \\ \operatorname{N} & \parallel \\ \end{array}$$

RN 509098-56-0 CAPLUS

CN 2H-Benzotriazole-5-carboxylic acid, 2-(6,6-difluoro-5-methyl-5-hexenyl)-, 6,6-difluoro-5-methyl-5-hexenyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & CF_2 \\ \parallel & & \parallel \\ & & N \\ Me^{-C-(CH_2)_4-O-C} & & \parallel \\ & & \parallel \\ & CF_2 & O \end{array}$$

RN 509100-31-6 CAPLUS

CN 6-Quinoxalinecarboxylic acid, 4,4-difluoro-3-methyl-3-butenyl ester (9CI) (CA INDEX NAME)

IT 175204-21-4

RL: RCT (Reactant); RACT (Reactant or reagent) (prepn. of difluoroalkenyl heterocyclecarboxylate and -thiocarboxylates

as pest control agents such as insecticides, acaricides, and nematocides)

- RN 175204-21-4 CAPLUS
- CN 2,1,3-Benzothiadiazole-5-carboxylic acid, methyl ester (9CI) (CA INDEX NAME)

AB The difluoroalkenyl heterocyclecarboxylate, -thiocarboxylates, or dithiocarboxylate derivs. represented by the general formula Q-C(:L1)-L2-(CH2)n-C(CF3):CF2 or pharmacol. acceptable salts thereof (wherein L1 and L2 are the same or different and each represents oxygen or sulfur; n is an integer of 2 to 8; and Q represents an optionally substituted 5- to 12-membered heterocyclic group having any desired heteroatom selected among nitrogen, oxygen, and sulfur wherein the heteroatom in the heterocyclic ring is a nitrogen, it may be oxidized to N-oxide), which are useful as insecticides, acaricides, and nematocides, are prepd. These compds. are sufficiently effective in controlling various pests even when used in a small dose and are highly safe for crops, natural enemies to the pests, and animals. Thus, 4-phenyl-1,2,3-thiadiazole-5-carboxylic acid 0.23, 6,6-difluoro-5-methyl-5hexenol 0.17, and 4-dimethylaminopyridine 0.13 g were dissolved in 4 mL CH2Cl2, treated with 0.29 g 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride at room temp., and stirred for 20 h to give 6,6-difluoro-5-methyl-5-hexenyl 4-phenyl-1,2,3-thiadiazole-5-carboxylate (I). I and 4,4-difluoro-3-methyl-3-butenyl 6-butoxy-2-methylpyrimidine-4carboxylate at 500 ppm controlled .gtoreq.90% 4th instar larvae of Nilaparvata lugens.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L13 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2003 ACS
```

AN 2002:964355 CAPLUS

DN 138:55951

TI Preparation of 1-(2,1,3-benzothiadiazolyl)-3-pyridylpropyl-1,8naphthyridine derivatives as phosphodiesterase (PDE) IV inhibitors

IN Aotsuka, Tomoji; Kumazawa, Kentarou; Wagatsuma, Nagatoshi; Ishitani, Kouki; Nose, Takashi

PA Grelan Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 69 pp. CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE

PI WO 2002100859 A1 20021219 WO 2002-JP5804 20020611

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,

Patel <5/18/2003>

PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

JP 2001-176550 A 20010612

OS MARPAT 138:55951

IT 479073-52-4P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(PDE IV inhibitor; prepn. of (benzothiadiazolyl) (pyridylpropyl) naphthyr idine derivs. as PDE IV inhibitors)

RN 479073-52-4 CAPLUS

CN 1,8-Naphthyridin-2(1H)-one, 1-(3-oxido-2,1,3-benzoxadiazol-5-yl)-3-[3-(4-pyridinyl)propyl]- (9CI) (CA INDEX NAME)

IT 479073-27-3P 479073-28-4P 479073-29-5P 479073-50-2P 479073-53-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(PDE IV inhibitor; prepn. of (benzothiadiazolyl) (pyridylpropyl) naphthyr idine derivs. as PDE IV inhibitors)

RN 479073-27-3 CAPLUS

CN 1,8-Naphthyridin-2(1H)-one, 1-(2,1,3-benzothiadiazol-5-yl)-3-[3-(4-pyridinyl)propyl]- (9CI) (CA INDEX NAME)

RN 479073-28-4 CAPLUS

CN 1,8-Naphthyridin-2(1H)-one, 1-(2,1,3-benzothiadiazol-5-yl)-3-[3-(3-pyridinyl)propyl]- (9CI) (CA INDEX NAME)

Page 38

RN 479073-29-5 CAPLUS

CN 1,8-Naphthyridin-2(1H)-one, 1-(2,1,3-benzothiadiazol-5-yl)-3-[3-(2-pyridinyl)propyl]- (9CI) (CA INDEX NAME)

RN 479073-50-2 CAPLUS

CN 1,8-Naphthyridin-2(1H)-one, 1-(2,1,3-benzothiadiazol-4-yl)-3-[3-(4-pyridinyl)propyl]- (9CI) (CA INDEX NAME)

RN 479073-53-5 CAPLUS

CN 1,8-Naphthyridin-2(1H)-one, 1-(2,1,3-benzoxadiazol-5-yl)-3-[3-(4-pyridinyl)propyl]- (9CI) (CA INDEX NAME)

IT 479073-54-6P 479073-55-7P 479073-56-8P 479073-57-9P 479073-58-0P 479073-59-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; prepn. of (benzothiadiazolyl)(pyridylpropyl)naphthyridin e derivs. as PDE IV inhibitors)

RN 479073-54-6 CAPLUS

CN 3-Pyridinecarboxaldehyde, 2-(2,1,3-benzothiadiazol-5-ylamino)- (9CI) (CA INDEX NAME)

RN 479073-55-7 CAPLUS

CN 3-Pyridinecarboxylic acid, 2-(2,1,3-benzothiadiazol-5-ylamino)- (9CI) (CA INDEX NAME)

RN 479073-56-8 CAPLUS

CN 3-Pyridinecarboxylic acid, 2-(2,1,3-benzothiadiazol-5-ylamino)-, cyanomethyl ester (9CI) (CA INDEX NAME)

$$NC-CH_2-O-C$$

RN 479073-57-9 CAPLUS

CN 3-Pyridinecarboxylic acid, 2-(2,1,3-benzothiadiazol-5-ylamino)-, methyl ester (9CI) (CA INDEX NAME)

RN 479073-58-0 CAPLUS

CN 3-Pyridinemethanol, 2-(2,1,3-benzothiadiazol-5-ylamino)- (9CI) (CA INDEX NAME)

RN 479073-59-1 CAPLUS

CN 3-Pyridinecarboxaldehyde, 2-(2,1,3-benzothiadiazol-4-ylamino)- (9CI) (CA INDEX NAME)

GI

AB The title compds. I [wherein A = CH2, alkyl-CH2, CO, HOCH2, or alkyl-CO2CH2; Y = heteroaryl; Z = heteroaryl or (un)substituted Ph] and pharmaceutically acceptable salts thereof are prepd as PDE IV inhibitors for the treatment of asthma. For example, 2-(3-nitrophenylamino)nicotinaldehyde (prepn given) was reacted with Et 5-methyl-5-(pyrid-4-yl)pentanoate (prepn given) in THF in the presence of LDA to afford the naphthyridine II (37%). II showed IC50 of 0.070 .mu.M against PDE IV and ED50 of 0.12 mg/kg against asthma in guinea pig.

RE.CNT 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2003 ACS

AN 2002:790220 CAPLUS

DN 137:294982

TI Preparation of piperazinylpyrazinyl aryloxyalkyl ethers as 5-HT2C receptor agonists

IN Nilsson, Bjorn; Tejbrant, Jan; Pelcman, Benjamin; Ringberg, Erik; Thor,
Markus; Nilsson, Jonas; Jonsson, Mattias

PA Biovitrum AB, Swed.

SO U.S., 45 pp., Cont.-in-part of U.S. Ser. No. 573,348, abandoned. CODEN: USXXAM

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO. DATE
ΡI	US 6465467	B1	20021015	US 2000-589282 20000608
				SE 1999-1884 A 19990521
				US 1999-137527PP 19990603
				US 2000-573348 B220000519
	US 2003092694	A1	20030515	US 2002-269670 20021011
				SE 1999-1884 A 19990521
				US 1999-137527PP 19990603
				US 2000-573348 B220000519
				US 2000-589282 A320000608

PATENT FAMILY INFORMATION:

FAN 2000:900625

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	WO 2000076984	A2	20001221	WO 2000-SE1017	20000519
	WO 2000076984	A3	20010208		

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA,

Patel <5/18/2003>

```
MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI,
             SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
             CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                           SE 1999-1884
                                                         A 19990521
                                           US 1999-137527PP 19990603
     EP 1178973
                      A2
                            20020213
                                           EP 2000-931877
                                                            20000519
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO
                                           SE 1999-1884
                                                         A 19990521
                                           US 1999-137527PP 19990603
                                           WO 2000-SE1017 W 20000519
     BR 2000010783
                      Α
                            20020409
                                           BR 2000-10783
                                                           20000519
                                           SE 1999-1884
                                                          A 19990521
                                           US 1999-137527PP 19990603
                                           WO 2000-SE1017 W 20000519
     JP 2003502317
                       T2
                            20030121
                                           JP 2001-503842
                                                            20000519
                                           SE 1999-1884
                                                         A 19990521
                                           US 1999-137527PP 19990603
                                           WO 2000-SE1017 W 20000519
    NO 2001005686
                                           NO 2001-5686
                      Α
                            20020115
                                                            20011121
                                           SE 1999-1884
                                                          A 19990521
                                           US 1999-137527PP 19990603
                                           WO 2000-SE1017 W 20000519
OS
    MARPAT 137:294982
IT
     313655-27-5P, 4-[2-[[3-(1-Piperaziny1)-2-pyraziny1]oxy]ethoxy]-
     2,1,3-benzothiadiazole Dihydrochloride 313655-31-1P,
     5-[2-[[3-(1-Piperazinyl)-2-pyrazinyl]oxy]ethoxy]quinoxaline Hydrochloride
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (prepn. of heterocyclylpyrazinyl aryloxyalkyl ether 5-HT2C receptor
        agonists from aryloxyalkanols, halopyrazines, and heterocycles)
RN
     313655-27-5 CAPLUS
     2,1,3-Benzothiadiazole, 4-[2-[[3-(1-piperazinyl)pyrazinyl]oxy]ethoxy]-,
CN
     dihydrochloride (9CI) (CA INDEX NAME)
```

●2 HC1

● HCl

yloxy)ethoxy]pyrazinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

GI

$$R^{8-y}$$
 R^{5}
 R^{6}
 X
 N
 R^{2}
 R^{2}
 R^{3}
 R^{2}
 R^{3}
 R^{2}
 R^{3}
 R^{3}
 R^{3}
 R^{3}
 R^{4}
 R^{5}
 $R^$

AB The title compds. (I) [wherein X and Y = independently O, S, or NR7; R and R1 = independently H, alkyl, or halo; or C2RR1 = optionally halo substituted benzene or thiophene; R2 = H, OH, or alkyl; R3, R4, and R5 = independently H or alkyl; R6 = H or alkyl; or CYR6R8 for a 5-6 membered heterocycle; R7 = H or alkyl, preferably Me or Et; R8 = (un)substituted (hetero)aryl; m and n = independently 1 or 2; or pharmaceutically acceptable salts, hydrates, geometric isomers, tautomers, optical isomers, N-oxides, and prodrugs thereof] were prepd. and tested as 5-HT2C receptor agonists. For instance, 2,3-dichloropyrazine and 2-phenoxyethanol were treated with t-BuONa in dioxane to give 2-chloro-3-(2phenoxyethoxy)pyrazine (62%). The halopyrazine, piperazine, and K2CO3 in MeCN were stirred and heated to afford the desired 2-(phenoxy)ethyl 3-(1-piperazinyl)-2-pyrazinyl ether (II) in 65% yield, which was then converted to the maleate salt. In competition expts., I showed affinity for 5-HT2C receptor protein with Ki values typically ranging from 1 ${\rm nM}$ to 1500 nM and specific values ranging from 5 nM to 377 nM for twelve compds.

Patel <5/18/2003>

I exhibited agonist efficacy at the 5-HT2C receptor by mobilizing intracellular Ca in transfected HEK293 cells with max. responses in the range of 20-100% relative to the max. response of 5-HT (serotonin) at a concn. of 1 .mu.M. Acute toxicity studies in mice following oral administration of I showed that mortality typically occurred at doses between 200 mg/kg to 450 mg/kg body wt. I are useful for the treatment of serotonin-related central nervous system disorders, such as eating disorders, memory disorders, schizophrenia, mood disorders, anxiety disorders, pain, sexual dysfunctions, and urinary disorders (no data).

RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L13 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2003 ACS
```

AN 2001:78009 CAPLUS

DN 134:115954

TI Preparation of N-pyrazolylsulfonamides and their use as endothelin antagonists

IN Banks, Bernard Joseph; Chubb, Nathan Anthony Logan; Eshelby, James John; Schulz, Darren John

PA Pfizer Ltd., UK; Pfizer Inc.

SO Eur. Pat. Appl., 131 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN. CNT 2

PΙ

PATENT NO.	KIND	DATE		APPLICATION NO. DATE
EP 1072597	A1	20010131		EP 2000-306475 20000728
R: AT, BE,	CH, DE,			GB, GR, IT, LI, LU, NL, SE, MC, PT,
				GB 1999-17858 A 19990729
				GB 2000-13368 A 20000531
BR 2000003233	A	20010313		BR 2000-3233 20000731
				GB 1999-17858 A 19990729
				GB 2000-13368 A 20000531
JP 2001064262	A2	20010313		JP 2000-231611 20000731
				GB 1999-17858 A 19990729
				GB 2000-13368 A 20000531
JP 2002034585	A2	20020205		JP 2001-151888 20010522
				GB 2000-13368 A 20000531
				EP 2001-304646 20010525
			FR, C	GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI,	LΤ, LV,	, FI, RO		GD 100.50
110 0000010077	2.2	20020121		GB 2000-13368 A 20000531
US 20020129//	AI	20020131		US 2001-867347 20010529
				GB 2000-13368 A 20000531
DD 2001002165	7\	20020212		US 2000-220285PP 20000724 BR 2001-2165 20010529
DK 2001002165	A	20020213		GB 2000-13368 A 20000531
115 2002019408	Δ1	20020214		US 2001-867488 20010530
US 6387915				05 2001-807488 20010550
00 0007710	22	20020314		GB 2000-13368 A 20000531
				US 2000-230285PP 20000724
				GB 2000-18356 A 20000724
				US 2000-230112PP 20000905

PATENT FAMILY INFORMATION:

FAN 2001:885416

PATENT NO. KIND DATE APPLICATION NO. DATE

```
EP 2001-304626
                            20011205
                                                            20010525
ΡI
     EP 1160248
                      A1
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO
                                           GB 2000-13368 A 20000531
                                           GB 2000-18356 A 20000726
    JP 2002034585
                       A2
                            20020205
                                           JP 2001-151888
                                                            20010522
                                           GB 2000-13368 A 20000531
    EP 1160331
                            20011205
                                           EP 2001-304646
                                                            20010525
                       A1
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO
                                           GB 2000-13368 A 20000531
    JP 2002020385
                       A2
                            20020123
                                           JP 2001-158190
                                                            20010528
                                           GB 2000-13368 A 20000531
                                           GB 2000-18356 A 20000726
    BR 2001002150
                       Α
                            20020312
                                           BR 2001-2150
                                                            20010528
                                           GB 2000-13368 A 20000531
                                           GB 2000-18356 A 20000726
    US 2002012977
                       A 1
                            20020131
                                           US 2001-867347
                                                             20010529
                                           GB 2000-13368 A 20000531
                                           US 2000-220285PP 20000724
    BR 2001002165
                       Α
                            20020213
                                           BR 2001-2165
                                                             20010529
                                           GB 2000-13368 A 20000531
    US 2002019408
                       A1
                            20020214
                                           US 2001-867488
                                                            20010530
    US 6387915
                            20020514
                       B2
                                           GB 2000-13368 A 20000531
                                           US 2000-220285PP 20000724
                                           GB 2000-18356 A 20000726
                                           US 2000-230112PP 20000905
OS
    MARPAT 134:115954
IT
    321565-64-4P, N-[4-(1,3-Benzodioxol-5-yl)-3-methoxy-1-methyl-1H-
    pyrazol-5-yl]-2,1,3-benzothiadiazole-4-sulfonamide
    RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological
     study); PREP (Preparation); USES (Uses)
        (prepn. of pyrazoles and use as endothelin antagonists)
```

RN 321565-64-4 CAPLUS
CN 2,1,3-Benzothiadiazole-4-sulfonamide, N-[4-(1,3-benzodioxol-5-yl)-3-methoxy-1-methyl-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

GI

AB I and II, wherein R1, R2, R3, Ar1 and X are as defined below, pharmaceutically acceptable derivs. thereof, and their uses as endothelin antagonists are claimed. R1 = H, C1-6 alkyl (optionally substituted by .gtoreq.1 halo, OR4 or NR4R5 groups), C2-6 alkenyl (optionally substituted by .gtoreq.1 halo groups), C2-6 alkynyl (optionally substituted by .gtoreq.1 halo groups), C(0)R4, CO2R4, CH2aryl4, CONR4R5, aryl or het1. R2 = C1-6 alkyl, cyclopropylmethyl, or CH2CH2OG (G = H, C1-6 alkyl (optionally substituted by a C3-6 cycloalkyl group), C(O)R4, CONHAr or Ar2). R4 and R5 = independently H or C1-6 alkyl optionally substituted by .gtoreq.1 halo groups. X = direct link, O, S, SO, SO2, CO or CH2. R3 = (a) C1-6 arom. hydrocarbon group; or (b) an optionally benzofused 5- or 6-membered heterocyclic group with one to three heteroatoms in the heterocyclic ring, which heteroatoms are independently N, O and S; or (c) CH2CH2Ph, CH:CHPh; or (d) C1-6 alkyl, optionally substituted by 1-4 substituents halo, C1-6 alkoxy, CO2R4, OC(O)R4 and NR4R5; each of which groups (a), (b) and (c) is optionally substituted by up to four substituents = independently (i) C1-6 alkyl, optionally substituted by 1-4 substituents selected from: halo, OR4, CO2R4, OC(O)R4 and NR4R5; (ii) C1-6 alkoxy; (iii) CO2R4 and OC(O)R4; (iv) halo; (v) NO2; (vi) CN; (vii) NR4R5; (viii) C1-3 alkylenedioxy; (ix) OH; (x) alkoxycarbonyl. Arl and Ar2 = independently aryl5 or het1. Aryl4 = Ph or naphthyl group optionally substituted by up to three substituents = independently C1-3 alkyl, CF3, halogen, C1-3 alkoxy, CF3O, OH, NO2, CN, NR4R5, COR4, CO2R4, CONR4R5, S(O)p(C1-3 alkyl), CH2NR4R5, NR4COR5, COCF3, CH2OH, S(O)pCF3, C(:NH)NH2. Aryl5 = Ph, 1,3-benzodioxyl or naphthyl group optionally substituted by up to three substituents = independently C1-3 alkyl, CF3, halogen, C1-3 alkoxy, OCF3, OH, NO2, CN, NR4R5, C(0)R4, CO2R4, CONR4R5, S(0)p(C1-3)alkyl), CH2NR4R5, NR4COR3, COCF3, CH2OH, S(O)pCF3, C(:NH)NH2, C2-3 alkynyl, C2-3 alkenyl, Ph and het2. Het1 = 5- to 7-membered heterocyclic group with 1-3 heteroatoms in the heterocyclic ring, which heteroatoms =independently N, O and S, which heterocyclic ring is optionally benzofused, which group may be fully satd. or partially or fully unsatd., and which is optionally substituted by up to three substituents = independently C1-3 alkyl, CF3, halogen, C1-3 alkoxy, CF3O, OH, NO2, CN, NR4R5, COR4, CO2R4, CONR4R5, S(O)p(C1-3 alkyl), CH2NR4R5, NR4COR5, COCF3, CH2OH, S(O)pCF3, C(:NH)NH2, C2-3 alkynyl, C2-3 alkenyl, Ph and het2, and, when present in the G moiety, is linked to the O atom to which it is joined to the remainder of the compd. I or II via a C atom in said het1 group. Het2 = 5- to 7-membered heterocyclic group with 1-3 heteroatoms in the heterocyclic ring, which heteroatoms are independently selected from N, O and S, which group may be fully satd. or partially or fully unsatd. P = 0, 1 or 2. The claimed compds. are claimed to be useful (no quant. data given) in the prepn. of a medicament for the treatment of restenosis, acute and chronic renal failure, systemic and pulmonary hypertension;

benign prostatic hyperplasia, male erectile dysfunction, prostate cancer, metastatic bone cancer, congestive heart failure, stroke, subarachnoid hemorrhage, angina, atherosclerosis, cerebral and cardiac ischemia, prevention of ischemia/reperfusion injury (e.g. allografts), cyclosporin induced nephrotoxicity, glaucoma, radiocontrast nephropathy, diabetic neuropathy, allergy, restoration of organ perfusion in hemorrhagic shock, lipoprotein lipase related disorders, chronic obstructive pulmonary disease and hyaline membrane disease in newborn. More than 100 prepns. of the claimed compds. are described but the methods of prepn. are not claimed.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 5 OF 7 CAPLUS COPYRIGHT 2003 ACS
AN
    1999:375544 CAPLUS
DN
    131:19000
ΤI
    Preparation of phenyloxazolidinones as bactericides
    Betts, Michael John; Swain, Michael Lingard
IN
    Zeneca Limited, UK
PΑ
    PCT Int. Appl., 79 pp.
SO
    CODEN: PIXXD2
DT
    Patent
LΑ
    English
FAN.CNT 1
     PATENT NO.
                 KIND DATE
                                        APPLICATION NO. DATE
                     ----
                                         -----
PΙ
    WO 9928317
                           19990610
                                        WO 1998-GB3496
                     Α1
                                                          19981124
        W: JP, US
        RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
            PT, SE
                                          GB 1997-25244 A 19971129
    EP 1034175
                      A1
                           20000913
                                         EP 1998-955759 19981124
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, FI
                                          GB 1997-25244 A 19971129
                                          WO 1998-GB3496 W 19981124
    JP 2001525320
                      T2
                           20011211
                                          JP 2000-523209 19981124
                                          GB 1997-25244 A 19971129
                                          WO 1998-GB3496 W 19981124
    US 6495551
                      B1
                           20021217
                                          US 2000-555203
                                                          20000525
                                          GB 1997-25244 A 19971129
                                          WO 1998-GB3496 W 19981124
    MARPAT 131:19000
OS
IT
     226385-08-6P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (prepn. of phenyloxazolidinones as bactericides)
RN
     226385-08-6 CAPLUS
CN
     1H-Imidazole-4-carboxamide, 1-[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-
     oxazolidinyl]-2-fluorophenyl]-N-2,1,3-benzothiadiazol-4-yl- (9CI) (CA
```

<5/18/2003>

Absolute stereochemistry.

INDEX NAME)

GI

$$\begin{array}{c|c}
 & R6 \\
 & N-R \\
 & R5 & I
\end{array}$$

Title compds. [I; R = Z1ZCH2R1; R1 = C1, F, OH, alkoxy, NHCORa, etc.; Ra = H, CH2Cl, alkyl, alkoxy, etc.; R4 = YR2 or CH(OH)YR2; R2 = (un)substituted heterocyclyl or -heteroaryl; R5,R6 = H, halo, CF3, alkyl; Y = (CH2)m, CO(CH2)m, CONH(CH2)m, etc.; Z = 2-oxooxazolidine-3,5-diyl throughout; Z1 = (2-fluoro) 1,4-phenylene, 2,6-difluoro-1,4-phenylene; m = 0-3] were prepd. Thus, I (R = Z1R3, R4 = CH2R7, R5 = R6 = H, Z1 = 2-fluoro-1,4-phenylene)(II; R3 = NHCO2CH2Ph, R7 = Me3CMe2SiO)(prepn. given) was cyclocondensed with (R)-glycidyl butyrate and the product converted in 4 steps to (R)-II (R3 = ZCH2NHAc)(III; R7 = OH) which was thioetherified by pyrimidine-2-thiol to give III (R7 = 2-pyrimidinylthio). Data for biol. activity of 1 prepd. I were given.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2003 ACS

AN 1996:711261 CAPLUS

DN 126:47192

TI Ambident reactivity of nitro heteroaromatic anions

AU Murashima, Takashi; Tamai, Ryuji; Fujita, Ken-ichi; Uno, Hidemitsu; Ono, Noboru

CS Dep. Chem., Faculty Sci., Ehime Univ., Matsuyama, 790-77, Japan

SO Tetrahedron Letters (1996), 37(46), 8391-8394 CODEN: TELEAY; ISSN: 0040-4039

PB Elsevier

DT Journal

LA English

OS CASREACT 126:47192

IT 180723-45-9P

RL: SPN (Synthetic preparation); PREP (Preparation) (reaction of nitroarenes with base and Et isocyanoacetate)

RN 180723-45-9 CAPLUS

CN [1,2,5]Thiadiazolo[3,4-f]quinazoline-9-carboxylic acid, ethyl ester,
6-oxide (9CI) (CA INDEX NAME)

Page 50

GI

AB The reaction of nitro heteroarom. compds. such as quinoxalines, benzothiadiazoles and selenadiazoles with Et isocyanoacetate in the presence of 1,8-diazabicyclo[5,4,9]undec-7-ene gave the corresponding pyrimidine N-oxides, while, in contrast, use of a proazaphosphatrane, i.e., 2,8,9-trimethyl-2,5,8,9-tetraaza-1-phosphabicyclo[3.3.3]undecane (I) or an iminophosphorane, i.e., 1,1',1''-[(1,1-dimethylethyl)phosphinimylidyne]tris[pyrrolidine] (II) as a base under similar conditions gave pyrroles. The reaction of 1-nitronaphthalene with I gave 2H-benz[e]isoindole-3-carboxylic acid Et ester (III) (21% yield). A similar reaction of 6-nitroquinoline with II gave 2H-pyrrolo[3,4-f]quinoline-1-carboxylic acid Et ester (IV) (22% yield).

L13 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2003 ACS

AN 1996:387378 CAPLUS

DN 125:195457

TI A new facet of the reaction of nitro heteroaromatic compounds with ethyl isocyanoacetate

AU Murashima, Takashi; Fujita, Ken-ichi; Ono, Kazuo; Ogawa, Takuji; Uno, Hidemitsu; Ono, Noboru

CS Dep. Chem., Fac. Sci., Ehime Univ., Matsuyama, 790, Japan

SO Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1996), (12), 1403-1407 CODEN: JCPRB4; ISSN: 0300-922X

PB Royal Society of Chemistry

DT Journal

LA English

IT 180723-41-5P 180723-45-9P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of fused pyrrole and pyrimidine derivs. by cyclocondensation of isocyanoacetate with nitro heteroarom. compds.)

RN 180723-41-5 CAPLUS

RN 180723-45-9 CAPLUS

CN [1,2,5]Thiadiazolo[3,4-f]quinazoline-9-carboxylic acid, ethyl ester, 6-oxide (9CI) (CA INDEX NAME)

Nitro heteroarenes react with Et isocyanoacetate in the presence of 1,8-diazabicyclo[5.4.0]undecene (DBU) to give pyrroles or pyrimidine N-oxides depending on the structure of the starting nitro compds. For example, 4-nitro-2,1,3-benzothiadiazole reacted with Et isocyanoacetate to give Et 2,1,3-benzothiadiazolo[3,4-c]pyrrole-2-carboxylate (33%), while a similar reaction with 5-nitro-2,1,3-benzothiadiazole gave the corresponding compd., Et pyrimido[5,4-e][2,1,3]benzothiadiazole-9-carboxylate (21%), as a sole product. A plausible mechanism for these reactions is presented.

=> d l14 fbib hitstr abs total

L14 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2003 ACS

AN 2003:282533 CAPLUS

DN 138:304304

TI Preparation of difluoroalkene derivatives as pest control agents containing the same, and intermediate therefor

IN Abe, Tetsuya; Tamai, Ryuji; Ito, Minoru; Tamaru, Masatoshi; Yano, Hiroyuki; Takahashi, Satoru; Muramatsu, Norimichi

PA Kumiai Chemical Industry Co., Ltd., Japan; Ihara Chemical Industry Co., Ltd.

SO PCT Int. Appl., 195 pp. CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE WO 2003029211 PΙ A1 20030410 WO 2002-JP10142 20020930 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG JP 2001-299687 A 20010928

JP 2001-233667 A 20010326 JP 2002-142329 A 20020517

OS MARPAT 138:304304

IT 509098-35-5P 509098-56-0P 509100-31-6P

RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of difluoroalkenyl heterocyclecarboxylate and -thiocarboxylates as pest control agents such as insecticides, acaricides, and nematocides)

RN 509098-35-5 CAPLUS

CN 2,1,3-Benzothiadiazole-5-carboxylic acid, 6,6-difluoro-5-methyl-5-hexenyl ester (9CI) (CA INDEX NAME)

RN 509098-56-0 CAPLUS

CN 2H-Benzotriazole-5-carboxylic acid, 2-(6,6-difluoro-5-methyl-5-hexenyl)-, 6,6-difluoro-5-methyl-5-hexenyl ester (9CI) (CA INDEX NAME)

RN 509100-31-6 CAPLUS

CN 6-Quinoxalinecarboxylic acid, 4,4-difluoro-3-methyl-3-butenyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{CF2} \\ \parallel \\ \text{Me-C-CH}_2\text{-CH}_2\text{-O-C} \\ \end{array}$$

IT 175204-21-4

RL: RCT (Reactant); RACT (Reactant or reagent) (prepn. of difluoroalkenyl heterocyclecarboxylate and -thiocarboxylates as pest control agents such as insecticides, acaricides, and nematocides)

RN 175204-21-4 CAPLUS

CN 2,1,3-Benzothiadiazole-5-carboxylic acid, methyl ester (9CI) (CA INDEX NAME)

The difluoroalkenyl heterocyclecarboxylate, -thiocarboxylates, or AB dithiocarboxylate derivs. represented by the general formula Q-C(:L1)-L2-(CH2)n-C(CF3):CF2 or pharmacol. acceptable salts thereof (wherein L1 and L2 are the same or different and each represents oxygen or sulfur; n is an integer of 2 to 8; and Q represents an optionally substituted 5- to 12-membered heterocyclic group having any desired heteroatom selected among nitrogen, oxygen, and sulfur wherein the heteroatom in the heterocyclic ring is a nitrogen, it may be oxidized to N-oxide), which are useful as insecticides, acaricides, and nematocides, are prepd. These compds. are sufficiently effective in controlling various pests even when used in a small dose and are highly safe for crops, natural enemies to the pests, and animals. Thus, 4-phenyl-1,2,3-thiadiazole-5-carboxylic acid 0.23, 6,6-difluoro-5-methyl-5hexenol 0.17, and 4-dimethylaminopyridine 0.13 g were dissolved in 4 mL CH2Cl2, treated with 0.29 g 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride at room temp., and stirred for 20 h to give

Patel <5/18/2003>

6,6-difluoro-5-methyl-5-hexenyl 4-phenyl-1,2,3-thiadiazole-5-carboxylate (I). I and 4,4-difluoro-3-methyl-3-butenyl 6-butoxy-2-methylpyrimidine-4carboxylate at 500 ppm controlled .gtoreq.90% 4th instar larvae of Nilaparvata lugens. THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT 2 ALL CITATIONS AVAILABLE IN THE RE FORMAT ANSWER 2 OF 2 CAPLUS COPYRIGHT 2003 ACS AN 2000:772615 CAPLUS DN 133:335247 Preparation of triazinamines, thiazolamines, and benzo[2,3]thiepino[4,5-ΤI d][1,3]thiazol-2-ylamines as selective NPY (Y5) antagonists IN Marzabadi, Mohammad R.; Wong, Wai C.; Noble, Stewart A.; Desai, Mahesh N. PΑ Synaptic Pharmaceutical Corporation, USA SO PCT Int. Appl., 291 pp. CODEN: PIXXD2 DT Patent English LΑ FAN.CNT 2 PATENT NO. KIND DATE APPLICATION NO. DATE ______ WO 2000064880 A1 20001102 WO 2000-US10784 20000421 PΙ W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG US 1999-296332 A219990422 US 1999-343762 A219990630 US 1999-343994 A219990630 US 6340683 B1 20020122 US 1999-296332 19990422 US 6124331 20000926 Α US 1999-343994 19990630 US 6218408 B1 20010417 US 1999-343762 19990630 EP 1183245 A1 20020306 EP 2000-923566 20000421 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO US 1999-296332 A 19990422 US 1999-343762 A 19990630 US 1999-343994 A 19990630 WO 2000-US10784W 20000421 JP 2002543067 T2 20021217 JP 2000-613833 20000421 US 1999-296332 A 19990422 US 1999-343762 A 19990630 US 1999-343994 A 19990630 WO 2000-US10784W 20000421 US 2002103201 A1 20020801 US 2002-37859 20020103 US 1999-296332 A119990422 PATENT FAMILY INFORMATION: FAN 2000:687964 PATENT NO. KIND DATE APPLICATION NO. DATE ---------PΙ US 6124331 Α 20000926 US 1999-343994 19990630

A1 20001102

WO 2000064880

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,

WO 2000-US10784 20000421

```
CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL,
             IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA,
             MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI,
             SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
             DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
             CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                           US 1999-296332 A219990422
                                           US 1999-343762 A219990630
                                           US 1999-343994 A219990630
     EP 1183245
                            20020306
                       Α1
                                           EP 2000-923566 20000421
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO
                                           US 1999-296332 A 19990422
                                           US 1999-343762 A 19990630
                                           US 1999-343994 A 19990630
                                           WO 2000-US10784W 20000421
     JP 2002543067
                       T2
                            20021217
                                           JP 2000-613833
                                                             20000421
                                           US 1999-296332 A 19990422
                                           US 1999-343762 A 19990630
                                           US 1999-343994 A 19990630
                                           WO 2000-US10784W 20000421
OS
    MARPAT 133:335247
IT
     296270-08-1P, N-[6-(4,5-Dihydrobenzo[2,3]thiepino[4,5-
     d] [1,3]thiazol-2-ylamino)hexyl]-2,1,3-benzothiadiazole
     -4-sulfonamide 296270-14-9P, N-[[4-(4,5-
     Dihydrobenzo[2,3]thiepino[4,5-d][1,3]thiazol-2-ylamino)cyclohexyl]methyl]-
     2,1,3-benzothiadiazole-4-sulfonamide 304006-08-4P,
     N-[4-[[4,6-Di(ethylamino)-1,3,5-triazin-2-yl]aminomethyl]cyclohexyl]methyl-
     2,1,3-benzothiadiazole-5-sulfonamide 304008-38-6P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (prepn. of triazinamines, thiazolamines, and benzo[2,3]thiepino[4,5-
        d][1,3]thiazol-2-ylamine selective NPY (Y5) antagonists via various
        synthetic routes)
RN
     296270-08-1 CAPLUS
CN
     2,1,3-Benzothiadiazole-4-sulfonamide, N-[6-[(4,5-
     dihydro[1]benzothiepino[5,4-d]thiazol-2-yl)amino]hexyl]- (9CI) (CA INDEX
     NAME)
```

RN 296270-14-9 CAPLUS

CN 2,1,3-Benzothiadiazole-4-sulfonamide, N-[[4-[(4,5-dihydro[1]benzothiepino[5,4-d]thiazol-2-yl)amino]cyclohexyl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

RN 304006-08-4 CAPLUS

CN 2,1,3-Benzothiadiazole-5-sulfonamide, N-[[4-[[[4,6-bis(ethylamino)-1,3,5-triazin-2-yl]amino]methyl]cyclohexyl]methyl]- (9CI) (CA INDEX NAME)

RN 304008-38-6 CAPLUS

CN 2,1,3-Benzothiadiazole-4-sulfonamide, N-[[trans-4-[(4,5-dihydro[1]benzothiepino[5,4-d]thiazol-2-yl)amino]cyclohexyl]methyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

The title compds. (I), (II), and (III) [wherein R1 = halo, NR3R4, or AB (un) substituted Ph or heteroaryl; R2 = NR3R4; R3 and R4 = independently H, hydroxyalkyl, thioalkyl, alkoxyalkyl, alkylthioalkyl, (thio)carbamoylalkyl, carboxyalkyl, aminoalkyl, cyanoalkyl, (thio)acyl, (cyclo)alkyl, (cyclo)alkenyl, alkynyl, or (un)subsituted phenyl(alkyl) or heteroarylalkyl; or R3 and R4 taken together with the N to which they are attached = (un) substituted azetidinyl, pyrrolidinyl, piperidinyl, azepanyl, (thio)morpholinyl, oxazepanyl, thiazepanyl, piperazinyl, or diazepanyl; R5 = substituted amino(alkyl)cyclohexyl(alkyl)amino, amino(alkyl)piperidinyl, piperidinyl(alkyl)amino, piperazinyl, etc.; Y = O,S, or NH; Ar = (un)substituted heteroaryl; R6 = H, alkyl, hydroxyalkyl, alkoxyalkyl, or (un) substituted Ph; R7 = substituted aminoalkylamino or amino(alkyl) cyclohexyl(alkyl) amino; B = O, NH, or S; X = S, S(O), or SO2; R8 = H or alkyl; R9 = H, halo, CN, OH, NO2, amino, sulfo, hydroxyalkyl, alkoxyalkyl, carbamoylalkyl, akylaminoaklyl, polyfluoroalkly, or (amino)alkyl; m = 0-1; n = 1-2] were prepd. as selective antagonists for the neurotransmitter neuropeptide Y (Y5) receptor. For example, reaction of N-[[4-(aminomethyl)cyclohexyl]methyl]-1-naphthalenesulfonamide with 2,4-dichloro-6-(isopropylamino) triazine afforded the triazinediamine (IV) in 60% yield. Assays of IV against cloned human NPY receptors showed selectivity for NPY (Y5) with a Ki of 138 nM compared to values of > 100,000 nM for NPY (Y1), (Y2), and (Y4). The functional in vitro activity for IV, characterized using a RIA of cAMP, was also detd. (pKb = 6.0). I are useful for the treatment of obesity, bulimia nervosa, sexual/reproductive disorders, depression, epileptic seizure, hypertension, cerebral hemorrhage, congestive heart failure, sleep disturbances, or any condition in which antagonism of the Y5 receptor may be beneficial.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d 119 fbib hitstr abs total

```
L19 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2003 ACS
```

AN 2002:148812 CAPLUS

DN 136:201943

TI UV-absorbing water-thinned coating compositions

IN Uchino, Bunji; Asakawa, Akihiko

PA Asahi Glass Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 8 pp. CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

```
PATENT NO. KIND DATE APPLICATION NO. DATE

PI JP 2002060575 A2 20020226 JP 2000-247804 20000817

JP 2000-247804 20000817
```

IT 400830-23-1P, n-Butyl methacrylate-cyclohexyl methacrylate-2-(2'-hydroxy-5'-methacryloyloxyethylphenyl)-2H-benzotriazole-methacrylic acid-methyl methacrylate copolymer 400830-28-6P, Blemmer PE

Page 58

200; tert-butyl methacrylate-2-(2'-Hydroxy-5'-methacryloyloxyethylphenyl)-2H-benzotriazole-methyl methacrylate graft copolymer 400830-30-0P 400830-31-1P, tert-Butyl methacrylate-ethylene oxide-2-(2'-hydroxy-5'-methacryloyloxyethylphenyl)-2H-benzotriazoleisobutyl methacrylate graft copolymer 400830-32-2P, tert-Butyl methacrylate-ethylene oxide-2-(2'-hydroxy-5'-methacryloyloxyethylphenyl)-2H-benzotriazole-methyl methacrylate graft copolymer 400830-33-3P , 2-(2'-Hydroxy-5'-methacryloyloxyethylphenyl)-2H-benztriazole -methacrylic acid-methyl methacrylate copolymer RL: IMF (Industrial manufacture); POF (Polymer in formulation); PRP (Properties); TEM (Technical or engineered material use); PREP (Preparation); USES (Uses)

(UV-absorbing water-thinned fluoropolymer coating compns.)

RN 400830-23-1 CAPLUS

> 2-Propenoic acid, 2-methyl-, polymer with 2-[3-(2H-benzotriazol-2-yl)-4hydroxyphenyl]ethyl 2-methyl-2-propenoate, butyl 2-methyl-2-propenoate, cyclohexyl 2-methyl-2-propenoate and methyl 2-methyl-2-propenoate (9CI) (CA INDEX NAME)

CM 1

CN

CRN 96478-09-0 CMF C18 H17 N3 O3

CM 2

CRN 101-43-9 CMF C10 H16 O2

CM 3

CRN 97-88-1 CMF C8 H14 O2

Page 59

$$\begin{array}{c|c} O & CH_2 \\ \parallel & \parallel \\ n\text{-BuO-} C\text{--} C\text{--} Me \end{array}$$

CM 4

CRN 80-62-6 CMF C5 H8 O2

$$\begin{array}{c|c} ^{H_2C} & \text{O} \\ \parallel & \parallel \\ \text{Me-} & \text{C-} & \text{C-} & \text{OMe} \end{array}$$

CM 5

CRN 79-41-4 CMF C4 H6 O2

RN 400830-28-6 CAPLUS

CN 2-Propenoic acid, 2-methyl-, 2-[3-(2H-benzotriazol-2-yl)-4-hydroxyphenyl]ethyl ester, polymer with 1,1-dimethylethyl 2-methyl-2-propenoate, methyl 2-methyl-2-propenoate and .alpha.-(2-methyl-1-oxo-2-propenyl)-.omega.-hydroxypoly(oxy-1,2-ethanediyl), graft (9CI) (CA INDEX NAME)

CM 1

CRN 96478-09-0 CMF C18 H17 N3 O3

CM 2

CRN 25736-86-1

CMF (C2 H4 O)n C4 H6 O2

CCI PMS

Page 60

$$H_2C$$
 O $Me-C-C$ $O-CH_2-CH_2$ OH

CM 3

CRN 585-07-9 CMF C8 H14 O2

CM 4

CRN 80-62-6 CMF C5 H8 O2

RN 400830-30-0 CAPLUS

CN 2-Propenoic acid, 2-methyl-, 2-[3-(2H-benzotriazol-2-yl)-4-hydroxyphenyl]ethyl ester, polymer with Antox MS 60, 1,1-dimethylethyl 2-methyl-2-propenoate and 2-methylpropyl 2-methyl-2-propenoate, graft (9CI) (CA INDEX NAME)

CM 1

CRN 155215-65-9

CMF Unspecified

CCI MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

CM 2

CRN 96478-09-0 CMF C18 H17 N3 O3

$$\begin{array}{c|c} & \text{OH} \\ & \text{N} \\ & \text{N} \\ & \text{CH}_2-\text{CH}_2-\text{O-C-C-Me} \end{array}$$

CM 3

CRN 585-07-9 CMF C8 H14 O2

CM 4

CRN 97-86-9 CMF C8 H14 O2

RN 400830-31-1 CAPLUS

CN 2-Propenoic acid, 2-methyl-, 2-[3-(2H-benzotriazol-2-yl)-4-hydroxyphenyl]ethyl ester, polymer with 1,1-dimethylethyl 2-methyl-2-propenoate, 2-methylpropyl 2-methyl-2-propenoate and oxirane, graft (9CI) (CA INDEX NAME)

CM 1

CRN 96478-09-0 CMF C18 H17 N3 O3

CM 2

CRN 585-07-9 CMF C8 H14 O2

CM 3

CRN 97-86-9 CMF C8 H14 O2

CM 4

CRN 75-21-8 CMF C2 H4 O

$\overset{\circ}{\triangle}$

CN

RN 400830-32-2 CAPLUS

2-Propenoic acid, 2-methyl-, 2-[3-(2H-benzotriazol-2-yl)-4-hydroxyphenyl]ethyl ester, polymer with 1,1-dimethylethyl 2-methyl-2-propenoate, methyl 2-methyl-2-propenoate and oxirane, graft (9CI) (CA INDEX NAME)

CM 1

CRN 96478-09-0 CMF C18 H17 N3 O3

CM 2

CRN 585-07-9 CMF C8 H14 O2

CM 3

CRN 80-62-6 CMF C5 H8 O2

$$\begin{array}{ccc} ^{H_2C} & \text{O} \\ \parallel & \parallel \\ \text{Me-} & \text{C-} & \text{C-} & \text{OMe} \end{array}$$

CM 4

CRN 75-21-8 CMF C2 H4 O

$\overset{\circ}{\triangle}$

RN 400830-33-3 CAPLUS

CN 2-Propenoic acid, 2-methyl-, polymer with 2-[3-(2H-benzotriazol-2-yl)-4-hydroxyphenyl]ethyl 2-methyl-2-propenoate and methyl 2-methyl-2-propenoate (9CI) (CA INDEX NAME)

CM 1

CRN 96478-09-0 CMF C18 H17 N3 O3

$$\begin{picture}(20,0) \put(0,0){\line(1,0){100}} \put(0,0){\line(1,0){100$$

CM 2

CRN 80-62-6 CMF C5 H8 O2

CM 3

CRN 79-41-4 CMF C4 H6 O2

AB The compns. contain fine particles of (A) fluoropolymers having no UV-absorbing groups and (B) polymers bearing UV-absorbing groups (A/B ratio 50/50-99/1) dispersed in aq. media. Thus, 70 parts of a water-thinned dispersion (50% solids) contg. a copolymer of chlorotrifluoroethylene 50, Et vinyl ether 15, cyclohexyl vinyl ether 33, 4-hydroxybutyl vinyl ether 1.5, and 4-hydroxybutyl vinyl ether-ethylene oxide adduct 1.5 mol% and 30 parts of a water-thinned dispersion (45% solids) contg. a copolymer of Me methacrylate 30, cyclohexyl methacrylate 20, methacrylic acid 5, Bu methacrylate 35, 2-(2'-hydroxy-5'-methacryloyloxyethylphenyl)-2H-benztriazole 10 mol% were mixed to give a coating compn. showing good appearance, storage stability, and alkali resistance.

L19 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2003 ACS

AN 2001:754088 CAPLUS

DN 135:304877

TI Films for decorative sheets with good bloom, weather, and fade resistance

IN Furuya, Takeshi; Onaka, Shinichi

PA Mitsubishi Kagaku MKV Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 6 pp. CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

PΙ

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001288314	A2	20011016	JP 2000-103225	20000405
			JP 2000-103225	20000405

IT 366804-80-0

RL: MOA (Modifier or additive use); USES (Uses)
 (UV absorbent; films for decorative sheets with good bloom, weather,
 and fade resistance)

RN 366804-80-0 CAPLUS

CN 2-Propenoic acid, 2-(2H-benzotriazol-2-yl)-4-methylphenyl ester, polymer with ethene, graft (9CI) (CA INDEX NAME)

CM 1

CRN 78366-87-7

CMF C16 H13 N3 O2

$$\begin{array}{c|c} & \text{Me} \\ \hline \\ N & \text{O-C-CH} \\ \hline \\ O & \text{CH} \end{array}$$

CM 2

CRN 74-85-1 CMF C2 H4

$H_2C = CH_2$

AB The films contain polypropylene type resins, polyethylene grafted with unsatd. group-contg. UV absorbents, and hindered amine-based light stabilizers. Thus, ethylene-propylene copolymer 100, 2-(2'-acryloyloxy-5'-methyl)benztriazole-grafted polyethylene 0.2, and di-Me succinate-1-(2-hydroxyethyl)-4-hydroxy-2,2,6,6-tetramethylpiperidine polycondensate 0.2 part were extruded to give a transparent sheet showing good UV absorption and weather resistance.

L19 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2003 ACS

AN 2000:120904 CAPLUS

DN 132:167754

TI UV-absorbing polymers and their weather-resistant compositions

IN Kono, Kazuhiro; Mori, Hiroshi; Akada, Mitsuo

PA Ohtsuka Chemical Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 20 pp. CODEN: JKXXAF

DT Patent

LA Japanese

FAN. CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2000053754 JP 3048573	A2 B2	20000222 20000605	JP 1999-157136	19990603

IT 259105-43-6P 259105-45-8P 259105-46-9P 259105-47-0P

RL: IMF (Industrial manufacture); PRP (Properties); TEM (Technical or engineered material use); PREP (Preparation); USES (Uses) (UV-absorbing polymers and weather-resistant coatings)

RN 259105-43-6 CAPLUS

CN Phenol, 4,4'-(1-methylethylidene)bis-, polymer with bis(trichloromethyl) carbonate and .alpha.,.alpha.'-[methylenebis[[5-(2H-benzotriazol-2-yl)-4-hydroxy-3,1-phenylene]-2,1-ethanediyl]]bis[.omega.-hydroxypoly[oxy(1-oxo-

JP 1998-154952 A 19980603

Page 66

1,6-hexanediyl)]] (9CI) (CA INDEX NAME)

CM 1

CRN 214746-68-6

CMF (C6 H10 O2)n (C6 H10 O2)n C29 H26 N6 O4

CCI PMS

PAGE 1-A

HO (CH₂) 5 - C - O
$$\frac{1}{n}$$
 CH₂ - CH₂ CH₂ - CH₂ - CH₂

PAGE 1-B

CM 2

CRN 32315-10-9 CMF C3 C16 O3

CM 3

CRN 80-05-7 CMF C15 H16 O2

Page 67

RN 259105-45-8 CAPLUS

CN Carbonic acid, polymer with .alpha.,.alpha.'-[methylenebis[[5-(2H-benzotriazol-2-yl)-4-hydroxy-3,1-phenylene]-2,1-ethanediyl]]bis[.omega.-hydroxypoly[oxy(1-oxo-1,6-hexanediyl)]] and 4,4'-(1-methylethylidene)bis[phenol] (9CI) (CA INDEX NAME)

CM 1

CRN 214746-68-6

CMF (C6 H10 O2)n (C6 H10 O2)n C29 H26 N6 O4

CCI PMS

PAGE 1-B

CM 2

CRN 463-79-6

CMF C H2 O3

Page 68

CM 3

CRN 80-05-7 CMF C15 H16 O2

RN 259105-46-9 CAPLUS

CN 1,4-Benzenedicarboxylic acid, dimethyl ester, polymer with 1,2-ethanediol and .alpha.,.alpha.'-[methylenebis[[5-(2H-benzotriazol-2-yl)-4-hydroxy-3,1-phenylene]-2,1-ethanediyl]]bis[.omega.-hydroxypoly[oxy(1-oxo-1,6-hexanediyl)]] (9CI) (CA INDEX NAME)

CM 1

CRN 214746-68-6

CMF (C6 H10 O2)n (C6 H10 O2)n C29 H26 N6 O4

CCI PMS

PAGE 1-B

$$-(CH2)5 - OH$$

CM 2

CRN 120-61-6 CMF C10 H10 O4

Page 69

CM 3

CRN 107-21-1 CMF C2 H6 O2

но- ch₂- ch₂- он

RN 259105-47-0 CAPLUS

CN 1,2-Ethanediol, polymer with .alpha.,.alpha.'-[methylenebis[[5-(2H-benzotriazol-2-yl)-4-hydroxy-3,1-phenylene]-2,1-ethanediyl]]bis[.omega.-hydroxypoly[oxy(1-oxo-1,6-hexanediyl)]] and 1,1'-methylenebis[4-isocyanatobenzene] (9CI) (CA INDEX NAME)

CM 1

CRN 214746-68-6

CMF (C6 H10 O2)n (C6 H10 O2)n C29 H26 N6 O4

CCI PMS

PAGE 1-B

Page 70

CM 2

CRN 107-21-1 CMF C2 H6 O2

но- ch2- ch2- он

CM 3

CRN 101-68-8 CMF C15 H10 N2 O2

IT 214746-68-6P

RL: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)

(UV-absorbing polymers and weather-resistant coatings)

RN 214746-68-6 CAPLUS

CN Poly[oxy(1-oxo-1,6-hexanediyl)], .alpha.,.alpha.'-[methylenebis[[5-(2H-benzotriazol-2-yl)-4-hydroxy-3,1-phenylene]-2,1-ethanediyl]]bis[.omega.-hydroxy-(9CI) (CA INDEX NAME)

PAGE 1-A

OH

OH

$$CH_2$$
 CH_2
 CH_2

PAGE 1-B

$$-(CH2)5 - OH$$

IT 196516-61-7, RUVA 100

RL: RCT (Reactant); RACT (Reactant or reagent) (UV-absorbing polymers and weather-resistant coatings)

RN 196516-61-7 CAPLUS

CN Benzeneethanol, 3,3'-methylenebis[5-(2H-benzotriazol-2-yl)-4-hydroxy-(9CI) (CA INDEX NAME)

GΙ

Title polymers having viscosity-av. mol. wt. (Mv) 5000-100,000, and useful for coatings, etc., comprise 0.01-70% I (A = direct bond, C1-6 alkylene, O, NH, S, SO, SO2; R1, R2 = H, C1-4 alkyl, aryl, C1-4 alkoxy, halo; R3, R6 = direct bond, C1-12 alkylene; R4, R5, R7, R8 = H, C1-10 alkyl; m, p = 1-20; n, q = 1-10) units and II (B = C1-10 alkylene, O, CO, NH, S, SO, SO2; R9-R12 = H, halo, C1-4 alkyl or alkoxy) units. Thus, reacting 129.3 g 2,2'-methylenebis[6-(2H-benzotriazol-2-yl)-4-(2-hydroxyethyl)phenol] (RUVA 100) with 170.3 g caprolactone gave a diol (Mw 1688), which (0.356 g) was polymd. with 1.72 g bisphenol A and 2.08 g triphosgene to give a polymer with Mv 25,100, yellow index difference (.DELTA.YI) 0.2 after 1200 h under sunshine weatherometer and retention of absorbance 98.8% after 40 h at 70.degree. in H2O.

```
L19 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2003 ACS
AN
    1997:234256 CAPLUS
DN
    126:225293
    Process for preparation of 2-(2'-hydroxyphenyl)benztriazole
ΤI
    compounds
    Eastman Kodak Co., USA
PA
    Jpn. Kokai Tokkyo Koho, 23 pp.
SO
    CODEN: JKXXAF
DT
    Patent
LΑ
    Japanese
FAN.CNT 2
    PATENT NO.
                     KIND DATE
                                         APPLICATION NO. DATE
     _____
                     ____
                          -----
                                          -----
PΙ
    JP 09048768
                      A2
                           19970218
                                          JP 1996-169329 19960628
                                          US 1995-663P P 19950629
                                          US 1996-602946 A 19960216
    US 5670654
                           19970923
                                         US 1996-602946
                                                          19960216
PATENT FAMILY INFORMATION:
    1997:141008
    PATENT NO.
                     KIND
                           DATE
                                         APPLICATION NO.
                                                          DATE
                           -----
                                          -----
PΙ
    EP 751134
                      A1
                           19970102
                                          EP 1996-201732
                                                          19960621
    EP 751134
                      В1
                           20000830
        R: DE, FR, GB
                                         US 1995-663P P 19950629
                                         US 1996-602946 A 19960216
    US 5670654
                           19970923
                      Α
                                         US 1996-602946
                                                          19960216
OS
    CASREACT 126:225293; MARPAT 126:225293
IT
    188124-46-1P 188124-48-3P
    RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP
     (Preparation)
        (process for prepn. of 2-(2'-hydroxyphenyl)benztriazole
       compds.)
RN
    188124-46-1 CAPLUS
CN
    Acetamide, N-[4-(5-chloro-2H-benzotriazol-2-yl)-3-hydroxyphenyl]- (9CI)
    (CA INDEX NAME)
```

RN 188124-48-3 CAPLUS

CN Acetamide, N-acetyl-N-[4-(5-chloro-2H-benzotriazol-2-yl)-3-hydroxyphenyl]-(9CI) (CA INDEX NAME)

GI

AB The title compds. (I; R1-R7 = H, halo, cyano, CO2Y, etc.; Y = H, C6-12 aryl; X = O, S, NR8; R8 = H, C1-12 alkyl, aryl, etc.) are prepd. by protection, redn., cyclization, and deprotection of nitrophenylazo compds. (II; X, R1-R7 = same as above). I are useful as UV absorbents for plastics, coatings, photographics, and related products. Thus, II (XH = 4-OMe, R1-R7 = H) (prepn. given) was reduced by thiourea-S,S-dioxide followed by cyclization and treatment with BF3 to give I (XH = 4-OH, R1-R7 = H).

L19 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2003 ACS

AN 1994:334974 CAPLUS

DN 120:334974

TI Negative-working photosensitive electrodeposition coating resin composition, electrodeposition coating bath with it, and manufacture of resist pattern

IN Uehara, Hideaki; Amanokura, Hitoshi; Tachiki, Shigeo; Kato, Takuro; Tsukada, Katsushige; Yamazaki, Juji; Takahashi, Tosha; Shiotani, Toshihiko; Nagashima, Yoshihisa

PA Dainippon Toryo Kk, Japan; Hitachi Chemical Co Ltd

Ι

II

SO Jpn. Kokai Tokkyo Koho, 9 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

JP 1992-79779 19920401

OS MARPAT 120:334974

IT 155049-55-1, 2H-Benzotriazole-5-propanoic acid

RL: USES (Uses)

(neg.-working photoresist compn. contg., for electrodeposition coating)

RN 155049-55-1 CAPLUS

CN 2H-Benzotriazole-5-propanoic acid (9CI) (CA INDEX NAME)

GI

$$(Y)_{n} \xrightarrow{\mathbb{N}}_{\mathbb{N}}^{\mathbb{N}} \qquad (Y)_{n} \xrightarrow{\mathbb{N}}_{\mathbb{N}-\mathbb{R}^{2}}^{\mathbb{N}}$$

The compn. contains (A) an acrylic acid- and/or methacrylic acid-contg. copolymer which has acid value 20-300 and is neutralized with a basic org. compds., (B) a H2O-insol. monomer contg. .gtoreq.2 of photopolymerizable unsatd. bonds, (C) a H2O-insol. photoinitiator, and (D) a benztriazole deriv. I (R1 = XY3; X = alkylene, cycloalkylene, alkylene ether; Y = CO2H or its salt, SO3H or its salt; R2 = H, OH, alkyl, ester, Ph, XR4; R4 = OH, alkoxy, CO2H or its salt, SO3H or its salt, dialkylamino; n = 1-3) and a benztriazole derivs. II. The bath contains the compn. The pattern is manufd. by immersing a conductive substrate as an anode in the bath, conducting to form an electrodeposition coating film on the substrate, irradiating an active ray to the film to photocure an exposured part, and removing of an unexposured part by development.

L19 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2003 ACS

AN 1994:334973 CAPLUS

DN 120:334973

TI Negative-working photosensitive electrodeposition coating resin composition, electrodeposition coating bath with it, and manufacture of resist pattern

IN Uehara, Hideaki; Amanokura, Hitoshi; Tachiki, Shigeo; Kato, Takuro; Tsukada, Katsushige; Yamazaki, Juji; Takahashi, Tosha; Shiotani, Toshihiko; Nagashima, Yoshihisa

PA Dainippon Toryo Kk, Japan; Hitachi Chemical Co Ltd

SO Jpn. Kokai Tokkyo Koho, 12 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

PATENT NO. KIND DATE

APPLICATION NO. DATE

10077150.7

Page 75

PI JP 05281736 A2 19931029 JP 1992-79778 19920401 JP 1992-79778 19920401

IT 155049-52-8, 2H-Benzotriazole-5-sulfonic acid

RL: USES (Uses)

(neg.-working photoresist compn. contg., for electrodeposition coating)

RN 155049-52-8 CAPLUS

CN 2H-Benzotriazole-5-sulfonic acid (9CI) (CA INDEX NAME)

GI

$$(Y)_{n} \xrightarrow{\mathbb{R}^{1}} \mathbb{N}_{\mathbb{N}}$$

$$(Y)_{n} \xrightarrow{\mathbb{N}^{1}} \mathbb{N}_{\mathbb{N}}$$

$$(Y)_{n} \xrightarrow{\mathbb{N}$$

The compn. contains (A) an acrylic acid- and/or methacrylic acid-contg. copolymer which has acid value 20-300 and is neutralized with a basic org. compds., (B) a H2O-insol. monomer contg. .gtoreq.2 of photopolymerizable unsatd. bonds, (C) a H2O-insol. photoinitiator, and (D) a benztriazole deriv. I (R1 = H, halo, OH, alkyl, alkoxy; R2 = H, OH, alkyl, Ph, ZR3; Z = alkylene, cycloalkylene, alkylene ether; R3 = OH, alkoxy, SO3H or its salt, dialkylamino; Y = SO3H or its salt; n = 1-3; if R2 = SO3H or its salt, n may 0) or a benztriazole derivs. II. The bath contains the compn. The pattern is manufd. by immersing a conductive substrate as an anode in the bath, conducting to form an electrodeposition coating film on the substrate, irradiating an active ray to the film to photocure an exposured part, and removing of an unexposured part by development.

=> d 122 fbib hitstr abs total

L22 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2003 ACS

AN 2002:869496 CAPLUS

DN 137:363033

TI Peptidomimetic modulators of cell adhesion

IN Gour, Barbara J.; Blaschuk, Orest W.; Ali, Anmar; Ni, Feng; Chen, Zhigang;
Michaud, Stephanie D.; Wang, Shoameng; Hu, Zenjian

PA Can.

SO U.S. Pat. Appl. Publ., 309 pp., Cont.-in-part of U.S. Ser. No. 491,078. CODEN: USXXCO

DT Patent

LA English

FAN.CNT 2

PATENT NO. KIND DATE APPLICATION NO. DATE

```
-----
PΙ
     US 2002168761
                      A1
                           20021114
                                          US 2001-769145 20010124
                                          US 2000-491078 A220000124
PATENT FAMILY INFORMATION:
FAN
    2001:545724
     PATENT NO.
                     KIND DATE
                                          APPLICATION NO. DATE
                     ----
                           -----
                                           ______
ΡI
     WO 2001053331
                      A2
                           20010726
                                          WO 2001-US2508
                                                           20010124
     WO 2001053331
                      A3
                           20020711
     WO 2001053331
                      C2
                           20021031
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
             HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
            LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
             SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
             YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
            DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                          US 2000-491078 A 20000124
OS
     MARPAT 137:363033
IT
     188966-22-5D, Phenol, 2-(2H-benzotriazol-2-yl)-4-(1,1-
     dimethylhexyl)-, derivs. 351857-41-5, 2,1,3-
     Benzoxadiazole-5-carboxamide, N-(2-phenylethyl) -
     351857-49-3, Urea, N-[2-[(2,1,3-benzoxadiazol-5-
     ylmethyl)thio]phenyl]-N'-(2,4-dichlorophenyl)- 351857-50-6,
     2-Thiophenecarboxamide, N-[2-[(2,1,3-benzoxadiazol-5-ylmethyl)thio]phenyl]-
        351857-54-0, Morpholine, 4-[[2-(2,1,3-benzoxadiazol-5-yl)-4-
     thiazolyl]carbonyl] - 351857-55-1, 4-Thiazolecarboxamide,
     2-(2,1,3-benzoxadiazol-5-yl)-N-(2-pyridinylmethyl)- 351857-56-2,
     4-Thiazolecarbothioic acid, 2-(2,1,3-benzoxadiazol-5-yl)-,
     S-(2,4-dichlorophenyl) ester 351857-57-3, 4-Thiazolecarbothioic
     acid, 2-(2,1,3-benzoxadiazol-5-yl)-, S-phenyl ester 351857-58-4,
     Piperazine, 1-(2,1,3-benzoxadiazol-5-ylcarbonyl)-4-phenyl-
     351857-70-0, 4-Thiazolecarboxylic acid, 2-[(2,1,3-benzoxadiazol-5-
     yloxy)methyl]-, 4-chlorophenyl ester 351858-16-7, 2,1,3-
     Benzoxadiazole, 5-[[4-(4-methoxyphenyl)-2-thiazolyl]methoxy]-
     351858-17-8, 4-Thiazolecarboxamide, 2-[(2,1,3-benzoxadiazol-5-
     yloxy) methyl] -N-(4-chlorophenyl) - 351858-60-1,
     19-Norpregn-5-ene-20-carboxylic acid, 3-(acetyloxy)-, 2-[[(7-nitro-2,1,3-
     benzoxadiazol-4-yl)methyl]amino]ethyl ester, (3.beta.,20S)-
     RL: BSU (Biological study, unclassified); PAC (Pharmacological activity);
     PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES
     (Uses)
        (peptidomimetic modulators of cadherin-mediated cell adhesion for
        therapeutic use in relation to three-dimensional structure)
     188966-22-5 CAPLUS
RN
     Phenol, 2-(2H-benzotriazol-2-yl)-4-(1,1-dimethylhexyl)- (9CI) (CA INDEX
CN
     NAME)
```

RN 351857-41-5 CAPLUS

CN 2,1,3-Benzoxadiazole-5-carboxamide, N-(2-phenylethyl)- (9CI) (CA INDEX NAME)

RN 351857-49-3 CAPLUS

CN Urea, N-[2-[(2,1,3-benzoxadiazol-5-ylmethyl)thio]phenyl]-N'-(2,4-dichlorophenyl)- (9CI) (CA INDEX NAME)

RN 351857-50-6 CAPLUS

CN 2-Thiophenecarboxamide, N-[2-[(2,1,3-benzoxadiazol-5-ylmethyl)thio]phenyl](9CI) (CA INDEX NAME)

RN 351857-54-0 CAPLUS

CN Morpholine, 4-[[2-(2,1,3-benzoxadiazol-5-yl)-4-thiazolyl]carbonyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\
 & & \\$$

RN 351857-55-1 CAPLUS

CN 4-Thiazolecarboxamide, 2-(2,1,3-benzoxadiazol-5-yl)-N-(2-pyridinylmethyl)-(9CI) (CA INDEX NAME)

RN 351857-56-2 CAPLUS

CN 4-Thiazolecarbothioic acid, 2-(2,1,3-benzoxadiazol-5-yl)-, S-(2,4-dichlorophenyl) ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 351857-57-3 CAPLUS

CN 4-Thiazolecarbothioic acid, 2-(2,1,3-benzoxadiazol-5-yl)-, S-phenyl ester (9CI) (CA INDEX NAME)

RN 351857-58-4 CAPLUS

CN Piperazine, 1-(2,1,3-benzoxadiazol-5-ylcarbonyl)-4-phenyl- (9CI) (CA INDEX NAME)

RN 351857-70-0 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2,1,3-benzoxadiazol-5-yloxy)methyl]-, 4-chlorophenyl ester (9CI) (CA INDEX NAME)

RN 351858-16-7 CAPLUS

CN 2,1,3-Benzoxadiazole, 5-[[4-(4-methoxyphenyl)-2-thiazolyl]methoxy]- (9CI) (CA INDEX NAME)

$$\stackrel{\text{MeO}}{\longrightarrow} \stackrel{\text{N}}{\longrightarrow} \text{CH}_2 - 0 \stackrel{\text{N}}{\longrightarrow} \stackrel{\text{O}}{\longrightarrow} \stackrel{\text{N}}{\longrightarrow} 0$$

RN 351858-17-8 CAPLUS

CN 4-Thiazolecarboxamide, 2-[(2,1,3-benzoxadiazol-5-yloxy)methyl]-N-(4-chlorophenyl)- (9CI) (CA INDEX NAME)

RN 351858-60-1 CAPLUS

CN 19-Norpregn-5-ene-20-carboxylic acid, 3-(acetyloxy)-, 2-[[(7-nitro-2,1,3-benzoxadiazol-4-yl)methyl]amino]ethyl ester, (3.beta.,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

AB Peptidomimetics of cyclic peptides, and compns. comprising such peptidomimetics are provided. The peptidomimetics have a three-dimensional structure that is substantially similar to a three-dimensional structure of a cyclic peptide that comprises a cadherin cell adhesion recognition sequence HAV. Methods for using such peptidomimetics for modulating cadherin-mediated cell adhesion in a variety of contexts are also provided.

```
L22 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2003 ACS
```

AN 2001:479145 CAPLUS

DN 135:81810

TI Hair dyeing preparations containing benzofurazan derivs.

IN Moeller, Hinrich; Oberkobusch, Doris; Hoeffkes, Horst

PA Henkel K.-G.a.A., Germany

SO Ger. Offen., 12 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

F	PATENT NO.		KII	ND	DATE			A	PLI	CATI	ои ис	Ο.	DATE				
PI D	DE 199	 52880		 A	 1	2001	0628		 DE		99-1	99628	 380	1999	1224		
	NO 200	10474	85	A.										2000			
		AU, : AT,	•		CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,
		PT,	SE,	TR					DE	E 19	99-1	99628	380A	. 199	9122	4	

OS MARPAT 135:81810

IT 192119-42-9 216699-34-2, 7-Chloro-4-

morpholinosulfonylbenzofurazan 346593-12-2

RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)

(hair dyeing prepns. contg. benzofurazan derivs.)

RN 192119-42-9 CAPLUS

CN 2,1,3-Benzoxadiazole-4-sulfonamide, 7-chloro-N,N-dimethyl- (9CI) (CA INDEX NAME)

RN 216699-34-2 CAPLUS

CN Morpholine, 4-[(7-chloro-2,1,3-benzoxadiazol-4-yl)sulfonyl]- (9CI) (CA INDEX NAME)

RN 346593-12-2 CAPLUS

CN 2,1,3-Benzoxadiazole-4-sulfonamide, 7-chloro- (9CI) (CA INDEX NAME)

GI

$$R^4$$
 R^3
 R^2
 R^3
 R^2
 R^3
 R^2
 R^3

AB The invention concerns the usage benzofurazan derivs. [(I), R groups are defined] in hair dyeing prepns. Thus 5 mmol 7-chloro-5-nitrobenzofurazan was mixed with 5 mmol of various oxidn. dye precursors along with 5 mmol sodium acetate and a drop of fatty alkyl ethersulfate soln. in 50 mL water at 50.degree.C. After cooling the compns. were applied onto hair; the usage of 2,5-diaminotoluene x H2SO4 resulted brown-violet shade.

```
L22 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2003 ACS
     2001:63992 CAPLUS
AN
DN
     134:116237
ΤI
     Preparation of bradykinin B1 receptor antagonists
IN
     Ohlmeyer, Michael H. J.; Baldwin, John J.; Dolle, Roland E., III;
     Paradkar, Vidyadhar; Quintero, Jorge Gabriel; Pan, Gonghua
PΑ
     Pharmacopeia, Inc., USA
SO
     PCT Int. Appl., 231 pp.
     CODEN: PIXXD2
DT
     Patent
LΑ
     English
FAN.CNT 1
     PATENT NO.
                        KIND DATE
                                               APPLICATION NO. DATE
     -----
                         ----
                                                ----
ΡI
     WO 2001005783
                        A1
                               20010125
                                                WO 2000-US19185 20000714
          W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
              CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
              HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
              LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
         SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                                US 1999-143990PP 19990715
     EP 1196411
                               20020417
                                                EP 2000-950343 20000714
                         A1
          R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
              IE, SI, LT, LV, FI, RO
                                                US 1999-143990PP 19990715
                                                WO 2000-US19185W 20000714
     JP 2003505384
                          T2
                               20030212
                                                 JP 2001-511442
                                                                    20000714
                                                US 1999-143990PP 19990715
                                                WO 2000-US19185W 20000714
OS
     MARPAT 134:116237
IT
     321330-19-2P, 2,1,3-Benzoxadiazole-5-methanamine
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
     (Reactant or reagent)
         (prepn. of bradykinin B1 receptor antagonists)
RN
     321330-19-2 CAPLUS
CN
     2,1,3-Benzoxadiazole-5-methanamine (9CI) (CA INDEX NAME)
```

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Compds. I [X, Y, Z = CH or N; A = A1 or A2, where A1 is R4R5NCO (R4 = H, aryl, heteroaryl, substituted alkyl; R5 = H, alkyl), 5-aryl-1,2,4-triazol-3-yl, 2-aryl-4-imidazolyl, or 2-aryl-5-thiazolyl and A2 is R7CONH (R7 = aryl or alkylaryl), R7SO2NH, R4NH, R4O; Q = heteroaryl, aryl, CH2R13 (R13 = OH, OTHP, 1-imidazolyl, 1-pyrrolyl), CH:NOMe, or 1,3-dithian-2-yl; W = H, Cl, F, alkyl, aryl, heteroaryl, alkoxy, alkylthio, an amino group, arylcarbamoyl, etc.; R1 = alkyl, cycloalkyl, heterocyclyl, aryl, heteroaryl, etc.; R2 = H or alkyl or R1R2C is a ring optionally contg. O, S or N; R3 = H or alkyl, or when n is zero, R2 and R3 taken together form a 6-membered ring (with provisos)] were prepd. as bradykinin B1 receptor antagonists. Thus, D-leucine deriv. II was prepd. by substitution reaction of D-leucine 4-chlorobenzylamide with 2,4-dichloro-(or difluoro)-6-(1H-imidazol-1-yl)pyrimidine and then

3-chlorobenzylamine. Pharmaceutical formulations contg. II are described.
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d 123 fbib hitstr abs total

L23 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2003 ACS

AN 2002:869496 CAPLUS

DN 137:363033

TI Peptidomimetic modulators of cell adhesion

IN Gour, Barbara J.; Blaschuk, Orest W.; Ali, Anmar; Ni, Feng; Chen, Zhigang;
Michaud, Stephanie D.; Wang, Shoameng; Hu, Zenjian

PA Can

SO U.S. Pat. Appl. Publ., 309 pp., Cont.-in-part of U.S. Ser. No. 491,078. CODEN: USXXCO

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO. DATE
DT				
ΡI	US 2002168761	A1	20021114	US 2001-769145 20010124
				US 2000-491078 A220000124

PATENT FAMILY INFORMATION:

FAN 2001:545724

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE					
ΡI	WO 2001053331	A2	20010726	WO 2001-US2508	20010124					
	WO 2001053331	A3	20020711							

```
WO 2001053331
                          C2
                                20021031
             AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
               CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
               HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
               LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
          SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                                  US 2000-491078 A 20000124
OS
     MARPAT 137:363033
IT
     188966-22-5D, Phenol, 2-(2H-benzotriazol-2-yl)-4-(1,1-
     dimethylhexyl)-, derivs. 351857-41-5, 2,1,3-
     Benzoxadiazole-5-carboxamide, N-(2-phenylethyl)-
     351857-49-3, Urea, N-[2-[(2,1,3-benzoxadiazol-5-
     ylmethyl)thio]phenyl]-N'-(2,4-dichlorophenyl)- 351857-50-6,
     2-Thiophenecarboxamide, N-[2-[(2,1,3-benzoxadiazol-5-ylmethyl)thio]phenyl]-
         351857-54-0, Morpholine, 4-[[2-(2,1,3-benzoxadiazol-5-yl)-4-
     thiazolyl]carbonyl] - 351857-55-1, 4-Thiazolecarboxamide,
     2-(2,1,3-benzoxadiazol-5-yl)-N-(2-pyridinylmethyl)- 351857-56-2,
     4-Thiazolecarbothioic acid, 2-(2,1,3-benzoxadiazol-5-yl)-,
     S-(2,4-dichlorophenyl) ester 351857-57-3, 4-Thiazolecarbothioic
     acid, 2-(2,1,3-benzoxadiazol-5-yl)-, S-phenyl ester 351857-58-4, Piperazine, 1-(2,1,3-benzoxadiazol-5-ylcarbonyl)-4-phenyl-
     351857-70-0, 4-Thiazolecarboxylic acid, 2-[(2,1,3-benzoxadiazol-5-
     yloxy)methyl]-, 4-chlorophenyl ester 351858-16-7, 2,1,3-
     Benzoxadiazole, 5-[[4-(4-methoxyphenyl)-2-thiazolyl]methoxy]-
     351858-17-8, 4-Thiazolecarboxamide, 2-[(2,1,3-benzoxadiazol-5-
     yloxy) methyl] -N-(4-chlorophenyl) - 351858-60-1,
     19-Norpregn-5-ene-20-carboxylic acid, 3-(acetyloxy)-, 2-[[(7-nitro-2,1,3-
     benzoxadiazol-4-yl)methyl]amino]ethyl ester, (3.beta.,20S)-
     RL: BSU (Biological study, unclassified); PAC (Pharmacological activity);
     PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES
     (Uses)
         (peptidomimetic modulators of cadherin-mediated cell adhesion for
         therapeutic use in relation to three-dimensional structure)
RN
     188966-22-5 CAPLUS
CN
     Phenol, 2-(2H-benzotriazol-2-yl)-4-(1,1-dimethylhexyl)- (9CI) (CA INDEX
     NAME)
```

RN 351857-41-5 CAPLUS
CN 2,1,3-Benzoxadiazole-5-carboxamide, N-(2-phenylethyl)- (9CI) (CA INDEX NAME)

RN 351857-49-3 CAPLUS

CN Urea, N-[2-[(2,1,3-benzoxadiazol-5-ylmethyl)thio]phenyl]-N'-(2,4-dichlorophenyl)- (9CI) (CA INDEX NAME)

RN 351857-50-6 CAPLUS

CN 2-Thiophenecarboxamide, N-[2-[(2,1,3-benzoxadiazol-5-ylmethyl)thio]phenyl]-(9CI) (CA INDEX NAME)

RN 351857-54-0 CAPLUS

CN Morpholine, 4-[[2-(2,1,3-benzoxadiazol-5-yl)-4-thiazolyl]carbonyl]- (9CI) (CA INDEX NAME)

RN 351857-55-1 CAPLUS

CN 4-Thiazolecarboxamide, 2-(2,1,3-benzoxadiazol-5-yl)-N-(2-pyridinylmethyl)-

(9CI) (CA INDEX NAME)

RN 351857-56-2 CAPLUS

CN 4-Thiazolecarbothioic acid, 2-(2,1,3-benzoxadiazol-5-yl)-, S-(2,4-dichlorophenyl) ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 351857-57-3 CAPLUS

CN 4-Thiazolecarbothioic acid, 2-(2,1,3-benzoxadiazol-5-yl)-, S-phenyl ester (9CI) (CA INDEX NAME)

RN 351857-58-4 CAPLUS

CN Piperazine, 1-(2,1,3-benzoxadiazol-5-ylcarbonyl)-4-phenyl- (9CI) (CA INDEX NAME)

RN 351857-70-0 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2,1,3-benzoxadiazol-5-yloxy)methyl]-, 4-chlorophenyl ester (9CI) (CA INDEX NAME)

RN 351858-16-7 CAPLUS

CN 2,1,3-Benzoxadiazole, 5-[[4-(4-methoxyphenyl)-2-thiazolyl]methoxy]- (9CI) (CA INDEX NAME)

RN 351858-17-8 CAPLUS

CN 4-Thiazolecarboxamide, 2-[(2,1,3-benzoxadiazol-5-yloxy)methyl]-N-(4-chlorophenyl)- (9CI) (CA INDEX NAME)

RN 351858-60-1 CAPLUS

CN 19-Norpregn-5-ene-20-carboxylic acid, 3-(acetyloxy)-, 2-[[(7-nitro-2,1,3-benzoxadiazol-4-yl)methyl]amino]ethyl ester, (3.beta.,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

AB Peptidomimetics of cyclic peptides, and compns. comprising such peptidomimetics are provided. The peptidomimetics have a

three-dimensional structure that is substantially similar to a three-dimensional structure of a cyclic peptide that comprises a cadherin cell adhesion recognition sequence HAV. Methods for using such peptidomimetics for modulating cadherin-mediated cell adhesion in a variety of contexts are also provided.

```
L23
     ANSWER 2 OF 2 CAPLUS COPYRIGHT 2003 ACS
     2000:384156 CAPLUS
AN
DN
     133:30662
ΤI
     Preparation of N-heteroaroyl-.beta.-alanines as .alpha.4 integrin
     inhibitors
ΙN
     Porter, John Robert; Head, John Clifford; Warrellow, Graham John;
     Archibald, Sarah Catherine
PA
     Celltech Therapeutics Limited, UK
SO
     PCT Int. Appl., 66 pp.
     CODEN: PIXXD2
DT
     Patent
     English
LΑ
FAN.CNT 1
     PATENT NO.
                   KIND DATE
                                               APPLICATION NO. DATE
     -----
                              _____
                       ____
                                               -----
                      A1 20000608 WO 1999-GB3986 19991129
PΙ
     WO 2000032575
         W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,
              CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM,
              AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
              DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
              CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                               GB 1998-26174 A 19981130
     EP 1135371
                              20010926
                                               EP 1999-973020 19991129
                         A1
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
              IE, SI, LT, LV, FI, RO
                                               GB 1998-26174 A 19981130
                                               WO 1999-GB3986 W 19991129
     JP 2002531439
                         T2
                              20020924
                                               JP 2000-585217 19991129
                                               GB 1998-26174 A 19981130
                                               WO 1999-GB3986 W 19991129
05
     MARPAT 133:30662
IT
     273920-09-5P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
         (prepn. of N-heteroaroyl-.beta.-alanines as .alpha.4 integrin
        inhibitors)
RN
     273920-09-5 CAPLUS
CN
     Benzenepropanoic acid, .beta.-[(2,1,3-benzoxadiazol-4-ylcarbonyl)amino]-4-
     [[(3,5-dichloro-4-pyridinyl)carbonyl]amino]- (9CI) (CA INDEX NAME)
```

PAGE 1-A

PAGE 2-A

GI

AB R4ZZ1Z2CHR1CRR5R6 [I; R = (un)derivatized CO2H; R1 = NHR3, NHSO2R3, NHCOR3, etc.; R3 = aliph. group, (hetero)aryl, etc.; R4 = (un)substituted (hetero)aryl; R5,R6 = H, halo, alkyl, alkoxy, etc.,; Z = bond, (un)substituted (hetero)aliph. chain (sic); Z1 = bond, O, (alkyl)imino, CONH, CO2H, etc.; Z2 = (un)substituted phenylene, pyridinediyl,

sapon., title compd. II. Data for biol. activity of I were given. THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT 9 ALL CITATIONS AVAILABLE IN THE RE FORMAT => d 124 fbib hitstr abs total L24 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2003 ACS AN 2001:730744 CAPLUS DN 135:288790 TIPyrrolopyrimidines as tyrosine kinase inhibitors IN Hirst, Gavin C.; Calderwood, David; Munschauer, Rainer; Arnold, Lee D.; Johnston, David N.; Rafferty, Paul PA Basf Aktiengesellschaft, Germany SO PCT Int. Appl., 453 pp. CODEN: PIXXD2 DT Patent LΑ English FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. DATE _ _ _ _ ----------------WO 2000-US8593 20000329 WO 2001072751 PΤ A1 20011004 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG WO 2000-US8593 20000329

pyrazinediyl, etc.] were prepd. Thus, 4-(H2N)C6H4CH(NHCO2CMe3)CH2CO2Me (prepn. given) was amidated by 3,5-dichloroisonicotinoyl chloride and the deprotected product amidated by 2-chloronicotinic acid to give, after

MARPAT 135:288790 OS

IT364354-66-5P

> RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of pyrrolopyrimidinamines as protein kinase inhibitors)

RN 364354-66-5 CAPLUS

CN 2,1,3-Benzoxadiazole-5-carboxamide, N-[4-[4-amino-7-[cis-4-(4-methyl-1piperazinyl)cyclohexyl]-7H-pyrrolo[2,3-d]pyrimidin-5-yl]-2-methoxyphenyl]-(9CI) (CA INDEX NAME)

Relative stereochemistry.

PAGE 1-A

PAGE 2-A

IT262443-00-5P 262443-51-6P 262443-53-8P 262443-55-0P 262443-57-2P 262443-59-4P 262443-61-8P 262445-25-0P 262445-27-2P 262445-29-4P 262445-31-8P 262445-33-0P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (target compd.; prepn. of pyrrolopyrimidinamines as protein kinase inhibitors) 262443-00-5 CAPLUS RN 2,1,3-Benzothiadiazole-4-sulfonamide, N-[4-[4-amino-7-[trans-4-(4-methyl-1-CNpiperazinyl)cyclohexyl]-7H-pyrrolo[2,3-d]pyrimidin-5-yl]-2-fluorophenyl]-, (2Z)-2-butenedioate (1:3) (9CI) (CA INDEX NAME) CM 1 CRN 262442-99-9 CMF C29 H32 F N9 O2 S2

Relative stereochemistry.

Patel

PAGE 1-A

PAGE 2-A

| F

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 262443-51-6 CAPLUS

CN 2,1,3-Benzothiadiazole-4-sulfonamide, N-[4-[4-amino-7-[cis-4-(4-methyl-1-piperazinyl)cyclohexyl]-7H-pyrrolo[2,3-d]pyrimidin-5-yl]-2-fluorophenyl]-, (2Z)-2-butenedioate (1:3) (9CI) (CA INDEX NAME)

CM 1

CRN 262443-50-5 CMF C29 H32 F N9 O2 S2

Patel

Relative stereochemistry.

PAGE 1-A

PAGE 2-A

| F

CM 2

CRN 110-16-7

CMF C4 H4 O4

Double bond geometry as shown.

RN 262443-53-8 CAPLUS

CN 2,1,3-Benzoxadiazole-4-sulfonamide, N-[4-[4-amino-7-[cis-4-(4-methyl-1-piperazinyl)cyclohexyl]-7H-pyrrolo[2,3-d]pyrimidin-5-yl]-2-fluorophenyl]-, (2Z)-2-butenedioate (1:3) (9CI) (CA INDEX NAME)

CM 1

Patel

CRN 262443-52-7 CMF C29 H32 F N9 O3 S

Relative stereochemistry.

PAGE 1-A

PAGE 2-A

| Me

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 262443-55-0 CAPLUS

CN 2,1,3-Benzoxadiazole-4-sulfonamide, N-[4-[4-amino-7-[cis-4-(4-methyl-1-piperazinyl)cyclohexyl]-7H-pyrrolo[2,3-d]pyrimidin-5-yl]-2-fluorophenyl]-7-chloro-, (2Z)-2-butenedioate (1:3) (9CI) (CA INDEX NAME)

Page 95

CM 1

CRN 262443-54-9

CMF C29 H31 C1 F N9 O3 S

Relative stereochemistry.

PAGE 1-A

PAGE 2-A

CM 2

CRN 110-16-7

CMF C4 H4 O4

Double bond geometry as shown.

Patel

RN 262443-57-2 CAPLUS

CN 2,1,3-Benzothiadiazole-4-sulfonamide, N-[4-[4-amino-7-[cis-4-(4-methyl-1-piperazinyl)cyclohexyl]-7H-pyrrolo[2,3-d]pyrimidin-5-yl]-2-fluorophenyl]-7-methyl-, (2Z)-2-butenedioate (1:3) (9CI) (CA INDEX NAME)

CM 1

CRN 262443-56-1

CMF C30 H34 F N9 O2 S2

Relative stereochemistry.

PAGE 1-A

10077150.7

Page 97

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 262443-59-4 CAPLUS

CN 2,1,3-Benzothiadiazole-4-sulfonamide, N-[4-[4-amino-7-[cis-4-(4-methyl-1-piperazinyl)cyclohexyl]-7H-pyrrolo[2,3-d]pyrimidin-5-yl]-2-fluorophenyl]-5-methyl-, (2Z)-2-butenedioate (1:3) (9CI) (CA INDEX NAME)

CM 1

CRN 262443-58-3

CMF C30 H34 F N9 O2 S2

Relative stereochemistry.

PAGE 1-A

PAGE 2-A

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 262443-61-8 CAPLUS

CN 2,1,3-Benzothiadiazole-4-sulfonamide, N-[4-[4-amino-7-[cis-4-(4-methyl-1-piperazinyl)cyclohexyl]-7H-pyrrolo[2,3-d]pyrimidin-5-yl]-2-fluorophenyl]-5-chloro-, (2Z)-2-butenedioate (1:3) (9CI) (CA INDEX NAME)

CM 1

CRN 262443-60-7 CMF C29 H31 Cl F N9 O2 S2

Relative stereochemistry.

PAGE 1-A

PAGE 2-A

CM 2

CRN 110-16-7

CMF C4 H4 O4

Double bond geometry as shown.

RN 262445-25-0 CAPLUS

CN 2,1,3-Benzoxadiazole-4-sulfonamide, N-[4-[4-amino-7-[trans-4-(4-methyl-1-piperazinyl)cyclohexyl]-7H-pyrrolo[2,3-d]pyrimidin-5-yl]-2-fluorophenyl]-,

10077150.7

Page 100

(2Z)-2-butenedioate (1:3) (9CI) (CA INDEX NAME)

CM 1

CRN 262445-24-9

CMF C29 H32 F N9 O3 S

Relative stereochemistry.

PAGE 1-A

PAGE 2-A

| Me

CM 2

CRN 110-16-7

CMF C4 H4 O4

Double bond geometry as shown.

RN 262445-27-2 CAPLUS

Patel

CN 2,1,3-Benzoxadiazole-4-sulfonamide, N-[4-[4-amino-7-[trans-4-(4-methyl-1-piperazinyl)cyclohexyl]-7H-pyrrolo[2,3-d]pyrimidin-5-yl]-2-fluorophenyl]-7-chloro-, (2Z)-2-butenedioate (1:3) (9CI) (CA INDEX NAME)

CM 1

CRN 262445-26-1 CMF C29 H31 Cl F N9 O3 S

Relative stereochemistry.

PAGE 1-A

PAGE 2-A

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 262445-29-4 CAPLUS

CN 2,1,3-Benzothiadiazole-4-sulfonamide, N-[4-[4-amino-7-[trans-4-(4-methyl-1-piperazinyl)cyclohexyl]-7H-pyrrolo[2,3-d]pyrimidin-5-yl]-2-fluorophenyl]-7-methyl-, (2Z)-2-butenedioate (1:3) (9CI) (CA INDEX NAME)

CM 1

CRN 262445-28-3

CMF C30 H34 F N9 O2 S2

Relative stereochemistry.

PAGE 1-A

PAGE 2-A

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 262445-31-8 CAPLUS

CN 2,1,3-Benzothiadiazole-4-sulfonamide, N-[4-[4-amino-7-[trans-4-(4-methyl-1-piperazinyl)cyclohexyl]-7H-pyrrolo[2,3-d]pyrimidin-5-yl]-2-fluorophenyl]-5-methyl-, (2Z)-2-butenedioate (1:3) (9CI) (CA INDEX NAME)

CM 1

CRN 262445-30-7 CMF C30 H34 F N9 O2 S2

Relative stereochemistry.

Patel

PAGE 1-A

PAGE 2-A

CM 2

CRN 110-16-7

CMF C4 H4 O4

Double bond geometry as shown.

RN 262445-33-0 CAPLUS

CN 2,1,3-Benzothiadiazole-4-sulfonamide, N-[4-[4-amino-7-[trans-4-(4-methyl-1-piperazinyl)cyclohexyl]-7H-pyrrolo[2,3-d]pyrimidin-5-yl]-2-fluorophenyl]-5-

10077150.7

Page 105

chloro-, (2Z)-2-butenedioate (1:3) (9CI) (CA INDEX NAME)

CM 1

CRN 262445-32-9

CMF C29 H31 Cl F N9 O2 S2

Relative stereochemistry.

PAGE 1-A

PAGE 2-A

CM 2

CRN 110-16-7

CMF C4 H4 O4

Double bond geometry as shown.

Patel

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Chem. compds. having structural formula I and physiol. acceptable salts and metabolites thereof, are inhibitors of serine/threonine and tyrosine kinase activity. Several of the kinases, whose activity is inhibited by these chem. compds., are involved in immunol., hyperproliferative, or angiogenic processes. Thus, these chem. compds. can ameliorate disease states where angiogenesis or endothelial cell hyperproliferation is a factor. These compds. can be used to treat cancer and hyperproliferative disorders, rheumatoid arthritis, disorders of the immune system, transplant rejections and inflammatory disorders. All exemplified compds. significantly inhibited either FGFR, PDGFR, KDR, Tie-2, Lck, Fyn, Blk, Lyn, or Src at .ltoreq.50 .mu.M, and some significantly inhibited cdc2 at .ltoreq.50 .mu.M. In I, ring A is a six membered arom. ring or a five or six membered heteroarom. ring which is optionally substituted. L is -O-, -S-, -S(0)-, -S(0)2-, -N(R)-, -N[C(0)OR]-, -N[C(0)R]-, -N(SO2R)-, -CH2O-; -CH2S-, -CH2N(R)-, -C(NR)-; -CH2N[C(0)R]-, -CH2N[C(0)OR]-, -CH2N(SO2R)-,-CH(NHR) -, -CH[NHC(O)R] -, -CH(NHSO2R) -, -CH[NHC(O)OR] -, -CH[OC(O)R] -, -CH[OC(O)NHR]-, -CH:CH-; -C(:NOR)-, -C(O)-, -CH(OR)-, -C(O)N(R)-, -N(R)C(O)-, -N(R)S(O)-, -N(R)S(O)2-, -OC(O)N(R)-, -N(R)C(O)N(R)-, -N(R)C(O)N $-NRC(0)O_{-}$, $-S(0)N(R)_{-}$, $-S(0)2N(R)_{-}$, $-N[C(0)R]S(0)_{-}$, $-N[C(0)R]S(0)2_{-}$ -N(R)S(O)N(R) -, -N(R)S(O)2N(R) -, -C(O)N(R)C(O) -, -S(O)N(R)C(O) -, -S(0) 2N(R)C(0) -, -OS(0)N(R) -, -OS(0)2N(R) -, -N(R)S(0)0 -, -N(R)S(0)20 -, -N(R)S(O)C(O) - , -N(R)S(O)2C(O) - , -SON[C(O)R] - , -SO2N[C(O)R] - ,-N(R)SON(R) -, -N(R)SO2N(R) -, -C(O)O -, -N(R)P(OR')O -, -N(R)P(OR') -N(R)P(O)(OR')O-, -N(R)P(O)(OR')-, -N[C(O)R]P(OR')O-, -N[C(O)R]P(OR')-,-N[C(O)R]P(O)(OR')O-, -N[C(O)R]P(OR')-, -CH(R)S(O)-, or -CH(R)S(O)2-. L is also -CH(R)N[C(O)OR] -, -CH(R)N[C(O)R] -, -CH(R)N(SO2R) , -CH(R)O -, -CH(R)S-, -CH(R)N(R)-, -CH(R)N[C(O)R]-, -CH(R)N[C(O)OR]-, -CH(R)N(SO2R)-,-CH(R)C(:NOR)-, -CH(R)C(O)-, -CH(R)CH(OR)-, -CH(R)C(O)N(R)-, -CH(R)N(R)C(O)-, -CH(R)N(R)S(O)-, -CH(R)N(R)S(O)2-, -CH(R)OC(O)N(R)-, -CH(R)N(R)C(O)N(R) -, -CH(R)N(R)C(O)O -, -CH(R)S(O)N(R) -, -CH(R)S(O)2N(R) -, -CH(R)S(O)2N(R)C(O)-, -CH(R)OS(O)N(R)-, -CH(R)OS(O)2N(R)-, -CH(R)N(R)S(O)O-, -CH(R)N(R)S(O)2O-, -CH(R)N(R)S(O)C(O)-, -CH(R)N(R)S(O)2C(O)-, -CH(R)SON[C(O)R]-, -CH(R)S(O)2N[C(O)R]-, -CH(R)N(R)P(OR')-, -CH(R)N(R)P(O)(OR')O-, -CH(R)N(R)P(O)(OR')-, -CH(R)N[C(O)R]P(OR')O-, -CH(R)N[C(O)R]P(OR')-, -CH(R)N[C(O)R]P(O)(OR')Oor -CH(R)N[C(O)R]P(OR')-. In L, each R and R' is, independently, -H, acyl, substituted or unsubstituted aliph., arom., arylalkyl, heteroarom., cycloalkyl or arylalkyl; or L is -RbN(R)S(0)2-, -RbN(R)P(0)-, or -RbN(R)P(O)O-, wherein Rb is an alkylene group which when taken together with the sulfonamide, phosphinamide, or phosphonamide group to which it is bound forms a five or six membered ring fused to ring \bar{A} ; or \bar{L} is II (\bar{X} = 0 or nil; Y = O or nil) or III (Y = O, nil) wherein R85 taken together with

the phosphinamide, or phosphonamide is a 5-, 6-, or 7-membered, arom., heteroarom. or heterocycloalkyl ring system. G is a direct bond, -(CH2)j-(j = 1-6), C2-C6-alkenylene, C3-C8-cycloalkylene or C1-C6-oxaalkylene group. R1 is substituted or optionally substituted aliph., cycloalkyl, bicycloalkyl, cycloalkenyl, arom., heteroarom., heteroaralkyl, heterocycloalkyl, heterobicycloalkyl, alkylamido, arylamido, -S(0)2-alkyl, -S(0)2-cycloalkyl, -C(0)alkyl, or -B-E, wherein B is substituted or unsubstituted cycloalkyl, heterocycloalkyl, arom., heteroarom., alkylene, aminoalkyl, alkylenecarbonyl, or aminoalkylcarbonyl and E is substituted or unsubstituted azacycloalkyl, azacycloalkylcarbonyl, azacycloalkylsulfonyl, azacycloalkylalkyl, heteroaryl, heteroarylcarbonyl, heteroarylsulfonyl, heteroaralkyl, alkyl sulfonamido, aryl sulfonamido, bicycloalkyl, ureido, thioureido or aryl. R2 is -H or substituted or unsubstituted aliph., cycloalkyl, halogen, -OH, cyano, arom., heteroarom., heterocycloalkyl, aralkyl, heteroaralkyl, -(CH2)0-3NR4R5, or -(CH2)0-3C(0)NR4R5. R3 is substituted or unsubstituted aliph., alkenyl, cycloalkyl, arom., heteroarom., or heterocycloalkyl with provisos. R4, R5 and the N atom together form a 3, 4, 5, 6 or 7-membered, substituted or unsubstituted heterocycloalkyl, heterobicycloalkyl or heteroarom.; or R4 and R5 are each, independently, -H, azabicycloalkyl, heterocycloalkyl, substituted or unsubstituted alkyl or Y-Z; Y is -C(0)-, -(CH2)p-, -S(0)2-, -C(0)0-, -S02NH-, -C0NH-, -(CH2)p0-, -(CH2)pNH-, -(CH2)pS-, -(CH2)pS(0)-, and -(CH2)pS(0)2-; p = 0-6; and Z is -H, or substituted or unsubstituted alkyl, amino, aryl, heteroaryl or heterocycloalkyl. 546 Example prepns. are included. For example, addn. of piperidine to 4-[4-amino-5-(4phenoxyphenyl)-7H-pyrrolo[2,3-d]pyrimidin-7-yl]cyclohexanone in DCE and AcOH, followed by treatment with Na[(AcO)3BH], workup and chromatog., gave cis- and trans-IV.

RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 2 OF 3 CAPLUS COPYRIGHT 2003 ACS

```
2000:210172 CAPLUS
AN
DN
    132:251160
ΤI
    Preparation of pyrrolopyrimidines as protein kinase inhibitors
ΙN
    Hirst, Gavin C.; Calderwood, David; Wishart, Neil; Ritter, Kurt; Arnold,
    Lee D.
PA
    Basf A.-G., Germany
SO
    PCT Int. Appl., 304 pp.
    CODEN: PIXXD2
DT
    Patent
LΑ
    English
FAN.CNT 1
    PATENT NO.
                     KIND DATE
                                         APPLICATION NO. DATE
     -----
                          -----
PΙ
                          20000330
    WO 2000017203
                     A1
                                         WO 1999-US21560 19990917
        W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,
            CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL,
            IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD,
            MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK,
            SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ,
            BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
            DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
            CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                          US 1998-100832PP 19980918
                                          US 1998-100833PP 19980918
                                          US 1998-100834PP 19980918
```

Patel

L24

```
US 1998-100946PP 19980918
                                       CA 1999-2344249 19990917
CA 2344249
                  AA
                       20000330
                                       US 1998-100832PP 19980918
                                       US 1998-100833PP 19980918
                                       US 1998-100834PP 19980918
                                       US 1998-100946PP 19980918
                                       WO 1999-US21560W 19990917
AU 9960484
                 A1
                       20000410
                                       AU 1999-60484
                                                        19990917
AU 753555
                  B2
                       20021024
                                       US 1998-100832PP 19980918
                                       US 1998-100833PP 19980918
                                       US 1998-100834PP 19980918
                                       US 1998-100946PP 19980918
                                       WO 1999-US21560W 19990917
EP 1114053
                  A1
                       20010711
                                       EP 1999-969415
                                                       19990917
    R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
        IE, SI, LT, LV, FI, RO
                                       US 1998-100832PP 19980918
                                       US 1998-100833PP 19980918
                                       US 1998-100834PP 19980918
                                       US 1998-100946PP 19980918
                                       WO 1999-US21560W 19990917
BR 9913887
                  Α
                       20011023
                                       BR 1999-13887
                                                        19990917
                                       US 1998-100832PP 19980918
                                       US 1998-100833PP 19980918
                                       US 1998-100834PP 19980918
                                       US 1998-100946PP 19980918
                                       WO 1999-US21560W 19990917
JP 2002526500
                  T2
                       20020820
                                       JP 2000-574112
                                                       19990917
                                       US 1998-100832PP 19980918
                                       US 1998-100833PP 19980918
                                       US 1998-100834PP 19980918
                                       US 1998-100946PP 19980918
                                       WO 1999-US21560W 19990917
BG 105346
                  Α
                       20011231
                                       BG 2001-105346
                                                        20010315
                                       US 1998-100832PP 19980918
                                       US 1998-100833PP 19980918
                                       US 1998-100834PP 19980918
                                       US 1998-100946PP 19980918
                                       WO 1999-US21560W 19990917
NO 2001001356
                  Α
                       20010516
                                       NO 2001-1356
                                                        20010316
                                       US 1998-100832PP 19980918
                                       US 1998-100833PP 19980918
                                       US 1998-100834PP 19980918
                                       US 1998-100946PP 19980918
                                       WO 1999-US21560W 19990917
ZA 2001002204
                  Α
                       20020318
                                       ZA 2001-2204
                                                        20010316
                                       US 1998-100834PP 19980918
MARPAT 132:251160
262443-00-5P 262443-51-6P 262443-53-8P
262443-55-0P 262443-57-2P 262443-59-4P
262443-61-8P 262445-25-0P 262445-27-2P
262445-29-4P 262445-31-8P 262445-33-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
   (target compd.; prepn. of 7H-pyrrolo[2,3-d]pyrimidin-4-amines as
   protein kinase inhibitors)
```

Patel <5/18/2003>

OS

IT

RN 262443-00-5 CAPLUS

CN 2,1,3-Benzothiadiazole-4-sulfonamide, N-[4-[4-amino-7-[trans-4-(4-methyl-1-piperazinyl)cyclohexyl]-7H-pyrrolo[2,3-d]pyrimidin-5-yl]-2-fluorophenyl]-, (2Z)-2-butenedioate (1:3) (9CI) (CA INDEX NAME)

CM 1

CRN 262442-99-9 CMF C29 H32 F N9 O2 S2

Relative stereochemistry.

PAGE 1-A

PAGE 2-A

F

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

Patel

Page 110

RN 262443-51-6 CAPLUS

CN 2,1,3-Benzothiadiazole-4-sulfonamide, N-[4-[4-amino-7-[cis-4-(4-methyl-1-piperazinyl)cyclohexyl]-7H-pyrrolo[2,3-d]pyrimidin-5-yl]-2-fluorophenyl]-, (2Z)-2-butenedioate (1:3) (9CI) (CA INDEX NAME)

CM 1

CRN 262443-50-5

CMF C29 H32 F N9 O2 S2

Relative stereochemistry.

PAGE 1-A

PAGE 2-A

| F

CM 2

CRN 110-16-7 CMF C4 H4 O4

Patel

Page 111

Double bond geometry as shown.

RN 262443-53-8 CAPLUS

CN 2,1,3-Benzoxadiazole-4-sulfonamide, N-[4-[4-amino-7-[cis-4-(4-methyl-1-piperazinyl)cyclohexyl]-7H-pyrrolo[2,3-d]pyrimidin-5-yl]-2-fluorophenyl]-, (2Z)-2-butenedioate (1:3) (9CI) (CA INDEX NAME)

CM 1

CRN 262443-52-7

CMF C29 H32 F N9 O3 S

Relative stereochemistry.

PAGE 1-A

PAGE 2-A

| Me

CM 2

CRN 110-16-7

Patel

Page 112

CMF C4 H4 O4

Double bond geometry as shown.

RN 262443-55-0 CAPLUS

CN 2,1,3-Benzoxadiazole-4-sulfonamide, N-[4-[4-amino-7-[cis-4-(4-methyl-1-piperazinyl)cyclohexyl]-7H-pyrrolo[2,3-d]pyrimidin-5-yl]-2-fluorophenyl]-7-chloro-, (2Z)-2-butenedioate (1:3) (9CI) (CA INDEX NAME)

CM 1

CRN 262443-54-9

CMF C29 H31 Cl F N9 O3 S

Relative stereochemistry.

PAGE 1-A

Page 113

PAGE 2-A

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 262443-57-2 CAPLUS

CN 2,1,3-Benzothiadiazole-4-sulfonamide, N-[4-[4-amino-7-[cis-4-(4-methyl-1-piperazinyl)cyclohexyl]-7H-pyrrolo[2,3-d]pyrimidin-5-yl]-2-fluorophenyl]-7-methyl-, (2Z)-2-butenedioate (1:3) (9CI) (CA INDEX NAME)

CM 3

CRN 262443-56-1 CMF C30 H34 F N9 O2 S2

Relative stereochemistry.

Patel

PAGE 1-A

PAGE 2-A

$$\begin{array}{c|c} & & \\ & &$$

CM 2

CRN 110-16-7

CMF C4 H4 O4

Double bond geometry as shown.

RN 262443-59-4 CAPLUS

CN 2,1,3-Benzothiadiazole-4-sulfonamide, N-[4-[4-amino-7-[cis-4-(4-methyl-1-piperazinyl)cyclohexyl]-7H-pyrrolo[2,3-d]pyrimidin-5-yl]-2-fluorophenyl]-5-

Patel

Page 115

methyl-, (2Z)-2-butenedioate (1:3) (9CI) (CA INDEX NAME)

CM 1

CRN 262443-58-3 CMF C30 H34 F N9 O2 S2

Relative stereochemistry.

PAGE 1-A

PAGE 2-A

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

Patel

RN 262443-61-8 CAPLUS

CN 2,1,3-Benzothiadiazole-4-sulfonamide, N-[4-[4-amino-7-[cis-4-(4-methyl-1-piperazinyl)cyclohexyl]-7H-pyrrolo[2,3-d]pyrimidin-5-yl]-2-fluorophenyl]-5-chloro-, (2Z)-2-butenedioate (1:3) (9CI) (CA INDEX NAME)

CM 1

CRN 262443-60-7

CMF C29 H31 Cl F N9 O2 S2

Relative stereochemistry.

Page 117

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 262445-25-0 CAPLUS

CN 2,1,3-Benzoxadiazole-4-sulfonamide, N-[4-[4-amino-7-[trans-4-(4-methyl-1-piperazinyl)cyclohexyl]-7H-pyrrolo[2,3-d]pyrimidin-5-yl]-2-fluorophenyl]-, (2Z)-2-butenedioate (1:3) (9CI) (CA INDEX NAME)

CM 1

CRN 262445-24-9

CMF C29 H32 F N9 O3 S

Relative stereochemistry.

PAGE 2-A

| Me

Patel

Page 118

PAGE 2-A

| Me

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 262445-27-2 CAPLUS

CN 2,1,3-Benzoxadiazole-4-sulfonamide, N-[4-[4-amino-7-[trans-4-(4-methyl-1-piperazinyl)cyclohexyl]-7H-pyrrolo[2,3-d]pyrimidin-5-yl]-2-fluorophenyl]-7-chloro-, (2Z)-2-butenedioate (1:3) (9CI) (CA INDEX NAME)

CM 1

CRN 262445-26-1 CMF C29 H31 Cl F N9 O3 S

Relative stereochemistry.

PAGE 1-A

Patel

PAGE 2-A

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

RN 262445-29-4 CAPLUS

CN 2,1,3-Benzothiadiazole-4-sulfonamide, N-[4-[4-amino-7-[trans-4-(4-methyl-1-piperazinyl)cyclohexyl]-7H-pyrrolo[2,3-d]pyrimidin-5-yl]-2-fluorophenyl]-7-methyl-, (2Z)-2-butenedioate (1:3) (9CI) (CA INDEX NAME)

CM 1

CRN 262445-28-3 CMF C30 H34 F N9 O2 S2

Relative stereochemistry.

Patel

PAGE 1-A

$$\begin{array}{c|c} & & \\ & &$$

CM 2

CRN 110-16-7

CMF C4 H4 O4

Double bond geometry as shown.

RN 262445-31-8 CAPLUS

CN 2,1,3-Benzothiadiazole-4-sulfonamide, N-[4-[4-amino-7-[trans-4-(4-methyl-1-piperazinyl)cyclohexyl]-7H-pyrrolo[2,3-d]pyrimidin-5-yl]-2-fluorophenyl]-5-

Patel <5/18/2003>

Page 121

methyl-, (2Z)-2-butenedioate (1:3) (9CI) (CA INDEX NAME)

CM 1

CRN 262445-30-7

CMF C30 H34 F N9 O2 S2

Relative stereochemistry.

PAGE 1-A

PAGE 2-A

CM 2

CRN 110-16-7

CMF C4 H4 O4

Double bond geometry as shown.

RN 262445-33-0 CAPLUS

CN 2,1,3-Benzothiadiazole-4-sulfonamide, N-[4-[4-amino-7-[trans-4-(4-methyl-1-piperazinyl)cyclohexyl]-7H-pyrrolo[2,3-d]pyrimidin-5-yl]-2-fluorophenyl]-5-chloro-, (2Z)-2-butenedioate (1:3) (9CI) (CA INDEX NAME)

CM 1

CRN 262445-32-9

CMF C29 H31 Cl F N9 O2 S2

Relative stereochemistry.

PAGE 1-A

PAGE 2-A

Patel

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

GI

$$\begin{array}{c|c}
 & \text{NH}_2 & \text{A-L-(CH}_2)_n - R^3 \\
 & \text{N} & \text{R}^2 \\
 & \text{R}^1
\end{array}$$

7H-Pyrrolo[2,3-d] pyrimidin-4-amines (I) [wherein A = (un) substituted AB 6-membered arom. ring or 5- or 6-membered heteroarom. ring; L = RbN(R)S(0)2, RbN(R)P(0), or RbN(R)P(0)0, where Rb = alkylene group which when taken together with the sulfonamide, phosphinamide or phosphonamide group to which it is bound forms a 5- or 6-membered ring fused to ring A, or L = 5-, 6-, or 7-membered (oxa)azaphosphaarom. or (oxa)azaphosphacycloalkyl ring; R = H, acyl, or (un)substituted aliph., (hetero)arom., or cycloalkyl; R1 = (un)substituted (hetero)cyclic, (hetero) arom., amido, acyl, or (cyclo) alkylsulfonyl; R2 = H, halo, OH, CN, (un) substituted aliph., cycloalkyl, (hetero) arom., (hetero) aralkyl, amino, or amido; R3 (un) substituted aliph., alkenyl, (hetero) cycloalkyl, or (hetero) arom.; n = 0-6], and physiol. acceptable salts and metabolites thereof, were prepd. For example, addn. of piperidine to 4-[4-amino-5-(4-phenoxyphenyl)-7H-pyrrolo[2,3-d]pyrimidin-7yl]cyclohexanone in DCE and AcOH, followed by workup and chromatog., gave cis- and trans-II. I inhibit serine/threonine and tyrosine kinase activity, which are involved in immunol., hyperproliferative, and

Ι

angiogenic processes. All exemplified compds. significantly inhibited either FGFR, PDGFR, KDR, Tie-2, Lck, Fyn, Blk, Lyn, or Src at concns. of .ltoreq. 50 .mu.M, and some significantly inhibited cdc2 at concns. of 50 .ltoreq. .mu.M. Thus, these compds. are useful in the treatment of cancer and hyperproliferative disorders, rheumatoid arthritis, disorders of the immune system, transplant rejections, and inflammatory disorders.

RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 3 OF 3 CAPLUS COPYRIGHT 2003 ACS
L24
AN
     2000:210171 CAPLUS
DN
     132:251159
ΤI
     Preparation of 4-aminopyrrolopyrimidines as protein kinase inhibitors
IN
     Calderwood, David; Arnold, Lee D.; Mazdiyasni, Hormoz; Hirst, Gavin; Deng,
PΑ
     BASF Aktiengesellschaft, Germany
     PCT Int. Appl., 242 pp.
SO
     CODEN: PIXXD2
DT
     Patent
     English
LA
FAN.CNT 1
     PATENT NO.
                        KIND DATE
                                                APPLICATION NO. DATE
     ______
                        ----
                              -----
                                                -----
                       A1 20000330 WO 1999-US21536 19990917
     WO 2000017202
PΙ
         W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK,
              SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
          RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
              DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
              CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                                US 1998-100954PP 19980918
     CA 2344262
                         AA
                               20000330
                                                CA 1999-2344262 19990917
                                                US 1998-100954PP 19980918
                                                WO 1999-US21536W 19990917
     AU 9960475
                         A1
                               20000410
                                                AU 1999-60475
                                                                   19990917
     AU 752474
                         B2
                               20020919
                                                US 1998-100954PP 19980918
                                                WO 1999-US21536W 19990917
                                                EP 1999-969414 19990917
     EP 1114052
                               20010711
                         A1
          R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
              IE, SI, LT, LV, FI, RO
                                                US 1998-100954PP 19980918
                                                WO 1999-US21536W 19990917
     BR 9913888
                         Α
                               20020108
                                                BR 1999-13888
                                                                  19990917
                                                US 1998-100954PP 19980918
                                                WO 1999-US21536W 19990917
     JP 2002527359
                         T2
                               20020827
                                                JP 2000-574111
                                                                  19990917
                                                US 1998-100954PP 19980918
                                                WO 1999-US21536W 19990917
     NO 2001001357
                         Α
                               20010514
                                                NO 2001-1357
                                                US 1998-100954PP 19980918
                                                WO 1999-US21536W 19990917
     BG 105355
                         Α
                               20011130
                                                BG 2001-105355
                                                                   20010316
                                                US 1998-100954PP 19980918
```

WO 1999-US21536A 19990917

Patel <5/18/2003>

ZA 2001002201 A 20020315 ZA 2001-2201 20010316 US 1998-100954PP 19980918

OS MARPAT 132:251159

IT 262432-65-5P 262432-92-8P 262432-93-9P 262432-95-1P 262432-98-4P 262432-99-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(target compd.; prepn. of 7H-pyrrolo[2,3-d]pyrimidin-4-amines as protein kinase inhibitors)

RN 262432-65-5 CAPLUS

CN 2,1,3-Benzothiadiazole-4-sulfonamide, N-[4-(4-amino-7-cyclopentyl-7H-pyrrolo[2,3-d]pyrimidin-5-yl)-2-fluorophenyl]-7-methyl- (9CI) (CA INDEX NAME)

RN 262432-92-8 CAPLUS

CN 2,1,3-Benzothiadiazole-4-sulfonamide, N-[4-(4-amino-7-cyclopentyl-7H-pyrrolo[2,3-d]pyrimidin-5-yl)-2-fluorophenyl]- (9CI) (CA INDEX NAME)

RN 262432-93-9 CAPLUS

CN 2,1,3-Benzoxadiazole-4-sulfonamide, N-[4-(4-amino-7-cyclopentyl-7H-pyrrolo[2,3-d]pyrimidin-5-yl)-2-fluorophenyl]- (9CI) (CA INDEX NAME)

Patel <5/18/2003>

RN 262432-95-1 CAPLUS

CN 2,1,3-Benzoxadiazole-4-sulfonamide, N-[4-(4-amino-7-cyclopentyl-7H-pyrrolo[2,3-d]pyrimidin-5-yl)-2-fluorophenyl]-7-chloro- (9CI) (CA INDEX NAME)

RN 262432-98-4 CAPLUS

CN 2,1,3-Benzothiadiazole-4-sulfonamide, N-[4-(4-amino-7-cyclopentyl-7H-pyrrolo[2,3-d]pyrimidin-5-yl)-2-fluorophenyl]-5-methyl- (9CI) (CA INDEX NAME)

RN 262432-99-5 CAPLUS

CN 2,1,3-Benzothiadiazole-4-sulfonamide, N-[4-(4-amino-7-cyclopentyl-7H-pyrrolo[2,3-d]pyrimidin-5-yl)-2-fluorophenyl]-5-chloro- (9CI) (CA INDEX NAME)

GΙ

$$R^{1}$$
 R^{1}
 R^{1}
 R^{1}
 R^{2}
 R^{2}
 R^{1}

ΙI

Ι

AB 7H-Pyrrolo[2,3-d]pyrimidin-4-amines (I) [wherein A = (un)substituted 6-membered arom. ring or 5- or 6-membered heteroarom. ring; L =RbN(R)S(0)2, RbN(R)P(0), or RbN(R)P(0)0, where Rb = alkylene group which when taken together with the sulfonamide, phosphinamide or phosphonamide group to which it is bound forms a 5- or 6-membered ring fused to ring A, or L = 0, S, N(R), 5-, 6-, or 7-membered (oxa)azaphosphaarom. or (oxa)azaphosphacycloalkyl ring, or a variety of linkers contg. functional groups; R = H, acyl, or (un) substituted aliph., (hetero) arom., or cycloalkyl; R1 = H, 2-Ph-1,3-dioxan-5-yl or (un)substituted (cyclo)alkyl, cycloalkenyl, or phenylalkyl; R2 = H, halo, OH, CN, (un) substituted aliph., cycloalkyl, (hetero)arom., (hetero)aralkyl, amino, or amido; R3 (un) substituted aliph., alkenyl, (hetero) cycloalkyl, or (hetero) arom.; n =0-6], and physiol. acceptable salts and metabolites thereof, were prepd. For example, II was prepd. in a 6-step sequence involving: (1) amine protection of 4-bromo-2-methoxyaniline with di-tert-Bu dicarbonate, (2)

Page 128 4-addn. of diboron pinacol ester, (3) 4-substitution with 4-chloro-7-cyclopentyl-5-iodo-7H-pyrrolo[2,3-d]pyrimidine, (4) deprotection of the amine with F3CCO2H, (5) 4-amination of the pyrrolopyrimidine, and (6) addn. of 4-cyanobenzenesulfonyl chloride to the anilino amine. I inhibit serine/threonine and tyrosine kinase activity, affecting immunol., hyperproliferative, and angiogenic processes. All exemplified compds. significantly inhibited either FGFR, PDGFR, KDR, Tie-2, Lck, Fyn, Blk, Lyn, or Src at concns. of .ltoreq. 50 .mu.M, and some significantly inhibited cdc2 at concns. of 50 .ltoreq. .mu.M. Thus, these compds. are useful in the treatment of cancer and hyperproliferative disorders, rheumatoid arthritis, disorders of the immune system, transplant rejections, and inflammatory disorders. RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT => d 126 fbib hitstr abs total L26 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2003 ACS 2002:539534 CAPLUS 137:109285 Preparation of triazolo[4,5-d]pyrimidines as purinergic receptor antagonists Gillespie, Roger John; Lerpiniere, Joanne; Gaur, Suneel; Bamford, Samantha Jayne; Stratton, Gemma Caroline; Leonardi, Stefania; Weiss, Scott Murray Vernalis Research Limited, UK PCT Int. Appl., 157 pp. CODEN: PIXXD2 Patent English FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. DATE WO 2002055083 Al 20020718 WO 2002-GB91 20020110 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,

```
PΙ
            LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
            PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
            UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
            TJ, TM
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
            CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
            BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                          GB 2001-624 A 20010110
```

OS MARPAT 137:109285

AN

DN

TI

IN

PΑ

SO

DT

LΑ

IT 442908-24-9P 442908-43-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of triazolo[4,5-d]pyrimidines as purinergic receptor antagonists)

RN442908-24-9 CAPLUS

CN 3H-1,2,3-Triazolo[4,5-d]pyrimidin-5-amine, 3-(2,1,3-benzoxadiazol-5ylmethyl) -7-(2-furanyl) - (9CI) (CA INDEX NAME)

Patel <5/18/2003>

Page 129

RN 442908-43-2 CAPLUS

CN 3H-1,2,3-Triazolo[4,5-d]pyrimidin-5-amine, 3-(2,1,3-benzothiadiazol-4-ylmethyl)-7-(2-furanyl)- (9CI) (CA INDEX NAME)

GI

$$\mathbb{R}^2$$
 \mathbb{N}
 \mathbb{N}
 \mathbb{N}
 \mathbb{N}
 \mathbb{N}
 \mathbb{N}
 \mathbb{N}
 \mathbb{N}
 \mathbb{N}
 \mathbb{N}

The title compds. [I; R1 = H, alkyl, aryl, etc.; R2 = aryl attached via an unsatd. carbon; R3 = H, alkyl, COR5, CO2R7, CONR5R6, CONR4NR5R6, SO2R7; R4-R6 = H, alkyl, aryl; or NR5R6 = heterocyclyl; or where R4-R6 are in a CONR4NR5R6 group, R4 and R5 may be linked to form a heterocyclic group; R7 = alkyl, aryl], useful in the treatment or prevention of a disorder in which the blocking of purine receptors, particularly adenosine receptors and more particularly A2A receptors, may be beneficial, particularly wherein said disorder is a movement disorder such as Parkinson's disease or depression, cognitive or memory impairment, acute or chronic pain, ADHD or narcolepsy, or for neuroprotection, were prepd. Thus, reacting 7-(2-furyl)-1H-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine (prepn. given)

with 2-fluorobenzyl bromide in the presence of NaH in DMF afforded 22% I [R1 = NH2; R2 = 2-furyl; R3 = 2-FC6H4CH2] which showed Ki of 3 nM against A2A receptor binding.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L26 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2003 ACS
```

AN 2000:210169 CAPLUS

DN 132:251158

TI Preparation of [1,2,4]triazolo[1,5-c]pyrimidine derivatives as adenosine A2A receptor antagonists

PA Kyowa Hakko Kogyo Co., Ltd., Japan

SO PCT Int. Appl., 64 pp. CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

FAN.	CNT 1			
	PATENT NO.	KIND DATE	APPLICATION NO. DATE .	
DT	110 000001 0001	71 0000000	VO 1000 TD5156 1000000	
ΡI			WO 1999-JP5176 19990922	
			ID, IL, IN, JP, KR, MX, NO, NZ, PL,	
			ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM	
	RW: AT, BE, PT, SE	CH, CY, DE, DK, ES,	FI, FR, GB, GR, IE, IT, LU, MC, NL,	
			JP 1998-267178 A 19980922	
	CA 2344828	AA 20000330	CA 1999-2344828 19990922	
			JP 1998-267178 A 19980922	
			WO 1999-JP5176 W 19990922	
	AU 9957579	A1 20000410	AU 1999-57579 19990922	
			JP 1998-267178 A 19980922	
			WO 1999-JP5176 W 19990922	
	EP 1116722	A1 20010718	EP 1999-944771 19990922	
		CH, DE, DK, ES, FR, FI, RO	GB, GR, IT, LI, LU, NL, SE, MC, PT,	
			JP 1998-267178 A 19980922	
			WO 1999-JP5176 W 19990922	
	BR 9914040	A 20020115	BR 1999-14040 19990922	
		•	JP 1998-267178 A 19980922	
			WO 1999-JP5176 W 19990922	
	NO 2001001417	A 20010521	NO 2001-1417 20010320	
			JP 1998-267178 A 19980922	
			WO 1999-JP5176 W 19990922	
	US 6545000	B1 20030408	US 2001-787779 20010322	
			JP 1998-267178 A 19980922	

OS MARPAT 132:251158

IT 262452-17-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of triazolopyrimidines as receptors inhibitors)

RN 262452-17-5 CAPLUS

CN [1,2,4]Triazolo[1,5-c]pyrimidin-5-amine, 7-[4-(2,1,3-benzothiadiazol-5-ylmethyl)-1-piperazinyl]-2-(2-furanyl)- (9CI) (CA INDEX NAME)

Patel

WO 1999-JP5176 W 19990922

GI

$$R^{6}$$
 R^{6}
 R^{6}
 R^{6}
 R^{6}
 R^{6}
 R^{6}
 R^{1}
 R^{2}

AΒ Title compds. [I; wherein R1 represents heteroaryl, etc.; R2 represents hydrogen, etc.; n and m represent each an integer of 0 to 4; Q represents hydrogen, etc.; R6 represents hydrogen, etc.; R3 represents hydroxy, hydroxy(lower alkyl), lower alkoxy, imidazo[1,2-a]pyridyl, etc.; and R4 and R5 represent each lower alkyl or aryl, or R4 and R5 form together with the adjacent carbon atom a satd. carbon ring when R3 is any of OH, alkylhydroxy, alkoxy; or R4 and R5 represent each hydrogen, lower alkyl or aryl, or R4 and R5 form together with the adjacent carbon atom a satd. carbon ring when R3 is imidazo[1,2-1]pyridyl] and pharmacol. acceptable salts thereof are prepd. and tested as adenosine A2A receptor antagonists. The title compd. II was prepd.

Ι

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 3 OF 3 CAPLUS COPYRIGHT 2003 ACS

```
1995:767627 CAPLUS
DN
     124:21803
    Method and agents for preventing tissue injury from hypoxia
ΤI
     Bursten, Stuart L.; Singer, Jack W.; Rice, Glenn C.
IN
PA
     Ce;; Therapeutics, Inc., USA
     PCT Int. Appl., 56 pp.
SO
     CODEN: PIXXD2
DT
     Patent
     English
LΑ
FAN.CNT 1
     PATENT NO.
                      KIND
                            DATE
                                            APPLICATION NO.
                      _ _ _ _
ΡI
    WO 9513075
                       Α1
                            19950518
                                            WO 1994-US12821 19941114
         W: AU, CA, JP
         RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
                                            US 1993-152117
                                                             19931112
    AU 9510907
                       A1
                            19950529
                                            AU 1995-10907
                                                             19941114
```

Patel

L26

AN

US 1993-152117 19931112 WO 1994-US12821 19941114 A1 19960828 EP 1995-901808 19941114 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE US 1993-152117 19931112 WO 1994-US12821 19941114 US 5856331 Α 19990105 US 1997-948747 19971010 US 1993-152117 19931112 US 1994-353756 19941212

OS MARPAT 124:21803

IT 167427-02-3D, aminoalkyl derivs.

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(method and agents for preventing tissue injury from hypoxia)

RN 167427-02-3 CAPLUS

CN Quinoxaline, tetrahydro- (9CI) (CA INDEX NAME)

CM 1

CRN 91-19-0 CMF C8 H6 N2

GΙ

$$\begin{array}{c|c}
 & 0 & R^3 \\
 & N & N \\
 & N & N
\end{array}$$

Ι

Tissue injury, caused by tissue hypoxia and reoxygenation, is prevented by administering a xanthine deriv. I [R1 = (.omega.-1) secondary alc.-substituted C5-12 alkyl enantiomer; R2, R3 = C1-12 alkyl or (di)oxaalkyl] or a (heterocyclylalkyl)amine that inhibits signal transduction by inhibiting cellular accumulation of linoleoyl phosphatidic acid through inhibition of lysophosphatidic acyltransferase. Diseases that can be treated with these compds. include shock, sequelae of myocardial infarction and stroke, altitude sickness, acidosis, hypoxia-mediated neurodegenerative diseases, and disorders related to transplantation and transplant rejection. Thus, in mice with exptl. hemorrhage, treatment with lisophylline (100 mg/kg i.v. after 1 h, then 100 mg/kg i.p. 8 times at 8-h intervals) largely normalized signs of hemorrhagic shock (neutrophil infiltration, interstitial edema, elevated plasma levels of interferon-.gamma. and tumor necrosis factor .alpha., elevated mRNA levels for interleukins 1.beta. and 6 in pulmonary

mononuclear cells, etc.).

=> d his

(FILE 'HOME' ENTERED AT 17:17:40 ON 18 MAY 2003)

FILE 'REGISTRY' ENTERED AT 17:17:47 ON 18 MAY 2003 L1 STRUCTURE UPLOADED L2 13138 S L1 SSS FULL FILE 'CAPLUS' ENTERED AT 17:19:20 ON 18 MAY 2003 L3 2810 S L2 L40 S L3 AND QUINIXALINE AND PYRIDINE L5 8 S L3 AND QUINOXALINE AND PYRIMIDINE 2 S L3 AND OUINOXALINE AND TRIAZINE 0 S L3 AND QUINOXALINE AND PYRROLOPYRIMIDINE 0 S L3 AND QUINOXALINE AND IMIDAZOLOPYRIMIDINDE 0 S L3 AND OUINOXALINE AND PYRAZOLOPYRIMIDINE L9 L10 1 S L3 AND QUINOXALINE AND TRIAZOLOPYRIMIDINE

0 S L3 AND BENZOXADIAZLE AND PYRIMIDINE L11 138 S L3 AND BENZOTHIADIAZOLE L12 L13 7 S L12 AND PYRIMIDINE

2 S L12 AND TRIAZINE L14 2 S L12 AND TRIAZINE
0 S L12 AND PYRROLOPYRIMIDINE
0 S L12 AND IMIDAZOLOPYRIMIDINE
0 S L12 AND PYROZOLOPYRIMIDINE
0 S L12 AND TRIAZOLOPYRIMIDINE
6 S L3 AND BENZTRIAZOLE
0 S L3 AND BENZ-METHYLTRIAZOLE
0 S L3 AND BENZOXADIAZOLE AND PYRIMIDINE
3 S L3 AND BENZOXADIAZOLE AND PYRIMIDINE
2 S L3 AND BENZOXADIAZOLE AND TRIAZINE
3 S L3 AND PYRROLOPYRIMIDINE L15 L16

L17 L18 L19 L20

L21 L22

L23 L24 3 S L3 AND PYRROLOPYRIMIDINE

L25 0 S L3 AND IMIDAZOLOPYRIMIDINE L26 3 S L3 AND TRIAZOLOPYRIMIDINE

=> d cost

CA SUBSCRIBER PRICE

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
CONNECT CHARGES NETWORK CHARGES	11.56 2.04	12.73 2.28
SEARCH CHARGES DISPLAY CHARGES	59.04 205.84	206.79 205.84
	 278.48	427.64
CAPLUS FEE (5%)	13.82	13.82
FULL ESTIMATED COST	292.30	441.46
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION

-24.09 -24.09

IN FILE 'CAPLUS' AT 17:39:58 ON 18 MAY 2003

Patel <5/18/2003>

Welcome to STN International! Enter x:x LOGINID: ssspta1611sxp PASSWORD: TERMINAL (ENTER 1, 2, 3, OR ?):2 * * * * * * * * * * Welcome to STN International NEWS 1 Web Page URLs for STN Seminar Schedule - N. America NEWS 2 Apr 08 "Ask CAS" for self-help around the clock NEWS 3 Jun 03 New e-mail delivery for search results now available NEWS 4 Aug 08 PHARMAMarketLetter(PHARMAML) - new on STN NEWS 5 Aug 19 Aquatic Toxicity Information Retrieval (AQUIRE) now available on STN NEWS 6 Aug 26 Sequence searching in REGISTRY enhanced NEWS 7 Sep 03 JAPIO has been reloaded and enhanced NEWS 8 Sep 16 Experimental properties added to the REGISTRY file NEWS 9 Sep 16 CA Section Thesaurus available in CAPLUS and CA NEWS 10 Oct 01 CASREACT Enriched with Reactions from 1907 to 1985 NEWS 11 Oct 24 BEILSTEIN adds new search fields NEWS 12 Oct 24 Nutraceuticals International (NUTRACEUT) now available on STN NEWS 13 Nov 18 DKILIT has been renamed APOLLIT
NEWS 14 Nov 25 More calculated properties added to REGISTRY
NEWS 15 Dec 04 CSA files on STN
NEWS 16 Dec 17 PCTFULL now covers WP/PCT Applications from 1 PCTFULL now covers WP/PCT Applications from 1978 to date NEWS 17 Dec 17 TOXCENTER enhanced with additional content NEWS 18 Dec 17 Adis Clinical Trials Insight now available on STN NEWS 19 Jan 29 Simultaneous left and right truncation added to COMPENDEX, ENERGY, INSPEC NEWS 20 Feb 13 CANCERLIT is no longer being updated NEWS 21 Feb 24 METADEX enhancements NEWS 22 Feb 24 PCTGEN now available on STN NEWS 23 Feb 24 TEMA now available on STN NEWS 24 Feb 26 NTIS now allows simultaneous left and right truncation NEWS 25 Feb 26 PCTFULL now contains images NEWS 26 Mar 04 SDI PACKAGE for monthly delivery of multifile SDI results NEWS 27 Mar 20 EVENTLINE will be removed from STN NEWS 28 Mar 24 PATDPAFULL now available on STN NEWS 29 Mar 24 Additional information for trade-named substances without structures available in REGISTRY NEWS 30 Apr 11 Display formats in DGENE enhanced Apr 14 MEDLINE Reload NEWS 31 NEWS 32 Apr 17 Polymer searching in REGISTRY enhanced NEWS 33 Apr 21 Indexing from 1947 to 1956 being added to records in CA/CAPLUS NEWS 34 Apr 21 New current-awareness alert (SDI) frequency in WPIDS/WPINDEX/WPIX NEWS 35 Apr 28 RDISCLOSURE now available on STN NEWS 36 May 05 Pharmacokinetic information and systematic chemical names added to PHAR NEWS 37 May 15 MEDLINE file segment of TOXCENTER reloaded NEWS 38 May 15 Supporter information for ENCOMPPAT and ENCOMPLIT updated

NEWS 39 May 16 CHEMREACT will be removed from STN

NEWS EXPRESS April 4 CURRENT WINDOWS VERSION IS V6.01a, CURRENT
MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),
AND CURRENT DISCOVER FILE IS DATED 01 APRIL 2003
NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS INTER General Internet Information
NEWS LOGIN Welcome Banner and News Items
NEWS PHONE Direct Dial and Telecommunication Network Access to STN
NEWS WWW CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

FILE 'HOME' ENTERED AT 16:05:03 ON 18 MAY 2003

=> le reg

LE IS NOT A RECOGNIZED COMMAND

The previous command name entered was not recognized by the system. For a list of commands available to you in the current file, enter "HELP COMMANDS" at an arrow prompt (=>).

=> file reg

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 16:05:14 ON 18 MAY 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2003 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 16 MAY 2003 HIGHEST RN 517103-55-8 DICTIONARY FILE UPDATES: 16 MAY 2003 HIGHEST RN 517103-55-8

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details: http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=> Uploading 10077150.7

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 16:05:57 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 39871 TO ITERATE

2.5% PROCESSED 1000 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

30 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**

BATCH **INCOMPLETE**

PROJECTED ITERATIONS:

785537 TO 809303

PROJECTED ANSWERS:

21848 TO 25996

L2 30 SEA SSS SAM L1

=> s ll sss full

FULL SEARCH INITIATED 16:06:05 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 791354 TO ITERATE

50.5% PROCESSED 400000 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

13138 ANSWERS

SEARCH TIME: 00.00.12

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**

BATCH **INCOMPLETE**

PROJECTED ITERATIONS:

791354 TO 791354

PROJECTED ANSWERS:

25509 TO 26475

L3 13138 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE TOTAL

ENTRY SESSION

FULL ESTIMATED COST 148.95 149.16

FILE 'CAPLUS' ENTERED AT 16:06:46 ON 18 MAY 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching

databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 18 May 2003 VOL 138 ISS 21 FILE LAST UPDATED: 16 May 2003 (20030516/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13

L4 2810 L3

=> s 14 and quinoxaline

L5 120 L4 AND QUINOXALINE

=> L4 and CFR receptor

L4 IS NOT A RECOGNIZED COMMAND

The previous command name entered was not recognized by the system. For a list of commands available to you in the current file, enter "HELP COMMANDS" at an arrow prompt (=>).

=> L5 and CFR receptor

L5 IS NOT A RECOGNIZED COMMAND

The previous command name entered was not recognized by the system. For a list of commands available to you in the current file, enter "HELP COMMANDS" at an arrow prompt (=>).

=> L5 and CFR inhibitors

L5 IS NOT A RECOGNIZED COMMAND

The previous command name entered was not recognized by the system. For a list of commands available to you in the current file, enter "HELP COMMANDS" at an arrow prompt (=>).

=> s 14 and CFR receptor

L6 0 L4 AND CFR RECEPTOR

=>

=> s 15 CFR inhibitors MISSING OPERATOR L5 CFR

The search profile that was entered contains terms or nested terms that are not separated by a logical operator.

=> s L5 and CFR inhibitors

L7 0 L5 AND CFR INHIBITORS

=> s L4 and pyrimidine

L8 68 L4 AND PYRIMIDINE

=> s L8 and L5

L9 8 L8 AND L5

=> s L4 and bezothiadiazole

L10 0 L4 AND BEZOTHIADIAZOLE

=> s L4 and benz oxadiazole

Patel <5/18/2003>

L11 0 L4 AND BENZ OXADIAZOLE

=> s L4 and benzoxadiazole

L12 163 L4 AND BENZOXADIAZOLE

=> s L4 and benzothiadiazole

L13 138 L4 AND BENZOTHIADIAZOLE

=> s L4 and benzotriazole

L14 825 L4 AND BENZOTRIAZOLE

=> L14 and 2-methyl benzotriazole

L14 IS NOT A RECOGNIZED COMMAND

The previous command name entered was not recognized by the system. For a list of commands available to you in the current file, enter "HELP COMMANDS" at an arrow prompt (=>).

=> s 114 and 2-methyl benzotriazole

L15 0 L14 AND 2-METHYL BENZOTRIAZOLE

=> s 114 and methyl trizole

L16 0 L14 AND METHYL TRIZOLE

=> s L14 and pyrimidine

L17 7 L14 AND PYRIMIDINE

=> s 14 and 1,3,5-trazine

L18 0 L4 AND 1,3,5-TRAZINE

=> s 14 and pyrazole

L19 65 L4 AND PYRAZOLE

=> s 119 and pyrazolopyrimidine

L20 0 L19 AND PYRAZOLOPYRIMIDINE

=> s l4 and triazole

L21 89 L4 AND TRIAZOLE

=> s 121 and trazolopyrimidine

L22 0 L21 AND TRAZOLOPYRIMIDINE

=> s 121 and triazolopyrimidine

L23 1 L21 AND TRIAZOLOPYRIMIDINE

=> s 14 and pyrimidine and quinoxaline

L24 8 L4 AND PYRIMIDINE AND QUINOXALINE

=> s 14 and pyrimidine and benzoxadiazole

L25 3 L4 AND PYRIMIDINE AND BENZOXADIAZOLE

=> s 14 and pyrrolo-pyrimidine and quinoxaline

L26 0 L4 AND PYRROLO-PYRIMIDINE AND QUINOXALINE

=> s 14 and imidazo-pyrimidine and quinoxaline

L27 0 L4 AND IMIDAZO-PYRIMIDINE AND QUINOXALINE

=> s 14 and benzothiadiazole and quinoxaline

L28 6 L4 AND BENZOTHIADIAZOLE AND QUINOXALINE

<5/18/2003>

```
=> s 14 and quinoxaline
          120 L4 AND QUINOXALINE
=> s l4 and benzothiadiazole
        138 L4 AND BENZOTHIADIAZOLE
L30
=> s 14 and benzoxadiazole
          163 L4 AND BENZOXADIAZOLE
=> s 14 and benztriazole
L32
          6 L4 AND BENZTRIAZOLE
=> d his
     (FILE 'HOME' ENTERED AT 16:05:03 ON 18 MAY 2003)
     FILE 'REGISTRY' ENTERED AT 16:05:14 ON 18 MAY 2003
L1
                STRUCTURE UPLOADED
L_2
             30 S L1
L3
          13138 S L1 SSS FULL
     FILE 'CAPLUS' ENTERED AT 16:06:46 ON 18 MAY 2003
           2810 S L3
L4
            120 S L4 AND OUINOXALINE
L5
L6
              0 S L4 AND CFR RECEPTOR
L7
              0 S L5 AND CFR INHIBITORS
             68 S L4 AND PYRIMIDINE
L8
L9
            8 S L8 AND L5
L10
             0 S L4 AND BEZOTHIADIAZOLE
L11
             0 S L4 AND BENZ OXADIAZOLE
L12
          163 S L4 AND BENZOXADIAZOLE
L13
          138 S L4 AND BENZOTHIADIAZOLE
          825 S L4 AND BENZOTRIAZOLE
L14
L15
             0 S L14 AND 2-METHYL BENZOTRIAZOLE
L16
            0 S L14 AND METHYL TRIZOLE
L17
            7 S L14 AND PYRIMIDINE
L18
            0 S L4 AND 1,3,5-TRAZINE
L19
           65 S L4 AND PYRAZOLE
L20
             0 S L19 AND PYRAZOLOPYRIMIDINE
L21
           89 S L4 AND TRIAZOLE
L22
             0 S L21 AND TRAZOLOPYRIMIDINE
             1 S L21 AND TRIAZOLOPYRIMIDINE
L23
            8 S L4 AND PYRIMIDINE AND QUINOXALINE
3 S L4 AND PYRIMIDINE AND BENZOXADIAZOLE
L24
L25
L26
             0 S L4 AND PYRROLO-PYRIMIDINE AND QUINOXALINE
L27
             0 S L4 AND IMIDAZO-PYRIMIDINE AND QUINOXALINE
L28
             6 S L4 AND BENZOTHIADIAZOLE AND QUINOXALINE
L29
           120 S L4 AND QUINOXALINE
L30
           138 S L4 AND BENZOTHIADIAZOLE
L31
           163 S L4 AND BENZOXADIAZOLE
L32
              6 S L4 AND BENZTRIAZOLE
=> s l4 ands quinoxaline
```

MISSING OPERATOR L4 ANDS

The search profile that was entered contains terms or nested terms that are not separated by a logical operator.

Patel <5/18/2003>

```
=> s L4 and quinoxaline
         120 L4 AND QUINOXALINE
=> s 112 and 113 and 114 and pyrimidine
MISSING OPERATOR L13 ANDL14
The search profile that was entered contains terms or
nested terms that are not separated by a logical operator.
=> s 112 and 113 and 114 and pyrimidine
            O L12 AND L13 AND L14 AND PYRIMIDINE
=> s 112 and pyrimidine
            3 L12 AND PYRIMIDINE
L35
=> s 113 and pyrimidine
            7 L13 AND PYRIMIDINE
L36
=> s 114 and pyrimidine
            7 L14 AND PYRIMIDINE
=> s 133 and pyrimidine
            8 L33 AND PYRIMIDINE
L38
=> d 135 fbibhitstr abs total
'FBIBHITSTR' IS NOT A VALID FORMAT FOR FILE 'CAPLUS'
The following are valid formats:
ABS ----- GI and AB
ALL ----- BIB, AB, IND, RE
APPS ----- AI, PRAI
BIB ----- AN, plus Bibliographic Data and PI table (default)
CAN ------ List of CA abstract numbers without answer numbers
CBIB ----- AN, plus Compressed Bibliographic Data
DALL ----- ALL, delimited (end of each field identified)
DMAX ----- MAX, delimited for post-processing
FAM ----- AN, PI and PRAI in table, plus Patent Family data
FBIB ----- AN, BIB, plus Patent FAM
IND ----- Indexing data
IPC ----- International Patent Classifications
MAX ----- ALL, plus Patent FAM, RE
PATS ----- PI, SO
SAM ----- CC, SX, TI, ST, IT
SCAN ----- CC, SX, TI, ST, IT (random display, no answer numbers;
             SCAN must be entered on the same line as the DISPLAY,
             e.g., D SCAN or DISPLAY SCAN)
STD ----- BIB, IPC, and NCL
IABS ----- ABS, indented with text labels
IALL ----- ALL, indented with text labels
IBIB ----- BIB, indented with text labels
IMAX ----- MAX, indented with text labels
ISTD ----- STD, indented with text labels
OBIB ----- AN, plus Bibliographic Data (original)
OIBIB ----- OBIB, indented with text labels
SBIB ----- BIB, no citations
```

Patel <5/18/2003>

SIBIB ----- IBIB, no citations

HIT ----- Fields containing hit terms

HITIND ----- IC, ICA, ICI, NCL, CC and index field (ST and IT)

containing hit terms

HITRN ----- HIT RN and its text modification

HITSTR ----- HIT RN, its text modification, its CA index name, and

its structure diagram

HITSEQ ----- HIT RN, its text modification, its CA index name, its

structure diagram, plus NTE and SEQ fields

FHITSTR ---- First HIT RN, its text modification, its CA index name, and

its structure diagram

FHITSEQ ---- First HIT RN, its text modification, its CA index name, its

structure diagram, plus NTE and SEQ fields

KWIC ----- Hit term plus 20 words on either side

OCC ----- Number of occurrence of hit term and field in which it occurs

To display a particular field or fields, enter the display field codes. For a list of the display field codes, enter HELP DFIELDS at an arrow prompt (=>). Examples of formats include: TI; TI,AU; BIB,ST; TI, IND; TI, SO. You may specify the format fields in any order and the information will be displayed in the same order as the format specification.

All of the formats (except for SAM, SCAN, HIT, HITIND, HITRN, HITSTR, FHITSTR, HITSEQ, FHITSEQ, KWIC, and OCC) may be used with DISPLAY ACC to view a specified Accession Number. ENTER DISPLAY FORMAT (BIB):bib

L35 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2003 ACS

AN 2002:869496 CAPLUS

DN 137:363033

ΤI Peptidomimetic modulators of cell adhesion

TN Gour, Barbara J.; Blaschuk, Orest W.; Ali, Anmar; Ni, Feng; Chen, Zhigang; Michaud, Stephanie D.; Wang, Shoameng; Hu, Zenjian

PA

SO U.S. Pat. Appl. Publ., 309 pp., Cont.-in-part of U.S. Ser. No. 491,078. CODEN: USXXCO

DT Patent

T.A English

FAN.CNT 2

PATENT NO. KIND DATE APPLICATION NO. DATE ---------------US 2002168761 PΤ A1 20021114 US 2001-769145 20010124 PRAI US 2000-491078 A2 20000124

MARPAT 137:363033 OS.

L35 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2003 ACS

2001:479145 CAPLUS AN

135:81810 DN

Hair dyeing preparations containing benzofurazan derivs. TI

IN Moeller, Hinrich; Oberkobusch, Doris; Hoeffkes, Horst

PA Henkel K.-G.a.A., Germany

SO Ger. Offen., 12 pp.

CODEN: GWXXBX

DT Patent

LΑ German

FAN.CNT 1

```
PATENT NO.
                   KIND DATE
                                         APPLICATION NO. DATE
     ______
                                         -----
                                                         _____
    DE 19962880
                          20010628
                                         DE 1999-19962880 19991224
PΙ
                     A1
    WO 2001047485
                     A1
                          20010705
                                         WO 2000-EP12821 20001215
        W: AU, JP, US
        RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
            PT, SE, TR
PRAI DE 1999-19962880 A
                          19991224
OS
    MARPAT 135:81810
L35 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2003 ACS
    2001:63992 CAPLUS
AN
DN
    134:116237
ΤI
    Preparation of bradykinin B1 receptor antagonists
    Ohlmeyer, Michael H. J.; Baldwin, John J.; Dolle, Roland E., III;
IN
    Paradkar, Vidyadhar; Quintero, Jorge Gabriel; Pan, Gonghua
PA
    Pharmacopeia, Inc., USA
SO
    PCT Int. Appl., 231 pp.
    CODEN: PIXXD2
DT
    Patent
    English
LΑ
FAN.CNT 1
    PATENT NO.
                KIND DATE
                                  APPLICATION NO. DATE
                    ----
                                        -----
                                    WO 2000-US19185 20000714
    WO 2001005783 A1 20010125
PΙ
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
            CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
            HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
            LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
            SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
            YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
            DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
            CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
    EP 1196411
                     A1 20020417
                                    EP 2000-950343 20000714
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO
    JP 2003505384
                    T2
                                        JP 2001-511442 20000714
                          20030212
PRAI US 1999-143990P
                     Ρ
                          19990715
    WO 2000-US19185
                          20000714
                     W
OS
    MARPAT 134:116237
RE.CNT 4
             THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
             ALL CITATIONS AVAILABLE IN THE RE FORMAT
=> d 136 fbib hitstr abs total
L36 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2003 ACS
AN
    2003:282533 CAPLUS
DN
    138:304304
TI
    Preparation of difluoroalkene derivatives as pest control agents
    containing the same, and intermediate therefor
IN
    Abe, Tetsuya; Tamai, Ryuji; Ito, Minoru; Tamaru, Masatoshi; Yano,
    Hiroyuki; Takahashi, Satoru; Muramatsu, Norimichi
    Kumiai Chemical Industry Co., Ltd., Japan; Ihara Chemical Industry Co.,
PΑ
    Ltd.
SO
    PCT Int. Appl., 195 pp.
    CODEN: PIXXD2
```

DT Patent LA Japanese

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE ____ PΙ WO 2003029211 **A**1 20030410 WO 2002-JP10142 20020930 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG

JP 2001-299687 A 20010928 JP 2002-142329 A 20020517

OS MARPAT 138:304304

IT 509098-35-5P 509098-56-0P 509100-31-6P

RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of difluoroalkenyl heterocyclecarboxylate and -thiocarboxylates as pest control agents such as insecticides, acaricides, and nematocides)

RN 509098-35-5 CAPLUS

CN 2,1,3-Benzothiadiazole-5-carboxylic acid, 6,6-difluoro-5-methyl-5-hexenyl ester (9CI) (CA INDEX NAME)

RN 509098-56-0 CAPLUS

CN 2H-Benzotriazole-5-carboxylic acid, 2-(6,6-difluoro-5-methyl-5-hexenyl)-, 6,6-difluoro-5-methyl-5-hexenyl ester (9CI) (CA INDEX NAME)

RN 509100-31-6 CAPLUS

CN 6-Quinoxalinecarboxylic acid, 4,4-difluoro-3-methyl-3-butenyl ester (9CI)

Page 11

(CA INDEX NAME)

$$\begin{array}{c} \text{CF2} \\ \parallel \\ \text{Me-C-CH}_2\text{-CH}_2\text{-O-C} \\ \end{array}$$

IT 175204-21-4

RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of difluoroalkenyl heterocyclecarboxylate and -thiocarboxylates
as pest control agents such as insecticides, acaricides, and
nematocides)

RN 175204-21-4 CAPLUS

CN 2,1,3-Benzothiadiazole-5-carboxylic acid, methyl ester (9CI) (CA INDEX NAME)

AB The difluoroalkenyl heterocyclecarboxylate, -thiocarboxylates, or dithiocarboxylate derivs. represented by the general formula Q-C(:L1)-L2-(CH2)n-C(CF3):CF2 or pharmacol. acceptable salts thereof (wherein L1 and L2 are the same or different and each represents oxygen or sulfur; n is an integer of 2 to 8; and Q represents an optionally substituted 5- to 12-membered heterocyclic group having any desired heteroatom selected among nitrogen, oxygen, and sulfur wherein the heteroatom in the heterocyclic ring is a nitrogen, it may be oxidized to N-oxide), which are useful as insecticides, acaricides, and nematocides, are prepd. These compds. are sufficiently effective in controlling various pests even when used in a small dose and are highly safe for crops, natural enemies to the pests, and animals. Thus, 4-phenyl-1,2,3-thiadiazole-5-carboxylic acid 0.23, 6,6-difluoro-5-methyl-5hexenol 0.17, and 4-dimethylaminopyridine 0.13 g were dissolved in 4 mL $\,$ CH2Cl2, treated with 0.29 g 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride at room temp., and stirred for 20 h to give 6,6-difluoro-5-methyl-5-hexenyl 4-phenyl-1,2,3-thiadiazole-5-carboxylate (I). I and 4,4-difluoro-3-methyl-3-butenyl 6-butoxy-2-methylpyrimidine-4carboxylate at 500 ppm controlled .gtoreq.90% 4th instar larvae of Nilaparvata lugens.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L36 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2003 ACS

AN 2002:964355 CAPLUS

DN 138:55951

TI Preparation of 1-(2,1,3-benzothiadiazolyl)-3-pyridylpropyl-1,8naphthyridine derivatives as phosphodiesterase (PDE) IV inhibitors
IN Aotsuka, Tomoji; Kumazawa, Kentarou; Wagatsuma, Nagatoshi; Ishitani,

Patel <5/18/2003>

Kouki; Nose, Takashi PA Grelan Pharmaceutical Co., Ltd., Japan SO PCT Int. Appl., 69 pp. CODEN: PIXXD2 DT Patent LA Japanese FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. DATE --------------PIWO 2002100859 A1 20021219 WO 2002-JP5804 20020611 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG JP 2001-176550 A 20010612 OS MARPAT 138:55951 IT 479073-52-4P RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (PDE IV inhibitor; prepn. of (benzothiadiazolyl) (pyridylpropyl) naphthyr idine derivs. as PDE IV inhibitors) RN479073-52-4 CAPLUS CN1,8-Naphthyridin-2(1H)-one, 1-(3-oxido-2,1,3-benzoxadiazol-5-yl)-3-[3-(4-

IT 479073-27-3P 479073-28-4P 479073-29-5P 479073-50-2P 479073-53-5P

pyridinyl)propyl] - (9CI) (CA INDEX NAME)

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(PDE IV inhibitor; prepn. of (benzothiadiazolyl)(pyridylpropyl)naphthyr idine derivs. as PDE IV inhibitors)

RN 479073-27-3 CAPLUS

CN 1,8-Naphthyridin-2(1H)-one, 1-(2,1,3-benzothiadiazol-5-yl)-3-[3-(4-pyridinyl)propyl]- (9CI) (CA INDEX NAME)

RN 479073-28-4 CAPLUS

CN 1,8-Naphthyridin-2(1H)-one, 1-(2,1,3-benzothiadiazol-5-yl)-3-[3-(3-pyridinyl)propyl]- (9CI) (CA INDEX NAME)

RN 479073-29-5 CAPLUS

CN 1,8-Naphthyridin-2(1H)-one, 1-(2,1,3-benzothiadiazol-5-yl)-3-[3-(2-pyridinyl)propyl]- (9CI) (CA INDEX NAME)

RN 479073-50-2 CAPLUS

CN 1,8-Naphthyridin-2(1H)-one, 1-(2,1,3-benzothiadiazol-4-yl)-3-[3-(4-pyridinyl)propyl]- (9CI) (CA INDEX NAME)

RN 479073-53-5 CAPLUS

CN 1,8-Naphthyridin-2(1H)-one, 1-(2,1,3-benzoxadiazol-5-yl)-3-[3-(4-pyridinyl)propyl]- (9CI) (CA INDEX NAME)

IT 479073-54-6P 479073-55-7P 479073-56-8P 479073-57-9P 479073-58-0P 479073-59-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; prepn. of (benzothiadiazolyl)(pyridylpropyl)naphthyridin e derivs. as PDE IV inhibitors)

RN 479073-54-6 CAPLUS

CN 3-Pyridinecarboxaldehyde, 2-(2,1,3-benzothiadiazol-5-ylamino)- (9CI) (CA INDEX NAME)

RN 479073-55-7 CAPLUS

CN 3-Pyridinecarboxylic acid, 2-(2,1,3-benzothiadiazol-5-ylamino)- (9CI) (CA INDEX NAME)

RN 479073-56-8 CAPLUS

CN 3-Pyridinecarboxylic acid, 2-(2,1,3-benzothiadiazol-5-ylamino)-, cyanomethyl ester (9CI) (CA INDEX NAME)

RN 479073-57-9 CAPLUS

CN 3-Pyridinecarboxylic acid, 2-(2,1,3-benzothiadiazol-5-ylamino)-, methyl ester (9CI) (CA INDEX NAME)

RN 479073-58-0 CAPLUS

CN 3-Pyridinemethanol, 2-(2,1,3-benzothiadiazol-5-ylamino)- (9CI) (CA INDEX NAME)

RN 479073-59-1 CAPLUS

CN 3-Pyridinecarboxaldehyde, 2-(2,1,3-benzothiadiazol-4-ylamino)- (9CI) (CA INDEX NAME)

GI

CH₃
NO₂
II

AB The title compds. I [wherein A = CH2, alkyl-CH2, CO, HOCH2, or alkyl-CO2CH2; Y = heteroaryl; Z = heteroaryl or (un)substituted Ph] and pharmaceutically acceptable salts thereof are prepd as PDE IV inhibitors for the treatment of asthma. For example, 2-(3-nitrophenylamino)nicotinaldehyde (prepn given) was reacted with Et 5-methyl-5-(pyrid-4-yl)pentanoate (prepn given) in THF in the presence of LDA to afford the naphthyridine II (37%). II showed IC50 of 0.070 .mu.M against PDE IV and ED50 of 0.12 mg/kg against asthma in guinea pig.

RE.CNT 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L36 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2003 ACS

Ι

AN 2002:790220 CAPLUS

DN 137:294982

TI Preparation of piperazinylpyrazinyl aryloxyalkyl ethers as 5-HT2C receptor agonists

IN Nilsson, Bjorn; Tejbrant, Jan; Pelcman, Benjamin; Ringberg, Erik; Thor, Markus; Nilsson, Jonas; Jonsson, Mattias

PA Biovitrum AB, Swed.

SO U.S., 45 pp., Cont.-in-part of U.S. Ser. No. 573,348, abandoned. CODEN: USXXAM

DT Patent

LA English

FAN.CNT 2

PATENT NO. KIND DATE APPLICATION NO. DATE

Patel

```
PΙ
    US 6465467
                     В1
                           20021015
                                          US 2000-589282 20000608
                                          SE 1999-1884 A 19990521
                                          US 1999-137527PP 19990603
                                          US 2000-573348 B220000519
    US 2003092694
                      A1
                           20030515
                                          US 2002-269670 20021011
                                          SE 1999-1884 A 19990521
                                          US 1999-137527PP 19990603
                                          US 2000-573348 B220000519
                                          US 2000-589282 A320000608
PATENT FAMILY INFORMATION:
FAN 2000:900625
    PATENT NO.
                     KIND DATE
                                        APPLICATION NO. DATE
                    ____
                                         -----
    WO 2000076984
PΙ
                  A2 20001221
                                         WO 2000-SE1017 20000519
    WO 2000076984
                     A3 20010208
           AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,
            CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL,
            IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA,
            MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI,
            SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM,
            AZ, BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
            DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
            CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                          SE 1999-1884
                                                       A 19990521
                                          US 1999-137527PP 19990603
    EP 1178973
                                         EP 2000-931877 20000519
                      A2
                           20020213
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
           .IE, SI, LT, LV, FI, RO
                                          SE 1999-1884
                                                       A 19990521
                                          US 1999-137527PP 19990603
                                          WO 2000-SE1017 W 20000519
    BR 2000010783
                      Α
                           20020409
                                          BR 2000-10783
                                                          20000519
                                          SE 1999-1884
                                                       A 19990521
                                          US 1999-137527PP 19990603
                                          WO 2000-SE1017 W 20000519
    JP 2003502317
                                          JP 2001-503842
                      T2
                           20030121
                                                         20000519
                                          SE 1999-1884 A 19990521
                                          US 1999-137527PP 19990603
                                          WO 2000-SE1017 W 20000519
    NO 2001005686
                      Α
                           20020115
                                          NO 2001-5686
                                                          20011121
                                          SE 1999-1884
                                                       A 19990521
                                          US 1999-137527PP 19990603
                                          WO 2000-SE1017 W 20000519
OS
    MARPAT 137:294982
    313655-27-5P, 4-[2-[[3-(1-Piperazinyl)-2-pyrazinyl]oxy]ethoxy]-
IT
    2,1,3-benzothiadiazole Dihydrochloride 313655-31-1P,
    5-[2-[[3-(1-Piperazinyl)-2-pyrazinyl]oxy]ethoxy]quinoxaline Hydrochloride
    RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (prepn. of heterocyclylpyrazinyl aryloxyalkyl ether 5-HT2C receptor
       agonists from aryloxyalkanols, halopyrazines, and heterocycles)
RN
    313655-27-5 CAPLUS
CN
    2,1,3-Benzothiadiazole, 4-[2-[[3-(1-piperazinyl)pyrazinyl]oxy]ethoxy]-,
    dihydrochloride (9CI) (CA INDEX NAME)
```

Page 18

●2 HCl

RN 313655-31-1 CAPLUS
CN Quinoxaline, 5-[2-[[3-(1-piperazinyl)pyrazinyl]oxy]ethoxy]-,
monohydrochloride (9CI) (CA INDEX NAME)

● HCl

IT 313655-28-6P, tert-Butyl 4-[3-[2-(2,1,3-benzothiadiazol-4 yloxy)ethoxy]-2-pyrazinyl]-1-piperazinecarboxylate
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (prepn. of heterocyclylpyrazinyl aryloxyalkyl ether 5-HT2C receptor
 agonists from aryloxyalkanols, halopyrazines, and heterocycles)
RN 313655-28-6 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[3-[2-(2,1,3-benzothiadiazol-4-

yloxy)ethoxy]pyrazinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

GI

$$\mathbb{R}^{8-\gamma}$$
 \mathbb{R}^{5}
 \mathbb{R}^{6}
 \mathbb{R}^{4}
 \mathbb{R}^{2}
 \mathbb{R}^{2}
 \mathbb{R}^{1}
 \mathbb{R}^{2}
 \mathbb{R}^{2}
 \mathbb{R}^{2}
 \mathbb{R}^{1}
 \mathbb{R}^{2}
 \mathbb{R}^{2}
 \mathbb{R}^{2}
 \mathbb{R}^{2}
 \mathbb{R}^{2}
 \mathbb{R}^{2}
 \mathbb{R}^{2}
 \mathbb{R}^{3}
 \mathbb{R}^{2}
 \mathbb{R}^{3}
 \mathbb{R}^{2}
 \mathbb{R}^{3}
 \mathbb{R}^{3}
 \mathbb{R}^{3}
 \mathbb{R}^{3}
 \mathbb{R}^{3}

AΒ The title compds. (I) [wherein X and Y = independently O, S, or NR7; R and R1 = independently H, alkyl, or halo; or C2RR1 = optionally halo substituted benzene or thiophene; R2 = H, OH, or alkyl; R3, R4, and R5 = independently H or alkyl; R6 = H or alkyl; or CYR6R8 for a 5-6 membered heterocycle; R7 = H or alkyl, preferably Me or Et; R8 = (un) substituted (hetero)aryl; m and n = independently 1 or 2; or pharmaceutically acceptable salts, hydrates, geometric isomers, tautomers, optical isomers, N-oxides, and prodrugs thereof] were prepd. and tested as 5-HT2C receptor agonists. For instance, 2,3-dichloropyrazine and 2-phenoxyethanol were treated with t-BuONa in dioxane to give 2-chloro-3-(2phenoxyethoxy)pyrazine (62%). The halopyrazine, piperazine, and K2CO3 in MeCN were stirred and heated to afford the desired 2-(phenoxy)ethyl 3-(1-piperazinyl)-2-pyrazinyl ether (II) in 65% yield, which was then converted to the maleate salt. In competition expts., I showed affinity for 5-HT2C receptor protein with Ki values typically ranging from 1 nM to 1500 nM and specific values ranging from 5 nM to 377 nM for twelve compds.

I exhibited agonist efficacy at the 5-HT2C receptor by mobilizing intracellular Ca in transfected HEK293 cells with max. responses in the range of 20-100% relative to the max. response of 5-HT (serotonin) at a concn. of 1 .mu.M. Acute toxicity studies in mice following oral administration of I showed that mortality typically occurred at doses between 200 mg/kg to 450 mg/kg body wt. I are useful for the treatment of serotonin-related central nervous system disorders, such as eating disorders, memory disorders, schizophrenia, mood disorders, anxiety disorders, pain, sexual dysfunctions, and urinary disorders (no data).

RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L36 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2003 ACS
    2001:78009 CAPLUS
AN
DN
    134:115954
    Preparation of N-pyrazolylsulfonamides and their use as endothelin
TI
    antagonists
    Banks, Bernard Joseph; Chubb, Nathan Anthony Logan; Eshelby, James John;
    Schulz, Darren John
PA
    Pfizer Ltd., UK; Pfizer Inc.
SO
    Eur. Pat. Appl., 131 pp.
    CODEN: EPXXDW
DT
    Patent
LΑ
    English
FAN.CNT 2
                    KIND DATE
    PATENT NO.
                                        APPLICATION NO. DATE
    -----
                    ----
                         _____
                                        -----
                                  EP 2000-306475 20000728
    EP 1072597 A1 20010131
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO
                                        GB 1999-17858 A 19990729
                                        GB 2000-13368 A 20000531
    BR 2000003233 A
                          20010313
                                        BR 2000-3233
                                                      20000731
                                        GB 1999-17858 A 19990729
                                        GB 2000-13368 A 20000531
    JP 2001064262 A2
                          20010313
                                        JP 2000-231611
                                                      20000731
                                        GB 1999-17858 A 19990729
                                        GB 2000-13368 A 20000531
    JP 2002034585
                          20020205
                    A2
                                        JP 2001-151888 20010522
                                        GB 2000-13368 A 20000531
                    A1 20011205
    EP 1160331
                                        EP 2001-304646 20010525
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO
                                        GB 2000-13368 A 20000531
                                        US 2001-867347 20010529
    US 2002012977
                     A1
                          20020131
                                        GB 2000-13368 A 20000531
                                        US 2000-220285PP 20000724
    BR 2001002165
                    Α
                          20020213
                                        BR 2001-2165
                                                      20010529
                                        GB 2000-13368 A 20000531
    US 2002019408
                    A1
                          20020214
                                        US 2001-867488 20010530
    US 6387915
                    B2
                          20020514
                                        GB 2000-13368 A 20000531
                                        US 2000-220285PP 20000724
                                        GB 2000-18356 A 20000726
```

PATENT FAMILY INFORMATION:

FAN 2001:885416

PATENT NO. KIND DATE APPLICATION NO. DATE

US 2000-230112PP 20000905

ΡI	EP 1160248	A1 20011205	EP 2001-304626 20010525												
			FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,												
		LT, LV, FI, RO	111, 02, 011, 12, 20, 12, 02, 110, 11,												
	, 51,		GB 2000-13368 A 20000531												
			GB 2000-18356 A 20000726												
	TP 2002034585	A2 20020205													
	01 2002034303	A2 20020203	GB 2000-13368 A 20000531												
	ED 1160331	71 20011205	EP 2001-304646 20010525												
			FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,												
			FR, GB, GR, II, LII, LO, NL, SE, MC, PI,												
	1E, SI,	LT, LV, FI, RO	CD 2000 12260 % 20000521												
	TD 200202020E	A2 20020123	GB 2000-13368 A 20000531												
	JP 2002020385	A2 20020123													
			GB 2000-13368 A 20000531												
	DD 2001002150	7 20020212	GB 2000-18356 A 20000726												
	BR 2001002150	A 20020312													
			GB 2000-13368 A 20000531												
			GB 2000-18356 A 20000726												
	US 2002012977	A1 20020131													
			GB 2000-13368 A 20000531												
			US 2000-220285PP 20000724												
	BR 2001002165	A 20020213													
			GB 2000-13368 A 20000531												
		A1 20020214													
	US 6387915	B2 20020514													
			GB 2000-13368 A 20000531												
			US 2000-220285PP 20000724												
			GB 2000-18356 A 20000726												
			US 2000-230112PP 20000905												
OS	MARPAT 134:1159	54													
IT	321565-64-4P, N	321565-64-4P, N-[4-(1,3-Benzodioxol-5-yl)-3-methoxy-1-methyl-1H-													
			azole-4-sulfonamide												
	; THU (Therapeutic use); BIOL (Biological														
	study); PREP (Preparation); USES (Uses)														
			as endothelin antagonists)												
RN	321565-64-4 CA														
CN			omido N [4 /1 2 hongodional E]\ 2												

RN 321565-64-4 CAPLUS
CN 2,1,3-Benzothiadiazole-4-sulfonamide, N-[4-(1,3-benzodioxol-5-yl)-3-methoxy-1-methyl-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

GI

AΒ I and II, wherein R1, R2, R3, Ar1 and X are as defined below, pharmaceutically acceptable derivs. thereof, and their uses as endothelin antagonists are claimed. R1 = H, C1-6 alkyl (optionally substituted by .gtoreq.1 halo, OR4 or NR4R5 groups), C2-6 alkenyl (optionally substituted by .gtoreq.1 halo groups), C2-6 alkynyl (optionally substituted by .gtoreq.1 halo groups), C(0)R4, CO2R4, CH2aryl4, CONR4R5, aryl or het1. R2 = C1-6 alkyl, cyclopropylmethyl, or CH2CH2OG (G = H, C1-6 alkyl (optionally substituted by a C3-6 cycloalkyl group), C(O)R4, CONHAr or Ar2). R4 and R5 = independently H or C1-6 alkyl optionally substituted by .gtoreq.1 halo groups. X = direct link, O, S, SO, SO2, CO or CH2. R3 = (a) C1-6 arom. hydrocarbon group; or (b) an optionally benzofused 5- or 6-membered heterocyclic group with one to three heteroatoms in the heterocyclic ring, which heteroatoms are independently N, O and S; or (c) CH2CH2Ph, CH:CHPh; or (d) C1-6 alkyl, optionally substituted by 1-4 substituents halo, C1-6 alkoxy, CO2R4, OC(0)R4 and NR4R5; each of which groups (a), (b) and (c) is optionally substituted by up to four substituents = independently (i) C1-6 alkyl, optionally substituted by 1-4 substituents selected from: halo, OR4, CO2R4, OC(O)R4 and NR4R5; (ii) C1-6 alkoxy; (iii) CO2R4 and OC(O)R4; (iv) halo; (v) NO2; (vi) CN; (vii) NR4R5; (viii) C1-3 alkylenedioxy; (ix) OH; (x) alkoxycarbonyl. Ar1 and Ar2 = independently aryl5 or het1. Aryl4 = Ph or naphthyl group optionally substituted by up to three substituents = independently C1-3 alkyl, CF3, halogen, C1-3 alkoxy, CF3O, OH, NO2, CN, NR4R5, COR4, CO2R4, CONR4R5, S(O)p(C1-3 alkyl), CH2NR4R5, NR4COR5, COCF3, CH2OH, S(O)pCF3, C(:NH)NH2. Aryl5 = Ph, 1,3-benzodioxyl or naphthyl group optionally substituted by up to three substituents = independently C1-3 alkyl, CF3, halogen, C1-3 alkoxy, OCF3, OH, NO2, CN, NR4R5, C(O)R4, CO2R4, CONR4R5, S(O)p(C1-3 alkyl), CH2NR4R5, NR4COR3, COCF3, CH2OH, S(O)pCF3, C(:NH)NH2, C2-3 alkynyl, C2-3 alkenyl, Ph and het2. Het1 = 5- to 7-membered heterocyclic group with 1-3 heteroatoms in the heterocyclic ring, which heteroatoms =independently N, O and S, which heterocyclic ring is optionally benzofused, which group may be fully satd. or partially or fully unsatd., and which is optionally substituted by up to three substituents = independently C1-3 alkyl, CF3, halogen, C1-3 alkoxy, CF30, OH, NO2, CN, NR4R5, COR4, CO2R4, CONR4R5, S(O)p(C1-3 alkyl), CH2NR4R5, NR4COR5, COCF3, CH2OH, S(0)pCF3, C(:NH)NH2, C2-3 alkynyl, C2-3 alkenyl, Ph and het2, and, when present in the G moiety, is linked to the O atom to which it is joined to the remainder of the compd. I or II via a C atom in said het1 group. Het2 = 5- to 7-membered heterocyclic group with 1-3 heteroatoms in the heterocyclic ring, which heteroatoms are independently selected from N, O and S, which group may be fully satd. or partially or fully unsatd. P = 0, 1 or 2. The claimed compds. are claimed to be useful (no quant. data given) in the prepn. of a medicament for the treatment of restenosis, acute and chronic renal failure, systemic and pulmonary hypertension;

Patel

benign prostatic hyperplasia, male erectile dysfunction, prostate cancer, metastatic bone cancer, congestive heart failure, stroke, subarachnoid hemorrhage, angina, atherosclerosis, cerebral and cardiac ischemia, prevention of ischemia/reperfusion injury (e.g. allografts), cyclosporin induced nephrotoxicity, glaucoma, radiocontrast nephropathy, diabetic neuropathy, allergy, restoration of organ perfusion in hemorrhagic shock, lipoprotein lipase related disorders, chronic obstructive pulmonary disease and hyaline membrane disease in newborn. More than 100 prepns. of the claimed compds. are described but the methods of prepn. are not claimed.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L36 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2003 ACS
     1999:375544 CAPLUS
AN
DN
     131:19000
ΤI
     Preparation of phenyloxazolidinones as bactericides
     Betts, Michael John; Swain, Michael Lingard
IN
PA
     Zeneca Limited, UK
SO
     PCT Int. Appl., 79 pp.
     CODEN: PIXXD2
DT
     Patent
LA
    English
FAN.CNT 1
     PATENT NO.
                     KIND DATE
                                         APPLICATION NO.
                                                           DATE
                           -----
PΙ
     WO 9928317
                      A1
                           19990610
                                     WO 1998-GB3496
                                                           19981124
        W: JP, US
        RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
            PT, SE
                                          GB 1997-25244 A 19971129
                           20000913
     EP 1034175
                                          EP 1998-955759 19981124
                      A1
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, FI
                                          GB 1997-25244 A 19971129
                                          WO 1998-GB3496 W 19981124
     JP 2001525320
                      T2
                           20011211
                                          JP 2000-523209
                                                          19981124
                                          GB 1997-25244 A 19971129
                                          WO 1998-GB3496 W 19981124
     US 6495551
                      B1
                           20021217
                                          US 2000-555203 20000525
                                          GB 1997-25244 A 19971129
                                          WO 1998-GB3496 W 19981124
    MARPAT 131:19000
OS
IT
     226385-08-6P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (prepn. of phenyloxazolidinones as bactericides)
RN
     226385-08-6 CAPLUS
CN
     1H-Imidazole-4-carboxamide, 1-[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-
     oxazolidinyl]-2-fluorophenyl]-N-2,1,3-benzothiadiazol-4-yl- (9CI) (CA
     INDEX NAME)
```

Absolute stereochemistry.

GI

$$\begin{array}{c|c}
 & R6 \\
 & N-R \\
 & R5 & I
\end{array}$$

Title compds. [I; R = Z1ZCH2R1; R1 = Cl, F, OH, alkoxy, NHCORa, etc.; Ra = H, CH2Cl, alkyl, alkoxy, etc.; R4 = YR2 or CH(OH)YR2; R2 = (un)substituted heterocyclyl or -heteroaryl; R5,R6 = H, halo, CF3, alkyl; Y = (CH2)m, CO(CH2)m, CONH(CH2)m, etc.; Z = 2-oxooxazolidine-3,5-diyl throughout; Z1 = (2-fluoro) 1,4-phenylene, 2,6-difluoro-1,4-phenylene; m = 0-3] were prepd. Thus, I (R = Z1R3, R4 = CH2R7, R5 = R6 = H, Z1 = 2-fluoro-1,4-phenylene)(II; R3 = NHCO2CH2Ph, R7 = Me3CMe2SiO)(prepn. given) was cyclocondensed with (R)-glycidyl butyrate and the product converted in 4 steps to (R)-II (R3 = ZCH2NHAc)(III; R7 = OH) which was thioetherified by pyrimidine-2-thiol to give III (R7 = 2-pyrimidinylthio). Data for biol. activity of 1 prepd. I were given.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L36 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2003 ACS

AN 1996:711261 CAPLUS

DN 126:47192

TI Ambident reactivity of nitro heteroaromatic anions

AU Murashima, Takashi; Tamai, Ryuji; Fujita, Ken-ichi; Uno, Hidemitsu; Ono, Noboru

CS Dep. Chem., Faculty Sci., Ehime Univ., Matsuyama, 790-77, Japan

SO Tetrahedron Letters (1996), 37(46), 8391-8394 CODEN: TELEAY; ISSN: 0040-4039

PB Elsevier

DT Journal

LA English

OS CASREACT 126:47192

IT 180723-45-9P

RL: SPN (Synthetic preparation); PREP (Preparation) (reaction of nitroarenes with base and Et isocyanoacetate)

RN 180723-45-9 CAPLUS

CN [1,2,5] Thiadiazolo[3,4-f] quinazoline-9-carboxylic acid, ethyl ester, 6-oxide (9CI) (CA INDEX NAME)

GΙ

The reaction of nitro heteroarom. compds. such as quinoxalines, benzothiadiazoles and selenadiazoles with Et isocyanoacetate in the presence of 1,8-diazabicyclo[5,4,9]undec-7-ene gave the corresponding pyrimidine N-oxides, while, in contrast, use of a proazaphosphatrane, i.e., 2,8,9-trimethyl-2,5,8,9-tetraaza-1-phosphabicyclo[3.3.3]undecane (I) or an iminophosphorane, i.e., 1,1',1''-[(1,1-dimethylethyl)phosphinimylidyne]tris[pyrrolidine] (II) as a base under similar conditions gave pyrroles. The reaction of 1-nitronaphthalene with I gave 2H-benz[e]isoindole-3-carboxylic acid Et ester (III) (21% yield). A similar reaction of 6-nitroquinoline with II gave 2H-pyrrolo[3,4-f]quinoline-1-carboxylic acid Et ester (IV) (22% yield).

L36 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2003 ACS

AN 1996:387378 CAPLUS

DN 125:195457

TI A new facet of the reaction of nitro heteroaromatic compounds with ethyl isocyanoacetate

Page 26

- ΑU Murashima, Takashi; Fujita, Ken-ichi; Ono, Kazuo; Ogawa, Takuji; Uno, Hidemitsu; Ono, Noboru
- CS Dep. Chem., Fac. Sci., Ehime Univ., Matsuyama, 790, Japan
- Journal of the Chemical Society, Perkin Transactions 1: Organic and SO Bio-Organic Chemistry (1996), (12), 1403-1407 CODEN: JCPRB4; ISSN: 0300-922X
- PΒ Royal Society of Chemistry
- DT Journal
- LΑ English
- IT 180723-41-5P 180723-45-9P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of fused pyrrole and pyrimidine derivs. by cyclocondensation of isocyanoacetate with nitro heteroarom. compds.)

180723-41-5 CAPLUS RN

Pyrazino[2,3-f]quinazoline-10-carboxylic acid, ethyl ester, 7-oxide (9CI) CN (CA INDEX NAME)

- RN 180723-45-9 CAPLUS
- CN [1,2,5] Thiadiazolo[3,4-f] quinazoline-9-carboxylic acid, ethyl ester, 6-oxide (9CI) (CA INDEX NAME)

AB Nitro heteroarenes react with Et isocyanoacetate in the presence of 1,8-diazabicyclo[5.4.0] undecene (DBU) to give pyrroles or pyrimidine N-oxides depending on the structure of the starting nitro compds. For example, 4-nitro-2,1,3-benzothiadiazole reacted with Et isocyanoacetate to give Et 2,1,3-benzothiadiazolo[3,4c]pyrrole-2-carboxylate (33%), while a similar reaction with 5-nitro-2,1,3-benzothiadiazole gave the corresponding compd., Et pyrimido[5,4-e][2,1,3]benzothiadiazole-9-carboxylate (21%), as a sole product. A plausible mechanism for these reactions is presented.

=> s 136 fbib hitstr abs total

MISSING OPERATOR L36 FBIB

The search profile that was entered contains terms or nested terms that are not separated by a logical operator.

=> d 136 fbib hitstr abs total

L36 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2003 ACS

AN 2003:282533 CAPLUS

DN 138:304304

TI Preparation of difluoroalkene derivatives as pest control agents containing the same, and intermediate therefor

IN Abe, Tetsuya; Tamai, Ryuji; Ito, Minoru; Tamaru, Masatoshi; Yano, Hiroyuki; Takahashi, Satoru; Muramatsu, Norimichi

PA Kumiai Chemical Industry Co., Ltd., Japan; Ihara Chemical Industry Co., Ltd.

SO PCT Int. Appl., 195 pp. CODEN: PIXXD2

DT Patent

LA Japanese

FAN. CNT 1

FAN.	CNT I																	
	PATENT	KIND DATE			A.	PPLI	CATI	N NC	O. 1	DATE								
									_									
PI	WO 2003	2003029211			20030410				W	20 C	02-J	P101	42	20020930				
	W :	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,	
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,	
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,	
		PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TN,	TR,	TT,	TZ,	
		UA,	UG,	US,	UΖ,	VC,	VN,	YU,	ZA,	ZM,	ZW,	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	
		RU,	TJ,	TM														
	RW	: GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AT,	BE,	BG,	
		CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	
		PT,	SE,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	
		ΝE,	SN,	TD,	TG													
								J	P 20	01-2	9968	7 A :	2001	0928				

OS MARPAT 138:304304

IT 509098-35-5P 509098-56-0P 509100-31-6P

RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of difluoroalkenyl heterocyclecarboxylate and -thiocarboxylates as pest control agents such as insecticides, acaricides, and nematocides)

JP 2002-142329 A 20020517

RN 509098-35-5 CAPLUS

CN 2,1,3-Benzothiadiazole-5-carboxylic acid, 6,6-difluoro-5-methyl-5-hexenyl ester (9CI) (CA INDEX NAME)

RN 509098-56-0 CAPLUS

CN 2H-Benzotriazole-5-carboxylic acid, 2-(6,6-difluoro-5-methyl-5-hexenyl)-, 6,6-difluoro-5-methyl-5-hexenyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{CF}_2 \\ \parallel \\ \text{N} \\ \text{Me-C-(CH}_2)_4 - \text{O-C} \\ \parallel \\ \text{CF}_2 \\ \end{array}$$

RN 509100-31-6 CAPLUS

CN 6-Quinoxalinecarboxylic acid, 4,4-difluoro-3-methyl-3-butenyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & CF_2 & O & \\ \parallel & \parallel & \parallel \\ Me-C-CH_2-CH_2-O-C & & N \\ \end{array}$$

IT 175204-21-4

RL: RCT (Reactant); RACT (Reactant or reagent)
 (prepn. of difluoroalkenyl heterocyclecarboxylate and -thiocarboxylates
 as pest control agents such as insecticides, acaricides, and
 nematocides)

RN 175204-21-4 CAPLUS

CN 2,1,3-Benzothiadiazole-5-carboxylic acid, methyl ester (9CI) (CA INDEX NAME)

The difluoroalkenyl heterocyclecarboxylate, -thiocarboxylates, or dithiocarboxylate derivs. represented by the general formula Q-C(:L1)-L2-(CH2)n-C(CF3):CF2 or pharmacol. acceptable salts thereof (wherein L1 and L2 are the same or different and each represents oxygen or sulfur; n is an integer of 2 to 8; and Q represents an optionally substituted 5- to 12-membered heterocyclic group having any desired heteroatom selected among nitrogen, oxygen, and sulfur wherein the heteroatom in the heterocyclic ring is a nitrogen, it may be oxidized to N-oxide), which are useful as insecticides, acaricides, and nematocides, are prepd. These compds. are sufficiently effective in controlling various pests even when used in a small dose and are highly safe for crops, natural enemies to the pests, and animals. Thus,

```
hexenol 0.17, and 4-dimethylaminopyridine 0.13 g were dissolved in 4 mL
     CH2Cl2, treated with 0.29 g 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide
     hydrochloride at room temp., and stirred for 20 h to give
     6,6-difluoro-5-methyl-5-hexenyl 4-phenyl-1,2,3-thiadiazole-5-carboxylate
     (I). I and 4,4-difluoro-3-methyl-3-butenyl 6-butoxy-2-methylpyrimidine-4-
     carboxylate at 500 ppm controlled .gtoreq.90% 4th instar larvae of
     Nilaparvata lugens.
             THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE.CNT 2
             ALL CITATIONS AVAILABLE IN THE RE FORMAT
L36 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2003 ACS
AN
     2002:964355 CAPLUS
DN
     138:55951
TТ
     Preparation of 1-(2,1,3-benzothiadiazolyl)-3-pyridylpropyl-1,8-
     naphthyridine derivatives as phosphodiesterase (PDE) IV inhibitors
IN
     Aotsuka, Tomoji; Kumazawa, Kentarou; Wagatsuma, Nagatoshi; Ishitani,
     Kouki; Nose, Takashi
PA
     Grelan Pharmaceutical Co., Ltd., Japan
     PCT Int. Appl., 69 pp.
SO
     CODEN: PIXXD2
DT
     Patent
LΑ
     Japanese
FAN.CNT 1
     PATENT NO.
                     KIND DATE
                                          APPLICATION NO. DATE
                      _ _ _ _
                           _____
                                          -----
PΤ
    WO 2002100859
                                         WO 2002-JP5804 20020611
                     A1
                           20021219
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
            GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
            LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
            UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
            TJ, TM
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
            CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
            BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                          JP 2001-176550 A 20010612
OS
    MARPAT 138:55951
IT
     479073-52-4P
     RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
    preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); RACT (Reactant or reagent); USES (Uses)
        (PDE IV inhibitor; prepn. of (benzothiadiazolyl)(pyridylpropyl)naphthyr
        idine derivs. as PDE IV inhibitors)
RN
     479073-52-4 CAPLUS
```

1,8-Naphthyridin-2(1H)-one, 1-(3-oxido-2,1,3-benzoxadiazol-5-yl)-3-[3-(4-

pyridinyl)propyl] - (9CI) (CA INDEX NAME)

4-phenyl-1,2,3-thiadiazole-5-carboxylic acid 0.23, 6,6-difluoro-5-methyl-5-

CN

IT 479073-27-3P 479073-28-4P 479073-29-5P 479073-50-2P 479073-53-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(PDE IV inhibitor; prepn. of (benzothiadiazolyl) (pyridylpropyl) naphthyr idine derivs. as PDE IV inhibitors)

RN 479073-27-3 CAPLUS

CN 1,8-Naphthyridin-2(1H)-one, 1-(2,1,3-benzothiadiazol-5-yl)-3-[3-(4-pyridinyl)propyl]- (9CI) (CA INDEX NAME)

RN 479073-28-4 CAPLUS

CN 1,8-Naphthyridin-2(1H)-one, 1-(2,1,3-benzothiadiazol-5-yl)-3-[3-(3-pyridinyl)propyl]- (9CI) (CA INDEX NAME)

RN 479073-29-5 CAPLUS

CN 1,8-Naphthyridin-2(1H)-one, 1-(2,1,3-benzothiadiazol-5-yl)-3-[3-(2-pyridinyl)propyl]- (9CI) (CA INDEX NAME)

RN 479073-50-2 CAPLUS

CN 1,8-Naphthyridin-2(1H)-one, 1-(2,1,3-benzothiadiazol-4-yl)-3-[3-(4-pyridinyl)propyl]- (9CI) (CA INDEX NAME)

RN 479073-53-5 CAPLUS

CN 1,8-Naphthyridin-2(1H)-one, 1-(2,1,3-benzoxadiazol-5-yl)-3-[3-(4-pyridinyl)propyl]- (9CI) (CA INDEX NAME)

IT 479073-54-6P 479073-55-7P 479073-56-8P

479073-57-9P 479073-58-0P 479073-59-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; prepn. of (benzothiadiazolyl)(pyridylpropyl)naphthyridin e derivs. as PDE IV inhibitors)

RN 479073-54-6 CAPLUS

CN 3-Pyridinecarboxaldehyde, 2-(2,1,3-benzothiadiazol-5-ylamino)- (9CI) (CA INDEX NAME)

Page 32

RN 479073-55-7 CAPLUS

CN 3-Pyridinecarboxylic acid, 2-(2,1,3-benzothiadiazol-5-ylamino)- (9CI) (CA INDEX NAME)

RN 479073-56-8 CAPLUS

CN 3-Pyridinecarboxylic acid, 2-(2,1,3-benzothiadiazol-5-ylamino)-, cyanomethyl ester (9CI) (CA INDEX NAME)

RN 479073-57-9 CAPLUS

CN 3-Pyridinecarboxylic acid, 2-(2,1,3-benzothiadiazol-5-ylamino)-, methyl ester (9CI) (CA INDEX NAME)

RN 479073-58-0 CAPLUS

CN 3-Pyridinemethanol, 2-(2,1,3-benzothiadiazol-5-ylamino)- (9CI) (CA INDEX NAME)

Patel

<5/18/2003>

Page 33

RN 479073-59-1 CAPLUS

CN 3-Pyridinecarboxaldehyde, 2-(2,1,3-benzothiadiazol-4-ylamino)- (9CI) (CA INDEX NAME)

GΙ

$$A_{Y}$$
 $N_{NO_{2}}$
 $N_{NO_{2}}$
 $N_{NO_{2}}$
 $N_{NO_{2}}$

AB The title compds. I [wherein A = CH2, alkyl-CH2, CO, HOCH2, or alkyl-CO2CH2; Y = heteroaryl; Z = heteroaryl or (un)substituted Ph] and pharmaceutically acceptable salts thereof are prepd as PDE IV inhibitors for the treatment of asthma. For example, 2-(3-nitrophenylamino)nicotinaldehyde (prepn given) was reacted with Et 5-methyl-5-(pyrid-4-yl)pentanoate (prepn given) in THF in the presence of LDA to afford the naphthyridine II (37%). II showed IC50 of 0.070 .mu.M

against PDE IV and ED50 of 0.12 mg/kg against asthma in guinea pig.
RE.CNT 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L36 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2003 ACS AN 2002:790220 CAPLUS

Page 34

```
DN
     137:294982
     Preparation of piperazinylpyrazinyl aryloxyalkyl ethers as 5-HT2C receptor
TI
     Nilsson, Bjorn; Tejbrant, Jan; Pelcman, Benjamin; Ringberg, Erik; Thor,
IN
     Markus; Nilsson, Jonas; Jonsson, Mattias
PΑ
     Biovitrum AB, Swed.
     U.S., 45 pp., Cont.-in-part of U.S. Ser. No. 573,348, abandoned.
SO
     CODEN: USXXAM
DT
     Patent
LΑ
     English
FAN.CNT 2
                                           APPLICATION NO. DATE
     PATENT NO.
                     KIND DATE
     -----
                     ----
                                           -----
                      B1
PΙ
     US 6465467
                            20021015
                                           US 2000-589282 20000608
                                           SE 1999-1884 A 19990521
                                           US 1999-137527PP 19990603
                                           US 2000-573348 B220000519
     US 2003092694
                     A1
                            20030515
                                           US 2002-269670
                                                          20021011
                                           SE 1999-1884
                                                        A 19990521
                                           US 1999-137527PP 19990603
                                           US 2000-573348 B220000519
                                           US 2000-589282 A320000608
PATENT FAMILY INFORMATION:
FAN 2000:900625
     PATENT NO.
                     KIND DATE
                                          APPLICATION NO. DATE
                      _ _ _ _
                           _____
                                           -----
ΡI
     WO 2000076984
                      A2
                            20001221
                                           WO 2000-SE1017
                                                            20000519
                     A3 20010208
     WO 2000076984
        W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,
             CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA,
             MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI,
             SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
             CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                           SE 1999-1884 A 19990521
                                           US 1999-137527PP 19990603
                                           EP 2000-931877 20000519
     EP 1178973
                      A2
                            20020213
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO
                                           SE 1999-1884
                                                        A 19990521
                                           US 1999-137527PP 19990603
                                           WO 2000-SE1017 W 20000519
     BR 2000010783
                      Α
                            20020409
                                           BR 2000-10783
                                                         20000519
                                           SE 1999-1884
                                                        A 19990521
                                           US 1999-137527PP 19990603
                                           WO 2000-SE1017 W 20000519
     JP 2003502317
                      T2
                            20030121
                                           JP 2001-503842 20000519
                                           SE 1999-1884 A 19990521
                                           US 1999-137527PP 19990603
                                           WO 2000-SE1017 W 20000519
    NO 2001005686
                      Α
                            20020115
                                           NO 2001-5686 20011121
                                           SE 1999-1884
                                                          A 19990521
                                           US 1999-137527PP 19990603
                                           WO 2000-SE1017 W 20000519
OS
    MARPAT 137:294982
```

IT 313655-27-5P, 4-[2-[[3-(1-Piperazinyl)-2-pyrazinyl]oxy]ethoxy]2,1,3-benzothiadiazole Dihydrochloride 313655-31-1P,
5-[2-[[3-(1-Piperazinyl)-2-pyrazinyl]oxy]ethoxy]quinoxaline Hydrochloride
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(prepn. of heterocyclylpyrazinyl aryloxyalkyl ether 5-HT2C receptor agonists from aryloxyalkanols, halopyrazines, and heterocycles) 313655-27-5 CAPLUS

2,1,3-Benzothiadiazole, 4-[2-[[3-(1-piperazinyl)pyrazinyl]oxy]ethoxy]-, dihydrochloride (9CI) (CA INDEX NAME)

RN CN

•2 HCl

Page 36

● HCl

C-OBu-t

N
N
N
S
CH2
CH2
CH2
N
N
N

GI

$$\mathbb{R}^{8-y}$$
 \mathbb{R}^{5}
 \mathbb{R}^{6}
 \mathbb{R}^{4}
 \mathbb{R}^{2}
 \mathbb{R}^{8-y}
 \mathbb{R}^{2}
 \mathbb{R}^{1}
 \mathbb{R}^{2}
 \mathbb{R}^{2}
 \mathbb{R}^{1}
 \mathbb{R}^{2}
 \mathbb{R}^{2}
 \mathbb{R}^{2}
 \mathbb{R}^{2}
 \mathbb{R}^{2}
 \mathbb{R}^{3}
 \mathbb{R}^{2}
 \mathbb{R}^{3}
 \mathbb{R}^{2}
 \mathbb{R}^{3}
 \mathbb{R}^{3}
 \mathbb{R}^{3}

AB The title compds. (I) [wherein X and Y = independently O, S, or NR7; R and R1 = independently H, alkyl, or halo; or C2RR1 = optionally halo substituted benzene or thiophene; R2 = H, OH, or alkyl; R3, R4, and R5 = independently H or alkyl; R6 = H or alkyl; or CYR6R8 for a 5-6 membered heterocycle; R7 = H or alkyl, preferably Me or Et; R8 = (un)substituted (hetero)aryl; m and n = independently 1 or 2; or pharmaceutically acceptable salts, hydrates, geometric isomers, tautomers, optical isomers, N-oxides, and prodrugs thereof] were prepd. and tested as 5-HT2C receptor agonists. For instance, 2,3-dichloropyrazine and 2-phenoxyethanol were treated with t-BuONa in dioxane to give 2-chloro-3-(2phenoxyethoxy)pyrazine (62%). The halopyrazine, piperazine, and K2CO3 in MeCN were stirred and heated to afford the desired 2-(phenoxy)ethyl 3-(1-piperazinyl)-2-pyrazinyl ether (II) in 65% yield, which was then converted to the maleate salt. In competition expts., I showed affinity for 5-HT2C receptor protein with Ki values typically ranging from 1 nM to 1500 nM and specific values ranging from 5 nM to 377 nM for twelve compds. I exhibited agonist efficacy at the 5-HT2C receptor by mobilizing intracellular Ca in transfected HEK293 cells with max. responses in the range of 20-100% relative to the max. response of 5-HT (serotonin) at a concn. of 1 .mu.M. Acute toxicity studies in mice following oral administration of I showed that mortality typically occurred at doses between 200 mg/kg to 450 mg/kg body wt. I are useful for the treatment of serotonin-related central nervous system disorders, such as eating disorders, memory disorders, schizophrenia, mood disorders, anxiety disorders, pain, sexual dysfunctions, and urinary disorders (no data).

RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L36 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2003 ACS
```

AN 2001:78009 CAPLUS

DN 134:115954

TI Preparation of N-pyrazolylsulfonamides and their use as endothelin antagonists

IN Banks, Bernard Joseph; Chubb, Nathan Anthony Logan; Eshelby, James John; Schulz, Darren John

PA Pfizer Ltd., UK; Pfizer Inc.

SO Eur. Pat. Appl., 131 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 2

		R:					DK, FI,		FR,	GB,							MC,	PT,		
	BR	2000	A 20010313				GB 1999-17858 A 19990729 GB 2000-13368 A 20000531 BR 2000-3233 20000731 GB 1999-17858 A 19990729													
	JP	JP 2001064262				A2 200				JP GB	20 19	00-2 99-1	3161 7858	1 A	2000 2000 1999	0731 0729				
	JP	2002034585					2002	0205		JP	GB 2000-13368 A 20000531 JP 2001-151888 20010522 GB 2000-13368 A 20000531									
	EP 1160331 R: AT, BE, IE, SI, US 2002012977		BE,	CH,	DE,	DK,	ES,		EP	20	01-30	0464	6	2001	0525	MC,	PT,			
			77	A1 2		2002	0131		GB											
					А		2002			BR	20	01-2	165		2001	20000724 20010529 20000531				
	US 2002019 US 6387919				A1 B2		20020214 20020514									0010530				
										US GB	20 20	00-2 00-1	2028 8356	5PP A	2000 2000 2000	0724 0726				
		FAMIL			ATIO	. V				OD	20	00 2	5011	211	2000	0,000				
FAN	PA:		NO.		KIND DATE							ON N			¦ 					
PI	EP	1160 R:	AT,	BE,	CH,	DE,	2001	1205 ES,		EP GB,	20 GR,	01-3 IT,	0462 LI,	6 LU,	2001 NL,	0525 SE,	MC,	PT,		
	JP	JP 2002034585		85	A2 2002020			0205		GB JP	GB 2000-13368 A 20000531 GB 2000-18356 A 20000726 JP 2001-151888 20010522 GB 2000-13368 A 20000531									
	EP		ΑT,	ΒE,	CH,	DE,		ES,		E	20	01-3	13368 304646 , LI,	6	2001	0525	MC,	PT,		
	JP	2002			A:		2002			JP	20	01-1	3368 5819 3368	0	2000 2001 2000	0528				
	BR	BR 2001002150 US 2002012977 BR 2001002165		A 20020312				BR GB	20 20	01-2 00-1	3368	A	2000	0528 0531						
	US			A	1 20020131				US GB	20 20	01-8 00 - 1	8356 6734 3368	7 A	2000	0529 0531					
	BR			A		20020213			BR	20	01-2			2000 2001 2000	0529					
		2002 6387		80	A: B:		2002 2002			US	20	01-8	6748	8	2001	0530				
										US	20	00-2	3368 2028: 8356	5PP	2000 2000 2000	0724				

US 2000-230112PP 20000905

OS MARPAT 134:115954

(preph. of pyrazoles and use as endothelih antagonists

RN 321565-64-4 CAPLUS

CN 2,1,3-Benzothiadiazole-4-sulfonamide, N-[4-(1,3-benzodioxol-5-yl)-3-methoxy-1-methyl-1H-pyrazol-5-yl]- (9CI) (CA INDEX NAME)

GI

NHSO₂R³ XAr¹ OR² II

I and II, wherein R1, R2, R3, Ar1 and X are as defined below, pharmaceutically acceptable derivs. thereof, and their uses as endothelin antagonists are claimed. R1 = H, C1-6 alkyl (optionally substituted by .gtoreq.1 halo, OR4 or NR4R5 groups), C2-6 alkenyl (optionally substituted by .gtoreq.1 halo groups), C2-6 alkynyl (optionally substituted by .gtoreq.1 halo groups), C(O)R4, CO2R4, CH2aryl4, CONR4R5, aryl or het1. R2 = C1-6 alkyl, cyclopropylmethyl, or CH2CH2OG (G = H, C1-6 alkyl (optionally substituted by a C3-6 cycloalkyl group), C(O)R4, CONHAr or Ar2). R4 and R5 = independently H or C1-6 alkyl optionally substituted by .gtoreq.1 halo groups. X = direct link, O, S, SO, SO2, CO or CH2. R3 = (a) C1-6 arom. hydrocarbon group; or (b) an optionally benzofused 5- or 6-membered heterocyclic group with one to three heteroatoms in the heterocyclic ring, which heteroatoms are independently N, O and S; or (c) CH2CH2Ph, CH:CHPh; or (d) C1-6 alkyl, optionally substituted by 1-4

substituents halo, C1-6 alkoxy, CO2R4, OC(O)R4 and NR4R5; each of which groups (a), (b) and (c) is optionally substituted by up to four substituents = independently (i) C1-6 alkyl, optionally substituted by 1-4 substituents selected from: halo, OR4, CO2R4, OC(O)R4 and NR4R5; (ii) C1-6 alkoxy; (iii) CO2R4 and OC(O)R4; (iv) halo; (v) NO2; (vi) CN; (vii) NR4R5; (viii) C1-3 alkylenedioxy; (ix) OH; (x) alkoxycarbonyl. Ar1 and Ar2 = independently aryl5 or het1. Aryl4 = Ph or naphthyl group optionally substituted by up to three substituents = independently C1-3 alkyl, CF3, halogen, C1-3 alkoxy, CF30, OH, NO2, CN, NR4R5, COR4, CO2R4, CONR4R5, S(O)p(C1-3 alkyl), CH2NR4R5, NR4COR5, COCF3, CH2OH, S(O)pCF3, C(:NH)NH2. Aryl5 = Ph, 1,3-benzodioxyl or naphthyl group optionally substituted by up to three substituents = independently C1-3 alkyl, CF3, halogen, C1-3 alkoxy, OCF3, OH, NO2, CN, NR4R5, C(O)R4, CO2R4, CONR4R5, S(O)p(C1-3 alkyl), CH2NR4R5, NR4COR3, COCF3, CH2OH, S(O)pCF3, C(:NH)NH2, C2-3 alkynyl, C2-3 alkenyl, Ph and het2. Het1 = 5- to 7-membered heterocyclic group with 1-3 heteroatoms in the heterocyclic ring, which heteroatoms = independently N, O and S, which heterocyclic ring is optionally benzofused, which group may be fully satd. or partially or fully unsatd., and which is optionally substituted by up to three substituents = independently C1-3 alkyl, CF3, halogen, C1-3 alkoxy, CF30, OH, NO2, CN, NR4R5, COR4, CO2R4, CONR4R5, S(O)p(C1-3 alkyl), CH2NR4R5, NR4COR5, COCF3, CH2OH, S(O)pCF3, C(:NH)NH2, C2-3 alkynyl, C2-3 alkenyl, Ph and het2, and, when present in the G moiety, is linked to the O atom to which it is joined to the remainder of the compd. I or II via a C atom in said het1 group. Het2 = 5- to 7-membered heterocyclic group with 1-3 heteroatoms in the heterocyclic ring, which heteroatoms are independently selected from N, O and S, which group may be fully satd. or partially or fully unsatd. P = 0, 1 or 2. The claimed compds. are claimed to be useful (no quant. data given) in the prepn. of a medicament for the treatment of restenosis, acute and chronic renal failure, systemic and pulmonary hypertension; benign prostatic hyperplasia, male erectile dysfunction, prostate cancer, metastatic bone cancer, congestive heart failure, stroke, subarachnoid hemorrhage, angina, atherosclerosis, cerebral and cardiac ischemia, prevention of ischemia/reperfusion injury (e.g. allografts), cyclosporin induced nephrotoxicity, glaucoma, radiocontrast nephropathy, diabetic neuropathy, allergy, restoration of organ perfusion in hemorrhagic shock, lipoprotein lipase related disorders, chronic obstructive pulmonary disease and hyaline membrane disease in newborn. More than 100 prepns. of the claimed compds. are described but the methods of prepn. are not claimed.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L36 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2003 ACS

```
AN
    1999:375544 CAPLUS
DN
    131:19000
ΤI
    Preparation of phenyloxazolidinones as bactericides
    Betts, Michael John; Swain, Michael Lingard
IN
    Zeneca Limited, UK
PA
SO
    PCT Int. Appl., 79 pp.
    CODEN: PIXXD2
DT
    Patent
LΑ
    English
FAN.CNT 1
    PATENT NO. KIND DATE APPLICATION NO. DATE
    WO 9928317 A1 19990610 WO 1998-GB3496 19981124
PΙ
        W: JP, US
```

Patel

RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

GB 1997-25244 A 19971129
EP 1034175 Al 20000913 EP 1998-955759 19981124
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,

IE, FI GB 1997-25244 A 19971129

WO 1998-GB3496 W 19981124 JP 2001525320 T2 20011211 JP 2000-523209 19981124

GB 1997-25244 A 19971129 WO 1998-GB3496 W 19981124

US 6495551 B1 20021217 US 2000-555203 20000525

GB 1997-25244 A 19971129 WO 1998-GB3496 W 19981124

OS MARPAT 131:19000

IT 226385-08-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of phenyloxazolidinones as bactericides)

RN 226385-08-6 CAPLUS

CN 1H-Imidazole-4-carboxamide, 1-[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2-fluorophenyl]-N-2,1,3-benzothiadiazol-4-yl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

GΙ

$$\begin{array}{c|c}
 & R6 \\
 & N-R \\
 & R5 & I
\end{array}$$

AB Title compds. [I; R = Z1ZCH2R1; R1 = C1, F, OH, alkoxy, NHCORa, etc.; Ra = H, CH2Cl, alkyl, alkoxy, etc.; R4 = YR2 or CH(OH)YR2; R2 = (un)substituted heterocyclyl or -heteroaryl; R5,R6 = H, halo, CF3, alkyl; Y = (CH2)m, CO(CH2)m, CONH(CH2)m, etc.; Z = 2-oxooxazolidine-3,5-diyl throughout; Z1 =

Patel

Page 42

(2-fluoro) 1,4-phenylene, 2,6-difluoro-1,4-phenylene; m=0-3] were prepd. Thus, I (R = Z1R3, R4 = CH2R7, R5 = R6 = H, Z1 = 2-fluoro-1,4-phenylene) (II; R3 = NHCO2CH2Ph, R7 = Me3CMe2SiO) (prepn. given) was cyclocondensed with (R)-glycidyl butyrate and the product converted in 4 steps to (R)-II (R3 = ZCH2NHAc) (III; R7 = OH) which was thioetherified by pyrimidine-2-thiol to give III (R7 = 2-pyrimidinylthio). Data for biol. activity of 1 prepd. I were given.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L36 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2003 ACS

AN 1996:711261 CAPLUS

DN 126:47192

TI Ambident reactivity of nitro heteroaromatic anions

AU Murashima, Takashi; Tamai, Ryuji; Fujita, Ken-ichi; Uno, Hidemitsu; Ono, Noboru

CS Dep. Chem., Faculty Sci., Ehime Univ., Matsuyama, 790-77, Japan

SO Tetrahedron Letters (1996), 37(46), 8391-8394 CODEN: TELEAY; ISSN: 0040-4039

PB Elsevier

DT Journal

LA English

OS CASREACT 126:47192

IT 180723-45-9P

RL: SPN (Synthetic preparation); PREP (Preparation) (reaction of nitroarenes with base and Et isocyanoacetate)

RN 180723-45-9 CAPLUS

CN [1,2,5]Thiadiazolo[3,4-f]quinazoline-9-carboxylic acid, ethyl ester, 6-oxide (9CI) (CA INDEX NAME)

GI

AB The reaction of nitro heteroarom. compds. such as quinoxalines, benzothiadiazoles and selenadiazoles with Et isocyanoacetate in the presence of 1,8-diazabicyclo[5,4,9]undec-7-ene gave the corresponding pyrimidine N-oxides, while, in contrast, use of a proazaphosphatrane, i.e., 2,8,9-trimethyl-2,5,8,9-tetraaza-1-phosphabicyclo[3.3.3]undecane (I) or an iminophosphorane, i.e., 1,1','-[(1,1-dimethylethyl)phosphinimylidyne]tris[pyrrolidine] (II) as a base under similar conditions gave pyrroles. The reaction of 1-nitronaphthalene with I gave 2H-benz[e]isoindole-3-carboxylic acid Et ester (III) (21% yield). A similar reaction of 6-nitroquinoline with II gave 2H-pyrrolo[3,4-f]quinoline-1-carboxylic acid Et ester (IV) (22% yield).

L36 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2003 ACS

AN 1996:387378 CAPLUS

DN 125:195457

TI A new facet of the reaction of nitro heteroaromatic compounds with ethyl isocyanoacetate

AU Murashima, Takashi; Fujita, Ken-ichi; Ono, Kazuo; Ogawa, Takuji; Uno, Hidemitsu; Ono, Noboru

CS Dep. Chem., Fac. Sci., Ehime Univ., Matsuyama, 790, Japan

SO Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1996), (12), 1403-1407 CODEN: JCPRB4; ISSN: 0300-922X

PB Royal Society of Chemistry

DT Journal

LA English

IT 180723-41-5P 180723-45-9P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of fused pyrrole and pyrimidine derivs. by cyclocondensation of isocyanoacetate with nitro heteroarom. compds.)

RN 180723-41-5 CAPLUS

CN Pyrazino[2,3-f]quinazoline-10-carboxylic acid, ethyl ester, 7-oxide (9CI) (CA INDEX NAME)

RN 180723-45-9 CAPLUS

CN [1,2,5]Thiadiazolo[3,4-f]quinazoline-9-carboxylic acid, ethyl ester,
6-oxide (9CI) (CA INDEX NAME)

AB Nitro heteroarenes react with Et isocyanoacetate in the presence of 1,8-diazabicyclo[5.4.0] undecene (DBU) to give pyrroles or pyrimidine N-oxides depending on the structure of the starting nitro compds. For example, 4-nitro-2,1,3-benzothiadiazole reacted with Et isocyanoacetate to give Et 2,1,3-benzothiadiazolo[3,4-c]pyrrole-2-carboxylate (33%), while a similar reaction with 5-nitro-2,1,3-benzothiadiazole gave the corresponding compd., Et pyrimido[5,4-e][2,1,3]benzothiadiazole-9-carboxylate (21%), as a sole product. A plausible mechanism for these reactions is presented.

=> d 137 fbib hitstr abs total

L37 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2003 ACS

AN 2003:282533 CAPLUS

DN 138:304304

TI Preparation of difluoroalkene derivatives as pest control agents containing the same, and intermediate therefor

IN Abe, Tetsuya; Tamai, Ryuji; Ito, Minoru; Tamaru, Masatoshi; Yano, Hiroyuki; Takahashi, Satoru; Muramatsu, Norimichi

PA Kumiai Chemical Industry Co., Ltd., Japan; Ihara Chemical Industry Co., Ltd.

SO PCT Int. Appl., 195 pp. CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE
PI WO 2003029211 A1 20030410 WO 2002-JP10142 20020930

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

JP 2001-299687 A 20010928 JP 2002-142329 A 20020517

OS MARPAT 138:304304

IT 509098-35-5P 509098-56-0P 509100-31-6P

RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of difluoroalkenyl heterocyclecarboxylate and -thiocarboxylates as pest control agents such as insecticides, acaricides, and nematocides)

RN 509098-35-5 CAPLUS

CN 2,1,3-Benzothiadiazole-5-carboxylic acid, 6,6-difluoro-5-methyl-5-hexenyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{CF}_2 & \text{O} \\ \parallel & \parallel \\ \text{Me-C-(CH}_2)_4 - \text{O-C} \\ \hline \end{array} \begin{array}{c} \text{N} \\ \parallel \\ \text{N} \end{array}$$

RN 509098-56-0 CAPLUS

CN 2H-Benzotriazole-5-carboxylic acid, 2-(6,6-difluoro-5-methyl-5-hexenyl)-, 6,6-difluoro-5-methyl-5-hexenyl ester (9CI) (CA INDEX NAME)

RN 509100-31-6 CAPLUS

Page 46

$$\begin{array}{c} \text{CF2} & \text{O} \\ \| & \text{Me-C-CH}_2\text{--CH}_2\text{--O-C} \\ \end{array}$$

IT 175204-21-4

RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of difluoroalkenyl heterocyclecarboxylate and -thiocarboxylates
as pest control agents such as insecticides, acaricides, and
nematocides)

RN 175204-21-4 CAPLUS

CN 2,1,3-Benzothiadiazole-5-carboxylic acid, methyl ester (9CI) (CA INDEX NAME)

AB The difluoroalkenyl heterocyclecarboxylate, -thiocarboxylates, or dithiocarboxylate derivs. represented by the general formula Q-C(:L1)-L2-(CH2)n-C(CF3):CF2 or pharmacol. acceptable salts thereof (wherein L1 and L2 are the same or different and each represents oxygen or sulfur; n is an integer of 2 to 8; and Q represents an optionally substituted 5- to 12-membered heterocyclic group having any desired heteroatom selected among nitrogen, oxygen, and sulfur wherein the heteroatom in the heterocyclic ring is a nitrogen, it may be oxidized to N-oxide), which are useful as insecticides, acaricides, and nematocides, are prepd. These compds. are sufficiently effective in controlling various pests even when used in a small dose and are highly safe for crops, natural enemies to the pests, and animals. Thus, 4-phenyl-1,2,3-thiadiazole-5-carboxylic acid 0.23, 6,6-difluoro-5-methyl-5hexenol 0.17, and 4-dimethylaminopyridine 0.13 g were dissolved in 4 mL CH2Cl2, treated with 0.29 g 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride at room temp., and stirred for 20 h to give 6,6-difluoro-5-methyl-5-hexenyl 4-phenyl-1,2,3-thiadiazole-5-carboxylate (I). I and 4,4-difluoro-3-methyl-3-butenyl 6-butoxy-2-methylpyrimidine-4carboxylate at 500 ppm controlled .gtoreq.90% 4th instar larvae of Nilaparvata lugens.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L37 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2003 ACS

AN 2002:869496 CAPLUS

DN 137:363033

TI Peptidomimetic modulators of cell adhesion

IN Gour, Barbara J.; Blaschuk, Orest W.; Ali, Anmar; Ni, Feng; Chen, Zhigang;
Michaud, Stephanie D.; Wang, Shoameng; Hu, Zenjian

PA Can.

SO U.S. Pat. Appl. Publ., 309 pp., Cont.-in-part of U.S. Ser. No. 491,078. CODEN: USXXCO

```
DТ
    Patent
LΑ
    English
FAN.CNT 2
    PATENT NO.
                     KIND DATE
                                         APPLICATION NO. DATE
     _____
                     ____
                           -----
                                          -----
PΙ
    US 2002168761
                      A1
                           20021114
                                          US 2001-769145
                                                           20010124
                                          US 2000-491078 A220000124
PATENT FAMILY INFORMATION:
FAN 2001:545724
    PATENT NO.
                     KIND DATE
                                          APPLICATION NO. DATE
     ______
                                          -----
PΙ
    WO 2001053331 A2 20010726
                                         WO 2001-US2508 20010124
    WO 2001053331 A3 20020711
WO 2001053331 C2 20021031
            AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
             HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
             LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
             SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
             YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                          US 2000-491078 A 20000124
OS
    MARPAT 137:363033
     188966-22-5D, Phenol, 2-(2H-benzotriazol-2-yl)-4-(1,1-
IT
     dimethylhexyl)-, derivs. 351857-41-5, 2,1,3-Benzoxadiazole-5-
     carboxamide, N-(2-phenylethyl) - 351857-49-3, Urea,
     N-[2-[(2,1,3-benzoxadiazol-5-ylmethyl)thio]phenyl]-N'-(2,4-dichlorophenyl)-
        351857-50-6, 2-Thiophenecarboxamide, N-[2-[(2,1,3-benzoxadiazol-
     5-ylmethyl)thio]phenyl] - 351857-54-0, Morpholine,
     4-[[2-(2,1,3-benzoxadiazol-5-yl)-4-thiazolyl]carbonyl]-
     351857-55-1, 4-Thiazolecarboxamide, 2-(2,1,3-benzoxadiazol-5-yl)-N-
     (2-pyridinylmethyl) - 351857-56-2, 4-Thiazolecarbothioic acid,
     2-(2,1,3-benzoxadiazol-5-yl)-, S-(2,4-dichlorophenyl) ester
     351857-57-3, 4-Thiazolecarbothioic acid, 2-(2,1,3-benzoxadiazol-5-
     yl)-, S-phenyl ester 351857-58-4, Piperazine,
     1-(2,1,3-benzoxadiazol-5-ylcarbonyl)-4-phenyl- 351857-70-0,
     4-Thiazolecarboxylic acid, 2-[(2,1,3-benzoxadiazol-5-yloxy)methyl]-,
     4-chlorophenyl ester 351858-16-7, 2,1,3-Benzoxadiazole,
     5-[[4-(4-methoxyphenyl)-2-thiazolyl]methoxy]- 351858-17-8,
     4-Thiazolecarboxamide, 2-[(2,1,3-benzoxadiazol-5-yloxy)methyl]-N-(4-
     chlorophenyl) - 351858-60-1, 19-Norpregn-5-ene-20-carboxylic
     acid, 3-(acetyloxy)-, 2-[[(7-nitro-2,1,3-benzoxadiazol-4-
     yl)methyl]amino]ethyl ester, (3.beta.,20S)-
     RL: BSU (Biological study, unclassified); PAC (Pharmacological activity);
     PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES
     (Uses)
        (peptidomimetic modulators of cadherin-mediated cell adhesion for
        therapeutic use in relation to three-dimensional structure)
RN
     188966-22-5 CAPLUS
CN
     Phenol, 2-(2H-benzotriazol-2-yl)-4-(1,1-dimethylhexyl)- (9CI) (CA INDEX
     NAME)
```

10077150.7

Page 48

$$\begin{array}{c|c} & \text{Me} \\ & \text{Me-C- (CH}_2)_4 - \text{Me} \\ \hline \\ & \text{N} \\ & \text{OH} \end{array}$$

RN 351857-41-5 CAPLUS

CN 2,1,3-Benzoxadiazole-5-carboxamide, N-(2-phenylethyl)- (9CI) (CA INDEX NAME)

RN 351857-49-3 CAPLUS

CN Urea, N-[2-[(2,1,3-benzoxadiazol-5-ylmethyl)thio]phenyl]-N'-(2,4-dichlorophenyl)- (9CI) (CA INDEX NAME)

RN 351857-50-6 CAPLUS

CN 2-Thiophenecarboxamide, N-[2-[(2,1,3-benzoxadiazol-5-ylmethyl)thio]phenyl]-(9CI) (CA INDEX NAME)

RN 351857-54-0 CAPLUS

CN Morpholine, 4-[[2-(2,1,3-benzoxadiazol-5-yl)-4-thiazolyl]carbonyl]- (9CI) (CA INDEX NAME)

$$\bigcap_{N}\bigcap_{C}\bigcap_{N}\bigcap_{N}$$

RN 351857-55-1 CAPLUS

CN 4-Thiazolecarboxamide, 2-(2,1,3-benzoxadiazol-5-yl)-N-(2-pyridinylmethyl)-(9CI) (CA INDEX NAME)

RN 351857-56-2 CAPLUS

CN 4-Thiazolecarbothioic acid, 2-(2,1,3-benzoxadiazol-5-yl)-, S-(2,4-dichlorophenyl) ester (9CI) (CA INDEX NAME)

RN 351857-57-3 CAPLUS

CN 4-Thiazolecarbothioic acid, 2-(2,1,3-benzoxadiazol-5-yl)-, S-phenyl ester (9CI) (CA INDEX NAME)

RN 351857-58-4 CAPLUS

CN Piperazine, 1-(2,1,3-benzoxadiazol-5-ylcarbonyl)-4-phenyl- (9CI) (CA INDEX NAME)

RN 351857-70-0 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2,1,3-benzoxadiazol-5-yloxy)methyl]-, 4-chlorophenyl ester (9CI) (CA INDEX NAME)

RN 351858-16-7 CAPLUS

CN 2,1,3-Benzoxadiazole, 5-[[4-(4-methoxyphenyl)-2-thiazolyl]methoxy]- (9CI) (CA INDEX NAME)

RN 351858-17-8 CAPLUS

CN 4-Thiazolecarboxamide, 2-[(2,1,3-benzoxadiazol-5-yloxy)methyl]-N-(4-chlorophenyl)- (9CI) (CA INDEX NAME)

RN 351858-60-1 CAPLUS

CN 19-Norpregn-5-ene-20-carboxylic acid, 3-(acetyloxy)-, 2-[[(7-nitro-2,1,3-benzoxadiazol-4-yl)methyl]amino]ethyl ester, (3.beta.,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

AB Peptidomimetics of cyclic peptides, and compns. comprising such peptidomimetics are provided. The peptidomimetics have a three-dimensional structure that is substantially similar to a three-dimensional structure of a cyclic peptide that comprises a cadherin cell adhesion recognition sequence HAV. Methods for using such peptidomimetics for modulating cadherin-mediated cell adhesion in a variety of contexts are also provided.

```
L37 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2003 ACS
```

AN 2002:539534 CAPLUS

DN 137:109285

TI Preparation of triazolo[4,5-d]pyrimidines as purinergic receptor antagonists

IN Gillespie, Roger John; Lerpiniere, Joanne; Gaur, Suneel; Bamford, Samantha Jayne; Stratton, Gemma Caroline; Leonardi, Stefania; Weiss, Scott Murray

PA Vernalis Research Limited, UK

SO PCT Int. Appl., 157 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

```
PATENT NO.
                  KIND
                       DATE
                                    APPLICATION NO.
                                                  DATE
                  ----
                                    -----
                       20020718
PΙ
    WO 2002055083
                   A1
                                    WO 2002-GB91
                                                  20020110
       UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
          TJ, TM
       RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
          CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
          BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                    GB 2001-624
                                                A 20010110
```

OS MARPAT 137:109285

IT 442908-24-9P 442908-43-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of triazolo[4,5-d]pyrimidines as purinergic receptor antagonists)

RN 442908-24-9 CAPLUS

CN 3H-1,2,3-Triazolo[4,5-d]pyrimidin-5-amine, 3-(2,1,3-benzoxadiazol-5-ylmethyl)-7-(2-furanyl)- (9CI) (CA INDEX NAME)

RN 442908-43-2 CAPLUS

CN 3H-1,2,3-Triazolo[4,5-d]pyrimidin-5-amine, 3-(2,1,3-benzothiadiazol-4-ylmethyl)-7-(2-furanyl)- (9CI) (CA INDEX NAME)

GΙ

$$\begin{array}{c|c}
R^2 \\
N \\
N \\
N \\
R^3
\end{array}$$

AB The title compds. [I; Rl = H, alkyl, aryl, etc.; R2 = aryl attached via an unsatd. carbon; R3 = H, alkyl, COR5, CO2R7, CONR5R6, CONR4NR5R6, SO2R7; R4-R6 = H, alkyl, aryl; or NR5R6 = heterocyclyl; or where R4-R6 are in a CONR4NR5R6 group, R4 and R5 may be linked to form a heterocyclic group; R7 = alkyl, aryl], useful in the treatment or prevention of a disorder in which the blocking of purine receptors, particularly adenosine receptors and more particularly A2A receptors, may be beneficial, particularly

wherein said disorder is a movement disorder such as Parkinson's disease or depression, cognitive or memory impairment, acute or chronic pain, ADHD or narcolepsy, or for neuroprotection, were prepd. Thus, reacting 7-(2-furyl)-1H-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine (prepn. given) with 2-fluorobenzyl bromide in the presence of NaH in DMF afforded 22% I [R1 = NH2; R2 = 2-furyl; R3 = 2-FC6H4CH2] which showed Ki of 3 nM against A2A receptor binding.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L37 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2003 ACS

AN 2001:888194 CAPLUS

DN 136:356366

TI Spectral-luminescent property of solutions of heterocyclic compounds

AU Grachev, A. V.; Siling, S. A.; Tsiganova, O. Yu.; Shamshin, S. V.; Yuzakov, V. I.; Abramov, I. G.; Plakhtinskii, V. V.

CS Physical Faculty, M.V. Lomonosov Moscow State University, Moscow, Russia

SO Synthesis and Properties of Heterocyclic Compounds (2001), 85-91.
Editor(s): Siling, Svetlana Alexandrovna; Zaikov, Guennadi Efremovich.
Publisher: Nova Science Publishers, Inc., Huntington, N. Y.
CODEN: 69CBNT

DT Conference

LA English

IT 300590-26-5

RL: PRP (Properties)

(spectral-luminescent properties of heterocyclic fluorophore solns.)

RN 300590-26-5 CAPLUS

CN 2-Furancarboxylic acid, 2-(2H-benzotriazol-2-yl)-4-methylphenyl ester (9CI) (CA INDEX NAME)

AB To establish dependence between a structure of a fluorophore and its spectral-optical characteristics, 15 heterocyclic fluorophores with wide varying structures were selected and their optical properties were detd. in DMF soln. Spectra of absorption, fluorescence, and excitation of fluorescence are discussed with respect to luminescence characteristics and concn. dependence.

RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L37 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2003 ACS

AN 2001:816656 CAPLUS

DN 135:357932

TI Preparation of heterocyclic pharmaceutical compositions as muscarinic agonists

IN Andersson, Carl-magnus A.; Friberg, Bo Lennart M.; Skjaerbaek, Niels; Spalding, Tracy; Uldam, Allan K.

PA Acadia Pharmaceuticals, Inc., USA SO PCT Int. Appl., 84 pp. CODEN: PIXXD2 DTPatent LΑ English FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. DATE ____ _____ -----WO 2001-US13561 20010427 PΙ WO 2001083472 A1 20011108 W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG US 2000-200791PP 20000428 US 2002037886 A1 20020328 US 2001-844685 20010427 US 2000-200791PP 20000428 EP 1278741 EP 2001-932682 20010427 Α1 20030129 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR US 2000-200791PP 20000428 WO 2001-US13561W 20010427 NO 2002005115 Α 20021219 NO 2002-5115 20021024 US 2000-200791PP 20000428 WO 2001-US13561W 20010427 OS MARPAT 135:357932 IT372197-02-9P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (prepn. of heterocyclic pharmaceutical compns. with agonist activity at the M1/M4 muscarinic receptors) RN 372197-02-9 CAPLUS

N N N (CH₂)₃ N Bu-n

IT 372197-04-1P

NAME)

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

2H-Benzotriazole, 2-[3-(4-butyl-1-piperidinyl)propyl]- (9CI) (CA INDEX

(prepn. of heterocyclic pharmaceutical compns. with agonist activity at the M1/M4 muscarinic receptors)

RN 372197-04-1 CAPLUS

CN 2H-Benzotriazole, 2-[3-(4-butyl-1-piperidinyl)propyl]-, ethanedioate (1:1)

Patel

CN

(9CI) (CA INDEX NAME)

CM 1

CRN 372197-02-9 CMF C18 H28 N4

CM 2

CRN 144-62-7 CMF C2 H2 O4

GΙ

AB Heterocyclic pharmaceutical compns. I (Z1-Z4 = N or carbon substituted with H, NH2, OH, halo, alkyl, alkenyl, heteroalkyl, haloalkyl, CN, CF3, etc. and no more than two of Z1-Z4 = N; W1 = O, S, N; W2 and W3 = N or CR6 or CG where R6 = H, alkyl, CHO, cycloalkyl, (un)substituted aryl; Y = O, S, CHOH, NHC(O), C(O)NH, C(O), OC(O), (O)CO, CH=N or absent; p = 1-5; Z (un)substituted carbon or absent; n = 1-3; R10 = R11 = H, straight/branched (un)substituted alkyl, alkenyl, alkynyl, alkylidene, alkoxy, alkylthio, etc.) or pharmaceutically acceptable salt, ester or prodrug were prepd. for treating disease conditions where modification of

cholinergic, esp. muscarinic M1, M4, or both M1 and M4, receptor activity has a beneficial effect. Thus 35AKU-21 (II) was prepd. from 4-butylpiperidine and 1-(3-bromopropyl)-1H-indazole and tested for ocular hypotensive effect in glaucomatous monkeys and had a -29.2% IOP change in 6 h. Data is provided for the screening of test compds. I demonstrating the selective agonist activity using muscarinic receptor subtypes M1, M2, M3, M4 and M5.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L37 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2003 ACS
AN
     2000:161290 CAPLUS
DN
     132:194389
     Preparation of thieno[2,3-d]pyrimidine-2,4(1H,3H)-diones as
TI
     immunosuppressants
     Bantick, John; Cooper, Martin; Perry, Matthew; Thorne, Philip
IN
PA
     Astra Pharmaceuticals Ltd., UK; Astra Aktiebolag
SO
     PCT Int. Appl., 99 pp.
     CODEN: PIXXD2
DT
     Patent
LΑ
     English
FAN.CNT 1
     PATENT NO.
                       KIND DATE
                                             APPLICATION NO. DATE
                       _ _ _ _
                             -----
                                              -----
                                           WO 1999-SE1400 19990818
                      A1 20000309
ΡI
     WO 2000012514
         W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,
             CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK,
              SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY,
              KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,
              ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
              CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                              SE 1998-2895
                                                              A 19980828
     CA 2339664
                        AA
                              20000309
                                              CA 1999-2339664 19990818
                                              SE 1998-2895
                                                            A 19980828
                                              WO 1999-SE1400 W 19990818
     AU 9957677
                        Α1
                              20000321
                                              AU 1999-57677
                                                                19990818
                                              SE 1998-2895
                                                            A 19980828
                                              WO 1999-SE1400 W 19990818
     EP 1107973
                              20010620
                        A1
                                              EP 1999-944964 19990818
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
              IE, SI, LT, LV, FI, RO
                                              SE 1998-2895
                                                            A 19980828
                                              WO 1999-SE1400 W 19990818
     JP 2002523511
                        T2
                              20020730
                                              JP 2000-567536 19990818
                                              SE 1998-2895
                                                            A 19980828
                                              WO 1999-SE1400 W 19990818
     NZ 509809
                        Α
                              20021126
                                              NZ 1999-509809
                                                               19990818
                                              SE 1998-2895
                                                            A 19980828
                                              WO 1999-SE1400 W 19990818
     US 6300334
                        B1
                              20011009
                                              US 1999-402837
                                                                19991013
                                                            A 19980828
                                              SE 1998-2895
                                              WO 1999-SE1400 W 19990818
OS
     MARPAT 132:194389
```

IT 259861-49-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

10077150.7

Page 57

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
 (target compd.; prepn. of thieno[2,3-d]pyrimidine
 -2,4(1H,3H)-diones as immunosuppressants)

RN 259861-49-9 CAPLUS

CN Thieno[2,3-d]pyrimidine-2,4(1H,3H)-dione, 6-(2H-benzotriazol-2-ylmethyl)-3-methyl-1-(2-methylpropyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} i \text{-Bu} \\ \hline \\ N \\ \hline \\ N \\ \end{array}$$

GΙ

ΑB The title compds. (I) [wherein R = C(0)Ar1 or C(R4)(R5)Ar1;R1 and R2 =independently H, (cyclo)alkyl, alkenyl, or cycloalkylmethyl; R3 = H or XR9 or XAr2; R4 = H or alkyl; R5 = H or OH; R9 = Me optionally substituted by 1 or more CN, CO2H, alkoxycarbonyl, tetrazolyl, (un) substituted carboxyamido; R10 = H, alkyl, or R9; X = O, S(O)n, C(O)NR10, C(O)O, NHC(0)NR10, NHC(0)0, or SO2NR10; Ar1 = (un)substituted heteroaryl, Ar2 = (un) substituted Ph, pyridinyl, thienyl, pyridone, or pyridine N-oxide; n = 0-2] were prepd. as immunosuppressants. for the treatment of reversible obstructive airway diseases, such as asthma, bronchitis, and rhinitis. For example, II was formed in a 4-step sequence involving (1) N-addn. of 1-iodo-2-methylpropane to 6-chloro-3-methyl-1H-pyrimidine -2,4-(1H,3H)-dione, (2) thiolation of the chloro compd. with NaSH.H2O, (3) cycloaddn. of the 6-thioxopyrimidinedione with aq. C1CH2CHO, and (4) coupling of the thienopyrimidinedione with 1-methylbenzimidazole-2carboxaldehyde. In a PMA/ionomycin-stimulated peripheral blood mononuclear cell (PBMC) proliferation assay, I exhibited IA50 values of < 1 .mu.M.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L37 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2003 ACS

AN 1995:767627 CAPLUS

DN 124:21803

TI Method and agents for preventing tissue injury from hypoxia

IN Bursten, Stuart L.; Singer, Jack W.; Rice, Glenn C.

Ce;; Therapeutics, Inc., USA PA

PCT Int. Appl., 56 pp. SO

CODEN: PIXXD2

Patent DT

English LΑ

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	WO 9513075	_A1	19950518	WO 1994-US12821	19941114

W: AU, CA, JP

RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

US 1993-152117 19931112

AU 9510907 Α1 19950529 AU 1995-10907 19941114 US 1993-152117 19931112

WO 1994-US12821 19941114

EP 728003 A1 19960828 EP 1995-901808 19941114

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE

US 1993-152117 19931112

WO 1994-US12821 19941114

US 5856331 US 1997-948747 Α 19990105 19971010

US 1993-152117 19931112

US 1994-353756 19941212

MARPAT 124:21803 OS

IT 167427-02-3D, aminoalkyl derivs.

> RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(method and agents for preventing tissue injury from hypoxia)

RN 167427-02-3 CAPLUS

Quinoxaline, tetrahydro- (9CI) (CA INDEX NAME) CN

1 CM

CRN 91-19-0 CMF C8 H6 N2

GΙ

AB Tissue injury, caused by tissue hypoxia and reoxygenation, is administering a xanthine deriv. I [R1 = (.omega.-1) secondary

alc.-substituted C5-12 alkyl enantiomer; R2, R3 = C1-12 alkyl or (di)oxaalkyl] or a (heterocyclylalkyl)amine that inhibits signal transduction by inhibiting cellular accumulation of linoleoyl phosphatidic acid through inhibition of lysophosphatidic acyltransferase. Diseases that can be treated with these compds. include shock, sequelae of myocardial infarction and stroke, altitude sickness, acidosis, hypoxia-mediated neurodegenerative diseases, and disorders related to transplantation and transplant rejection. Thus, in mice with exptl. hemorrhage, treatment with lisophylline (100 mg/kg i.v. after 1 h, then 100 mg/kg i.p. 8 times at 8-h intervals) largely normalized signs of hemorrhagic shock (neutrophil infiltration, interstitial edema, elevated plasma levels of interferon-.gamma. and tumor necrosis factor .alpha., elevated mRNA levels for interleukins 1.beta. and 6 in pulmonary mononuclear cells, etc.).

=> d 138 fbib hitstr abs total

```
L38 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2003 ACS
```

AN 2002:790220 CAPLUS

DN 137:294982

- TI Preparation of piperazinylpyrazinyl aryloxyalkyl ethers as 5-HT2C receptor agonists
- IN Nilsson, Bjorn; Tejbrant, Jan; Pelcman, Benjamin; Ringberg, Erik; Thor, Markus; Nilsson, Jonas; Jonsson, Mattias
- PA Biovitrum AB, Swed.
- SO U.S., 45 pp., Cont.-in-part of U.S. Ser. No. 573,348, abandoned. CODEN: USXXAM

DT Patent

LA English

FAN.CNT 2

11111.	C111 2			
	PATENT NO.	KIND	DATE	APPLICATION NO. DATE
ΡI	US 6465467	В1	20021015	US 2000-589282 20000608
				SE 1999-1884 A 19990521
				US 1999-137527PP 19990603
				US 2000-573348 B220000519
	US 2003092694	A1	20030515	US 2002-269670 20021011
				SE 1999-1884 A 19990521
				US 1999-137527PP 19990603
				US 2000-573348 B220000519
				US 2000-589282 A320000608

PATENT FAMILY INFORMATION:

FAN 2000:900625

	PATENT	NO.		KII	ND :	DATE			A)	PPLI	CATI	ON NO	ο.	DATE			
PI	WO 2000	07698	4	A2	2	2000	1221		W	200	00-S	E101	7	2000	0519		
	WO 2000	07698	4	A.	3	2001	0208										
	W :	AE,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CR,	CU,
		CZ,	DE,	DK,	DM,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,
		IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,
		MD, I	MG,	MK,	MN,	MW,	MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,
		SK,	SL,	TJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VN,	YU,	ZA,	ZW,	AM,
		AZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM								
	RW:	GH, (GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,
		DE, I	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,
		CF, (CG,	CI,	CM,	GA,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG			
									SI	E 199	99-18	384	Α	1999	0521		

US 1999-137527PP 19990603 A2 EP 1178973 20020213 EP 2000-931877 20000519 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO SE 1999-1884 A 19990521 US 1999-137527PP 19990603 WO 2000-SE1017 W 20000519 BR 2000010783 20020409 BR 2000-10783 20000519 SE 1999-1884 A 19990521 US 1999-137527PP 19990603 WO 2000-SE1017 W 20000519 JP 2003502317 T2 20030121 JP 2001-503842 20000519 SE 1999-1884 A 19990521 US 1999-137527PP 19990603 WO 2000-SE1017 W 20000519 NO 2001005686 20020115 NO 2001-5686 SE 1999-1884 A 19990521 US 1999-137527PP 19990603 WO 2000-SE1017 W 20000519

OS MARPAT 137:294982

IT 313655-27-5P, 4-[2-[[3-(1-Piperazinyl)-2-pyrazinyl]oxy]ethoxy]2,1,3-benzothiadiazole Dihydrochloride 313655-31-1P,
5-[2-[[3-(1-Piperazinyl)-2-pyrazinyl]oxy]ethoxy]quinoxaline
Hydrochloride

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of heterocyclylpyrazinyl aryloxyalkyl ether 5-HT2C receptor agonists from aryloxyalkanols, halopyrazines, and heterocycles) 313655-27-5 CAPLUS

RN

2 HCl

RN 313655-31-1 CAPLUS

CN Quinoxaline, 5-[2-[[3-(1-piperazinyl)pyrazinyl]oxy]ethoxy]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

IT 313655-28-6P, tert-Butyl 4-[3-[2-(2,1,3-benzothiadiazol-4 yloxy)ethoxy]-2-pyrazinyl]-1-piperazinecarboxylate
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (prepn. of heterocyclylpyrazinyl aryloxyalkyl ether 5-HT2C receptor
 agonists from aryloxyalkanols, halopyrazines, and heterocycles)
RN 313655-28-6 CAPLUS
CN 1-Piperazinecarboxylic acid, 4-[3-[2-(2,1,3-benzothiadiazol-4 yloxy)ethoxy]pyrazinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

GI

$$\mathbb{R}^{8-y}$$
 \mathbb{R}^{5}
 \mathbb{R}^{6}
 \mathbb{R}^{4}
 \mathbb{R}^{2}
 \mathbb{R}^{2}
 \mathbb{R}^{1}
 \mathbb{R}^{2}
 \mathbb{R}^{2}
 \mathbb{R}^{1}
 \mathbb{R}^{2}
 \mathbb{R}^{2}
 \mathbb{R}^{2}
 \mathbb{R}^{2}
 \mathbb{R}^{2}
 \mathbb{R}^{2}
 \mathbb{R}^{2}
 \mathbb{R}^{2}
 \mathbb{R}^{3}
 \mathbb{R}^{2}
 \mathbb{R}^{3}
 \mathbb{R}^{2}
 \mathbb{R}^{3}
 \mathbb{R}^{2}
 \mathbb{R}^{3}
 \mathbb{R}^{3}
 \mathbb{R}^{3}

AB The title compds. (I) [wherein X and Y = independently O, S, or NR7; R and R1 = independently H, alkyl, or halo; or C2RR1 = optionally halo substituted benzene or thiophene; R2 = H, OH, or alkyl; R3, R4, and R5 = independently H or alkyl; R6 = H or alkyl; or CYR6R8 for a 5-6 membered heterocycle; R7 = H or alkyl, preferably Me or Et; R8 = (un) substituted (hetero)aryl; m and n = independently 1 or 2; or pharmaceutically acceptable salts, hydrates, geometric isomers, tautomers, optical isomers, N-oxides, and prodrugs thereof] were prepd. and tested as 5-HT2C receptor agonists. For instance, 2,3-dichloropyrazine and 2-phenoxyethanol were treated with t-BuONa in dioxane to give 2-chloro-3-(2phenoxyethoxy)pyrazine (62%). The halopyrazine, piperazine, and K2CO3 in MeCN were stirred and heated to afford the desired 2-(phenoxy)ethyl 3-(1-piperazinyl)-2-pyrazinyl ether (II) in 65% yield, which was then converted to the maleate salt. In competition expts., I showed affinity for 5-HT2C receptor protein with Ki values typically ranging from 1 nM to 1500 nM and specific values ranging from 5 nM to 377 nM for twelve compds. I exhibited agonist efficacy at the 5-HT2C receptor by mobilizing intracellular Ca in transfected HEK293 cells with max. responses in the range of 20-100% relative to the max. response of 5-HT (serotonin) at a concn. of 1 .mu.M. Acute toxicity studies in mice following oral administration of I showed that mortality typically occurred at doses between 200 mg/kg to 450 mg/kg body wt. I are useful for the treatment of serotonin-related central nervous system disorders, such as eating disorders, memory disorders, schizophrenia, mood disorders, anxiety disorders, pain, sexual dysfunctions, and urinary disorders (no data).

RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L38
    ANSWER 2 OF 8 CAPLUS COPYRIGHT 2003 ACS
AN
     2002:754196 CAPLUS
DN
     137:257677
ΤI
    Methods of treating or preventing Alzheimer's disease using
     4-aryl-3-aralkoxypiperidines and -azabicyclooctanes
IN
    Nieman, James A.; Fang, Lawrence; Jagodzinska, Barbara
PΑ
    Elan Pharmaceuticals, Inc., USA; Pharmacia & Upjohn Company
SO
    PCT Int. Appl., 449 pp.
    CODEN: PIXXD2
DT
     Patent
LΑ
     English
FAN.CNT 1
```

Page 63

	PAT	CENT :	NO.		KI	ND	DATE			A	PPLI	CATI	ои ис	ο.	DATE			
PI								20021003 20021128			WO 2002-US9100				20020321			
	WO	2002 W:	AE, CO, GM, LS, PL, UA,	AG, CR, HR, LT, PT, UG,	AL, CU, HU, LU, RO,	AM, CZ, ID, LV, RU,	AT, DE, IL, MA, SD,	AU, DK, IN, MD, SE,	DM, IS, MG, SG,	DZ, JP, MK, SI,	EC, KE, MN, SK,	EE, KG, MW, SL,	ES, KP, MX, TJ,	FI, KR, MZ, TM,	BZ, GB, KZ, NO, TN, KG,	GD, LC, NZ, TR,	GE, LK, OM, TŤ,	GH, LR, PH, TZ,
		RW:	CY,	GM, DE,	DK,	ES,	FI,	FR,	GB,	GR, GN, U	IE, GQ, S 20	IT, GW, 01-2	LU, ML, 7837	MC, MR, 1PP	ZW, NL, NE, 2001	PT, SN, 0323	SE,	TR,

OS MARPAT 137:257677

IT 188876-01-9P, Quinoxaline, 6-[[[4-[4-[3-[(2methoxyphenyl)methoxy]propoxy]phenyl]-3-piperidinyl]oxy]methyl]-, transRL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(methods of treating or preventing Alzheimer's and other diseases using 4-aryl-3-aralkoxypiperidines and -azabicyclooctanes)

RN 188876-01-9 CAPLUS

CN Quinoxaline, 6-[[[(3R,4R)-4-[4-[3-[(2-methoxyphenyl)methoxy]propoxy]phenyl]-3-piperidinyl]oxy]methyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

$$\begin{array}{c} O \\ O \\ O \\ O \\ O \\ \end{array}$$

$$\begin{array}{c} O \\ O \\ O \\ \end{array}$$

$$\begin{array}{c} O \\ O \\ \end{array}$$

IT 188876-23-5P, 1-Piperidinecarboxylic acid, 4-[4-[3-[(2methoxyphenyl)methoxy]propoxy]phenyl]-3-(6-quinoxalinylmethoxy)-,
1,1-dimethylethyl ester, trans-

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(methods of treating or preventing Alzheimer's and other diseases using 4-aryl-3-aralkoxypiperidines and -azabicyclooctanes)

RN 188876-23-5 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[4-[3-[(2-methoxyphenyl)methoxy]propoxy]phe nyl]-3-(6-quinoxalinylmethoxy)-, 1,1-dimethylethyl ester, (3R,4R)-rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

Page 64

GI

$$\begin{array}{c|c} & H \\ & N \\ & Q \\ & & XZ_nR1 \\ & & & & I \end{array}$$

AB Disclosed are methods for treating or preventing Alzheimer's disease, and other diseases, and/or inhibiting .beta.-secretase enzyme, and/or inhibiting deposition of A beta peptide in a mammal, using 3,4-disubstituted piperidinyl compds. (I) wherein the variables R1, R2, R3, R4, Q, W, X, Z, m, and n are defined below. Although neither the compds. nor the methods of prepn. are claimed, .apprx.150 example prepns., translations from the German examples of patent WO 9709311, are included. I inhibit .beta.-secretase with IC50 < 50 .mu.M; compds. that are effective inhibitors of .beta.-secretase activity demonstrate reduced cleavage of the substrate as compared to a control. In I, R1 is aryl, heterocycle; R2 is Ph, naphthyl, acenaphthyl, cyclohexyl, pyridyl, pyrimidinyl, pyrazinyl, oxopyridinyl, diazinyl, triazolyl, thienyl, oxazolyl, oxadiazolyl, thiazolyl, pyrrolyl, or furyl, optionally substituted. R3 is: H, hydroxy, lower-alkoxy, or lower-alkenyloxy; R4 is: H, lower-alkyl, lower-alkenyl, lower-alkoxy, hydroxy-lower-alkyl, lower-alkoxy-lower-alkyl, benzyl, oxo, or where R3 and R4 together are a bond, or as specified in the claims. Q is: ethylene, or is absent; X is: a bond, -O-, -S-, -CH-R11- (R11 defined in claims), -CHOR9- (R9 defined in claims), -OCO, -CO-, or C:NOR10- (R10 is carboxyalkyl, alkoxycarbonylalkyl, alkyl or H), with the bond emanating from an O or S atom joining to a satd. C atom of group Z or to R1; W is: -O-, or -S-; Z is: lower-alkylene, lower-alkenylene, hydroxy-lower-alkylidene, -O-, -S-, -O-Alk- (Alk is a lower alkylene), -S-Alk-, -Alk-O-, or -Alk-S. N is: 1, or 0 or 1 when X is -O-CO; and where m is 0 or 1; with provisos.

```
L38
    ANSWER 3 OF 8 CAPLUS COPYRIGHT 2003 ACS
AN
    2000:725471 CAPLUS
DN
    133:281794
    Preparation of aminopyrimidines as sorbitol dehydrogenase inhibitors
ΤI
    Chu-moyer, Margaret Yuhua; Murry, Jerry Anthony; Mylari, Banavara
ΤN
    Lakshman; Zembrowski, William James
    Pfizer Products Inc., USA
PA
SO
    PCT Int. Appl., 328 pp.
    CODEN: PIXXD2
DT
    Patent
LΑ
    English
FAN.CNT 1
    PATENT NO.
                   KIND DATE
                                          APPLICATION NO. DATE
     -----
                           -----
                                          -----
                     A1 20001012
PΙ
    WO 2000059510
                                         WO 2000-IB296 20000316
        W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,
            CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID,
            IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV,
            MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG,
            SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW.
            AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
            DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
            CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                          US 1999-127437PP 19990401
    NZ 514144
                           20010928
                                          NZ 2000-514144
                      Α
                                                           20000316
                                          US 1999-127437PP 19990401
    BR 2000009433
                      Α
                           20020115
                                          BR 2000-9433
                                                           20000316
                                          US 1999-127437PP 19990401
                                          WO 2000-IB296 W 20000316
    EP 1185275
                           20020313
                                          EP 2000-909565
                      A1
                                                         20000316
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO
                                          US 1999-127437PP 19990401
                                          WO 2000-IB296 W 20000316
    JP 2002541109
                      T2
                           20021203
                                          JP 2000-609073
                                                           20000316
                                          US 1999-127437PP 19990401
                                          WO 2000-IB296 W 20000316
    EE 200100509
                      A
                           20021216
                                          EE 2001-509
                                                           20000316
                                          US 1999-127437PP 19990401
                                          WO 2000-IB296 W 20000316
    US 6414149
                      В1
                           20020702
                                          US 2000-538039
                                                           20000329
                                          US 1999-127437PP 19990401
    NO 2001004642
                      Α
                           20011128
                                          NO 2001-4642
                                                           20010925
                                          US 1999-127437PP 19990401
                                          WO 2000-IB296 W 20000316
    BG 106038
                      Α
                           20020628
                                          BG 2001-106038
                                                           20011023
                                          US 1999-127437PP 19990401
                                          WO 2000-IB296 W 20000316
    US 2003065179
                      A1
                           20030403
                                          US 2002-87869
                                                         20020228
                                          US 1999-127437PP 19990401
                                          US 2000-538039 A320000329
OS
    MARPAT 133:281794
IT
    300551-69-3P
    RL: BAC (Biological activity or effector, except adverse); BSU (Biological
    study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
    BIOL (Biological study); PREP (Preparation); USES (Uses)
        (prepn. of aminopyrimidines as sorbitol dehydrogenase inhibitors)
```

RN 300551-69-3 CAPLUS

CN 2-Pyrimidinemethanol, 4-[5,6-dihydro-3-(6-quinoxalinyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-.alpha.-methyl-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

GΙ

- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- AB The title compds. [I; R1 = CHO, COMe; COCH2Me, etc.; R2 = H, alkyl, alkoxy; R3 = II-IV, etc.; R23 = CONR25R26, SO2NR25R26 (wherein R25 = H, alkyl, arylalkylenyl; R26 = arylalkylenyl); R24 = H, alkyl, alkoxycarbonyl, etc.; R27 = H, alkyl; R28, R29 = H, OH, halo, etc.], sorbitol dehydrogenase inhibitors (no data) which are useful in treating or preventing diabetic complications, particularly diabetic neuropathy, diabetic nephropathy, diabetic microangiopathy, diabetic macroangiopathy and diabetic cardiomyopathy, were prepd. and formulated. E.g., a multi-step synthesis of the pyrimidine (R)-V, was given. This invention is also directed to pharmaceutical compns. comprising a combination of the compd.I with an aldose reductase inhibitor and to methods of treating or preventing diabetic complications therewith. This invention is also directed to pharmaceutical compns. comprising a combination of the compd. I with an NHE-1 inhibitor and to methods of treating cardiomyopathy and other heart-related problems therewith.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2003 ACS

AN 1999:595180 CAPLUS

DN 131:214301

TI Preparation of bicyclic heterocyclic amides as modulators of protein tyrosine phosphatases (PTPases)

IN Andersen, Henrik Sune; Jones, Todd Kevin; Holsworth, Daniel Dale

PA Novo Nordisk A/S, Den.; Ontogen Corporation

SO PCT Int. Appl., 81 pp.

CODEN: PIXXD2

DT Patent

LA English

```
FAN.CNT 5
     PATENT NO.
                   KIND DATE
                                          APPLICATION NO. DATE
     _____
                                           ______
    WO 9946268 A1 19990916
PΙ
                                          WO 1999-DK124 19990311
         W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,
             DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,
             JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU,
             TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
             CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                            DK 1998-346
                                                           A 19980312
                                            DK 1998-347
                                                          A 19980312
                                            DK 1998-348
                                                        A 19980312
                                            DK 1998-474
                                                        A 19980403
                                            DK 1998-475
                                                         A 19980403
                                            DK 1998-476
                                                        A 19980403
    US 2002019412 A1
                          20020214
                                            US 1999-265316 19990309
                                            DK 1998-346 A 19980312
                                            DK 1998-347
                                                          A 19980312
                                            DK 1998-348 A 19980312
                                            DK 1998-474 A 19980403
                                            DK 1998-475
                                                          A 19980403
                                                         A 19980403
                                            DK 1998-476
                                            US 1998-82365P P 19980420
                                            US 1998-82371P P 19980420
                                            US 1998-82373P P 19980420
    AU 9928258
                A1
                            19990927
                                            AU 1999-28258
                                                             19990311
                                            DK 1998-346 A 19980312
                                            DK 1998-347
                                                          A 19980312
                                            DK 1998-348
                                                        A 19980312
                                            DK 1998-474
                                                        A 19980403
                                            DK 1998-475
                                                        A 19980403
                                            DK 1998-476
                                                         A 19980403
                                            WO 1999-DK124 W 19990311
     EP 1062218
                           20001227
                      A1
                                            EP 1999-908770 19990311
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI
                                            DK 1998-346 A 19980312
                                            DK 1998-347
                                                           A 19980312
                                            DK 1998-348
                                                         A 19980312
                                            DK 1998-474
                                                          A 19980403
                                            DK 1998-475
                                                           A 19980403
                                            DK 1998-476
                                                           A 19980403
                                            WO 1999-DK124 W 19990311
    JP 2002506073
                      T2
                            20020226
                                            JP 2000-535646 19990311
                                                        A 19980312
                                            DK 1998-346
                                                          A 19980312
                                            DK 1998-347
                                                        A 19980312
                                            DK 1998-348
                                            DK 1998-474
                                                         A 19980403
                                            DK 1998-475
                                                         A 19980403
                                            DK 1998-476
                                                          A 19980403
                                            WO 1999-DK124 W 19990311
     ZA 9902038
                            19990927
                                            ZA 1999-2038 19990312
                                            DK 1998-346 A 19980312
PATENT FAMILY INFORMATION:
```

Patel

FAN 1999:595124

```
PATENT NO.
                    KIND DATE
                                          APPLICATION NO. DATE
     WO 9946236 Al 19990916 WO 1999-DK122 19990311
PΙ
         W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,
             DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,
             JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU,
             TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
             CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                            DK 1998-342
                                                         A 19980312
                                            DK 1998-345
                                                         A 19980312
                                            DK 1998-472
                                                        A 19980403
                                            DK 1998-479
                                                         A 19980403
                                            DK 1998-940
                                                         A 19980715
     US 6225329
                      В1
                            20010501
                                            US 1999-265069 19990309
                                            DK 1998-342
                                                         A 19980312
                                            DK 1998-345
                                                          A 19980312
                                                          A 19980403
                                            DK 1998-472
                                            DK 1998-479
                                                         A 19980403
                                            US 1998-82913P P 19980424
                                            US 1998-82914P P 19980424
                                            DK 1998-940
                                                          A 19980715
                                            US 1998-93638P P 19980721
     AU 9927136
                A1
                            19990927
                                            AU 1999-27136
                                                           19990311
                                            DK 1998-342
                                                         A 19980312
                                            DK 1998-345
                                                         A 19980312
                                                         A 19980403
                                            DK 1998-472
                                            DK 1998-479 A 19980403
                                            WO 1999-DK122 W 19990311
     EP 1062199 A1 20001227
                                            EP 1999-907333 19990311
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI
                                            DK 1998-342 A 19980312
                                            DK 1998-345
                                                         A 19980312
                                            DK 1998-472
                                                         A 19980403
                                            DK 1998-479
                                                         A 19980403
                                            DK 1998-940
                                                         A 19980715
                                            WO 1999-DK122 W 19990311
     JP 2002506055
                                            JP 2000-535619 19990311
                      T2
                            20020226
                                            DK 1998-342
                                                         A 19980312
                                            DK 1998-345
                                                           A 19980312
                                            DK 1998-472
                                                           A 19980403
                                            DK 1998-479
                                                           A 19980403
                                            DK 1998-940
                                                           A 19980715
                                            WO 1999-DK122 W 19990311
     ZA 9902029
                  A 19990927
                                            ZA 1999-2029 19990312
                                            DK 1998-342
                                                           A 19980312
FAN
    1999:595127
                                           APPLICATION NO. DATE
     PATENT NO. KIND DATE
                            -----
                                            -----
ΡI
     WO 9946237
                      A1 19990916
                                          WO 1999-DK126 19990312
            AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,
             DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,
             JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,
             MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,
             TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD,
```

```
RU, TJ, TM
    RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,
        ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
        CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                      DK 1998-350
                                                      A 19980312
                                      DK 1998-345
                                                      A 19980312
                                      DK 1998-343
                                                      A 19980312
                                      DK 1998-342
                                                     A 19980312
                                      DK 1998-344
                                                     A 19980312
                                      DK 1998-347
                                                     A 19980312
                                      DK 1998-346
                                                      A 19980312
                                      DK 1998-348
                                                     A 19980312
                                      DK 1998-479
                                                     A 19980403
                                      DK 1998-472
                                                    A 19980403
                                      DK 1998-473
                                                    A 19980403
                                      DK 1998-478
                                                    A 19980403
                                      DK 1998-475
                                                    A 19980403
                                      DK 1998-474
                                                     A 19980403
                                      DK 1998-476
                                                     A 19980403
                                      DK 1998-480
                                                     A 19980403
                                      US 1998-82912P P 19980424
                                      DK 1998-667
                                                     A 19980515
                                      US 1998-88115P P 19980605
                                      DK 1998-939
                                                      A 19980715
                                      DK 1998-940
                                                        19980715
                                      DK 1998-938
                                                        19980715
                                                        19981028
                                      DK 1998-1385
                                      DK 1998-1561
                                                        19981126
                                      DK 1998-1612
                                                        19981207
US 6225329
                  В1
                       20010501
                                      US 1999-265069
                                                        19990309
                                      DK 1998-342
                                                    A 19980312
                                      DK 1998-345
                                                      A 19980312
                                      DK 1998-472
                                                      A 19980403
                                      DK 1998-479
                                                      A 19980403
                                      US 1998-82913P P 19980424
                                      US 1998-82914P P 19980424
                                      DK 1998-940
                                                    A 19980715
                                      US 1998-93638P P 19980721
US 2002019412
                       20020214
                                      US 1999-265316
                  A1
                                                        19990309
                                      DK 1998-346
                                                      A 19980312
                                       DK 1998-347
                                                      A 19980312
                                       DK 1998-348
                                                      A 19980312
                                       DK 1998-474
                                                      A 19980403
                                       DK 1998-475
                                                      A 19980403
                                       DK 1998-476
                                                      A 19980403
                                      US 1998-82365P P 19980420
                                      US 1998-82371P P 19980420
                                      US 1998-82373P P 19980420
AU 9927139
                  Α1
                       19990927
                                      AU 1999-27139
                                                        19990311
                                      DK 1998-473
                                                      A 19980403
                                       DK 1998-478
                                                      A 19980403
                                      DK 1998-475
                                                      A 19980403
                                       DK 1998-474
                                                      A 19980403
                                      DK 1998-476
                                                      A 19980403
                                      DK 1998-480
                                                      A 19980403
                                      DK 1998-667
                                                      A 19980515
                                      DK 1998-939
                                                      A 19980715
                                      DK 1998-350
                                                      A 19980312
```

			DK 1998-343 A DK 1998-342 A DK 1998-344 A DK 1998-347 A DK 1998-346 A DK 1998-348 A DK 1998-479 A DK 1998-472 A WO 1999-DK126 W DK 1998-1561 A	19980312 19980312 19980312 19980312 19980312 19980312 19980403 19980403 19990312 19981126 19980424
US 6262044	B1	20010717	US 1999-268490 DK 1998-344 A DK 1998-480 A US 1998-82915P DK 1998-938 A US 1998-93525P DK 1998-1385 A US 1998-108747PP	19980715 19980721 19981028
US 2002002199	A1	20020103	US 1999-266395 DK 1998-343 A DK 1998-473 A US 1998-82368P P DK 1998-939 A US 1998-93620P P	19990311 19980312 19980403 19980420 19980715 19980721 19981126
CA 2323472	AA	19990916	CA 1999-2323472 DK 1998-342 A DK 1998-343 A DK 1998-344 A DK 1998-345 A DK 1998-346 A DK 1998-347 A DK 1998-348 A DK 1998-350 A DK 1998-472 A DK 1998-473 A DK 1998-473 A DK 1998-476 A DK 1998-476 A DK 1998-478 A DK 1998-478 A DK 1998-479 A DK 1998-480 A DK 1998-480 A DK 1998-938	19990312 19980312 19980312 19980312 19980312 19980312 19980312 19980312 19980403 19980403 19980403 19980403 19980403 19980403 19980403 19980403 19980403 19980403 19980403 19980403 19980403 19980403 19980403 19980403
ZA 9902029	А	19990927	WO 1999-DK126 W ZA 1999-2029	19990312 19990312
ZA 9902032	A	19990927		19980312 19980312 19990312

```
A 19980312
                                     DK 1998-343
ZA 9902038
                 Α
                      19990927
                                     ZA 1999-2038
                                                    19990312
                                     DK 1998-346
                                                   A 19980312
ZA 9902036
                 Α
                      19991001
                                     ZA 1999-2036
                                                     19990312
                                     DK 1998-344
                                                   A 19980312
BR 9908723
                 Α
                      20001121
                                     BR 1999-8723
                                                     19990312
                                     DK 1998-342
                                                   A 19980312
                                     DK 1998-343
                                                  A 19980312
                                     DK 1998-344
                                                  A 19980312
                                     DK 1998-345
                                                  A 19980312
                                     DK 1998-346
                                                  A 19980312
                                     DK 1998-347
                                                  A 19980312
                                     DK 1998-348
                                                 A 19980312
                                     DK 1998-350
                                                 A 19980312
                                                  A 19980403
                                     DK 1998-472
                                     DK 1998-473
                                                  A 19980403
                                     DK 1998-480
                                                   A 19980403
                                     WO 1999-DK126 W 19990312
                                     EP 1999-907336 19990312
EP 1080068
                      20010307
                 A1
   R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE,
       SI, LT, FI, RO
                                     DK 1998-342
                                                   A 19980312
                                                   A 19980312
                                     DK 1998-343
                                     DK 1998-344
                                                   A 19980312
                                     DK 1998-345
                                                   A 19980312
                                     DK 1998-346
                                                  A 19980312
                                     DK 1998-347
                                                  A 19980312
                                     DK 1998-348
                                                  A 19980312
                                     DK 1998-350
                                                 A 19980312
                                     DK 1998-472
                                                 A 19980403
                                     DK 1998-473
                                                 A 19980403
                                     DK 1998-474
                                                 A 19980403
                                     DK 1998-475
                                                 A 19980403
                                     DK 1998-476
                                                 A 19980403
                                     DK 1998-478
                                                  A 19980403
                                     DK 1998-479
                                                   A 19980403
                                     DK 1998-480
                                                   A 19980403
                                     US 1998-82912P P 19980424
                                     DK 1998-667
                                                   A 19980515
                                     US 1998-88115P P 19980605
                                     DK 1998-938
                                                   A 19980715
                                     DK 1998-939
                                                   A 19980715
                                     DK 1998-940
                                                   A 19980715
                                     DK 1998-1385
                                                  A 19981028
                                     DK 1998-1561
                                                  A 19981126
                                     DK 1998-1612
                                                   A 19981207
                                     WO 1999-DK126 W 19990312
NO 2000004526
              Α
                      20001108
                                     NO 2000-4526
                                                    20000911
                                     DK 1998-342
                                                   A 19980312
                                     DK 1998-343 A 19980312
                                     DK 1998-344
                                                  A 19980312
                                     DK 1998-345
                                                  A 19980312
                                     DK 1998-346
                                                  A 19980312
                                     DK 1998-347
                                                  A 19980312
                                     DK 1998-348
                                                  A 19980312
                                     DK 1998-350
                                                  A 19980312
                                     DK 1998-472
                                                  A 19980403
                                                  A 19980403
                                     DK 1998-473
```

```
DK 1998-474
                                                         A 19980403
                                           DK 1998-475
                                                        A 19980403
                                           DK 1998-476
                                                        A 19980403
                                           DK 1998-478
                                                        A 19980403
                                           DK 1998-479
                                                         A 19980403
                                           DK 1998-480
                                                          A 19980403
                                           US 1998-82912P P 19980424
                                           DK 1998-667
                                                         A 19980515
                                           US 1998-88115P P 19980605
                                           DK 1998-938
                                                        A 19980715
                                           DK 1998-939
                                                         A 19980715
                                           DK 1998-940
                                                         A 19980715
                                           DK 1998-1385
                                                        A 19981028
                                           DK 1998-1561
                                                        A 19981126
                                           DK 1998-1612
                                                          A 19981207
                                           WO 1999-DK126 W 19990312
     US 6410586
                     В1
                            20020625
                                           US 2001-810266 20010316
                                           DK 1998-344
                                                        A 19980312
                                           DK 1998-480
                                                        A 19980403
                                           US 1998-82915P P 19980424
                                           DK 1998-938
                                                        A 19980715
                                           US 1998-93525P P 19980721
                                           DK 1998-1385
                                                        A 19981028
                                           US 1998-108747PP 19981117
                                           DK 1998-1612
                                                        A 19981207
                                           US 1999-268490 A319990311
     US 2002165398
                   A1
                            20021107
                                           US 2002-127043 20020419
                                           DK 1998-343
                                                         A 19980312
                                           DK 1998-473
                                                        A 19980403
                                           US 1998-82368P P 19980420
                                           DK 1998-939
                                                        A 19980715
                                           US 1998-93620P P 19980721
                                           DK 1998-1561 A 19981126
                                           US 1999-115528PP 19990112
                                           US 1999-266395 B119990311
     US 2003069267
                     A1
                            20030410
                                           US 2002-158464 20020528
                                           DK 1998-344
                                                       A 19980312
                                           DK 1998-480
                                                       A 19980403
                                           US 1998-82915P P 19980424
                                           DK 1998-938
                                                       A 19980715
                                           US 1998-93525P P 19980721
                                           DK 1998-1385 A 19981028
                                           US 1998-108747PP 19981117
                                           DK 1998-1612 A 19981207
                                           US 1999-268490 A319990311
                                           US 2001-810266 A320010316
FAN
    1999:595137
     PATENT NO.
                     KIND DATE
                                          APPLICATION NO. DATE
     -----
                     ----
                            -----
                                           -----
                           19990916
PI
     WO 9946244
                                         WO 1999-DK123 19990311
                     A1
        W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,
            DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,
            MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,
             TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU.
            TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,
             ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
```

```
CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                          DK 1998-343
                                                         A 19980312
                                          DK 1998-473
                                                         A 19980403
                                          DK 1998-939
                                                         U 19980715
                                          DK 1998-1561
                                                         U 19981126
    AU 9927137
                      A1
                           19990927
                                          AU 1999-27137
                                                         19990311
                                          DK 1998-343
                                                         A 19980312
                                          DK 1998-473
                                                         A 19980403
                                          WO 1999-DK123 W 19990311
    EP 1062204
                     A1
                           20001227
                                          EP 1999-907334 19990311
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI
                                          DK 1998-343
                                                       A 19980312
                                          DK 1998-473
                                                         A 19980403
                                          DK 1998-939
                                                         A 19980715
                                          DK 1998-1561
                                                        A 19981126
                                          WO 1999-DK123 W 19990311
    US 2002002199
                   A1
                           20020103
                                          US 1999-266395 19990311
                                          DK 1998-343
                                                        A 19980312
                                                        A 19980403
                                          DK 1998-473
                                          US 1998-82368P P 19980420
                                          DK 1998-939
                                                        A 19980715
                                          US 1998-93620P P 19980721
                                          DK 1998-1561
                                                        A 19981126
                                          US 1999-115528PP 19990112
    JP 2002506058
                   T2
                           20020226
                                          JP 2000-535625
                                                           19990311
                                                        A 19980312
                                           DK 1998-343
                                           DK 1998-473
                                                         A 19980403
                                                         A 19980715
                                           DK 1998-939
                                          DK 1998-1561 A 19981126
                                          WO 1999-DK123 W 19990311
    ZA 9902032
                     Α
                          19990927
                                          ZA 1999-2032 19990312
                                          DK 1998-343
                                                         A 19980312
    US 2002165398 A1
                           20021107
                                          US 2002-127043 20020419
                                          DK 1998-343
                                                       A 19980312
                                          DK 1998-473
                                                         A 19980403
                                          US 1998-82368P P 19980420
                                          DK 1998-939
                                                       A 19980715
                                          US 1998-93620P P 19980721
                                          DK 1998-1561 A 19981126
                                          US 1999-115528PP 19990112
                                          US 1999-266395 B119990311
FAN
    1999:595178
    PATENT NO.
                     KIND DATE
                                          APPLICATION NO. DATE
                     ----
                           -----
                                          -----
    WO 9946267
PΙ
                     A1
                           19990916
                                         WO 1999-DK121 19990311
        W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,
            DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,
            MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,
            TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU,
            TJ, TM
        RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,
            ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
            CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                          DK 1998-344
                                                         A 19980312
                                          DK 1998-480
                                                        A 19980403
                                          DK 1998-938
                                                        A 19980715
                                          DK 1998-1385 A 19981028
```

CA	2323493	AA	19990916	DK 1998-344 A 19980312 DK 1998-480 A 19980403 DK 1998-938 A 19980715	
AU	9927135	A1	19990927	DK 1998-344 A 19980312 DK 1998-480 A 19980403 DK 1998-938 A 19980715	
BR	9908726	A	20001121	DK 1998-1385 A 19981028 DK 1998-1612 A 19981207 WO 1999-DK121 W 19990311 BR 1999-8726 19990311 DK 1998-344 A 19980312 DK 1998-480 A 19980403 DK 1998-938 A 19980715	
EP	1080095 R: AT, BE, (SI, LT, E	CH, DE,	20010307 DK, ES,	FR, GB, GR, IT, LI, LU, NL, SE, PT, II	₹,
US	6262044	B1	20010717	DK 1998-344 A 19980312 DK 1998-480 A 19980403 DK 1998-938 A 19980715 DK 1998-1385 A 19981028 DK 1998-1612 A 19981207 WO 1999-DK121 W 19990311 US 1999-268490 19990311 DK 1998-344 A 19980312 DK 1998-480 A 19980403 US 1998-82915P P 19980424 DK 1998-938 A 19980715 US 1998-93525P P 19980721	
JP	2002506072	T2	20020226	DK 1998-1385 A 19981028 US 1998-108747PP 19981117 DK 1998-1612 A 19981207 JP 2000-535645 19990311 DK 1998-344 A 19980312 DK 1998-480 A 19980403 DK 1998-938 A 19980715 DK 1998-1385 A 19981028 DK 1998-1612 A 19981207	
ZA	9902036	A	19991001	WO 1999-DK121 W 19990311 ZA 1999-2036 19990312	
NO	2000004527	A	20001107	DK 1998-344 A 19980312 NO 2000-4527 20000911 DK 1998-344 A 19980312 DK 1998-480 A 19980403 DK 1998-938 A 19980715 DK 1998-1385 A 19981028 DK 1998-1612 A 19981207	
US	6410586	B1	20020625	WO 1999-DK121 W 19990311 US 2001-810266 20010316 DK 1998-344 A 19980312	

DK 1998-480 A 19980403 US 1998-82915P P 19980424 A 19980715 DK 1998-938 US 1998-93525P P 19980721 DK 1998-1385 A 19981028 US 1998-108747PP 19981117 DK 1998-1612 A 19981207 US 1999-268490 A319990311 US 2003069267 A1 20030410 US 2002-158464 20020528 DK 1998-344 A 19980312 DK 1998-480 A 19980403 US 1998-82915P P 19980424 DK 1998-938 A 19980715 US 1998-93525P P 19980721 DK 1998-1385 A 19981028 US 1998-108747PP 19981117 DK 1998-1612 A 19981207 US 1999-268490 A319990311 US 2001-810266 A320010316

OS MARPAT 131:214301

IT 243463-49-2P, 7-(Oxalylamino)quinoxaline-6-carboxylic

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(target compd.; prepn. of bicyclic heterocyclic amides as modulators of protein tyrosine phosphatases (PTPases))

RN 243463-49-2 CAPLUS

CN 6-Quinoxalinecarboxylic acid, 7-[(carboxycarbonyl)amino]- (9CI) (CA INDEX NAME)

GI

$$R^{1}$$
 R^{4}
 R^{2}
 R^{2}
 R^{2}
 R^{2}
 R^{3}
 R^{4}
 R^{4}
 R^{2}
 R^{2}
 R^{2}
 R^{3}
 R^{4}
 R^{4

AB The invention provides novel compds., novel compns., methods of their use, and methods of their manuf., where such compds. are pharmacol. useful inhibitors of protein tyrosine phosphatases (PTPases) such as PTP1B, CD45, SHP-1, SHP-2, PTP.alpha., LAR, and HePTP, or the like. The compds. are

depicted by formula I [A = atoms to complete various 5/5 and 5/6 bicyclicheterocycles, e.g., thienopyridines; R1 = acyl, OH or derivs., CF3, NO2, cyano, SO3H, (un) substituted NH2, or various 5-membered heterocycles; R2 = acyl, OH or derivs., CF3, NO2, cyano, SO3H, (un) substituted NH2, various 5-membered heterocycles; R4 = H, OH, alkyl, (un) substituted aryl or aralkyl, (un) substituted NH2, alkoxy], and include salts, optical isomers, and tautomers. The compds. are useful in the treatment of type ${\tt I}$ diabetes, type II diabetes, impaired glucose tolerance, insulin resistance, obesity, immune dysfunctions including autoimmunity diseases with dysfunctions of the coagulation system, allergic diseases including asthma, osteoporosis, proliferative disorders including cancer and psoriasis, diseases with decreased or increased synthesis or effects of growth hormone, diseases with decreased or increased synthesis of hormones or cytokines that regulate the release of/or response to growth hormone, diseases of the brain including Alzheimer's disease and schizophrenia, and infectious diseases. For instance, 3-aminothieno[2,3-b]pyridine-2carboxylic acid Me ester was amidated with Et oxalyl chloride (61%), followed by hydrolysis of the ester functions with NaOH in ag. EtOH (30%), to give title compd. II as the mono-Na salt (III). In an in vitro test against PTP1B expressed in E. coli and purified by known methods, III had Ki of 330 .mu.M.

RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L38 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2003 ACS
     1999:255217 CAPLUS
AN
DN
     131:44803
     Preorganized macrocyclic receptors featuring endo-carboxylic acid groups.
ΤТ
     Host synthesis and inclusion compounds with alcohol and amine quests
AU
    Weber, Edwin; Haase, Reinhard; Pollex, Rolf; Czugler, Matyas
     Institut Organische Chemie, Technische Universitat-Bergakademie Freiberg,
CS
     Freiberg, D-09596, Germany
SO
     Journal fuer Praktische Chemie (Weinheim, Germany) (1999), 341(3), 274-283
     CODEN: JPCHF4; ISSN: 1436-9966
PΒ
    Wiley-VCH Verlag GmbH
DT
     Journal
LΑ
     English
OS
     CASREACT 131:44803
ΙT
     227293-34-7P 227293-42-7P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (prepn. of)
RN
     227293-34-7 CAPLUS
CN
    Dispiro[cyclohexane-1,2'-[7,15,25,33]tetraoxaheptacyclo[32.2.2.23,6.216,19
     .221,24.19,13.127,31] hexatetraconta[3,5,9,11,13(44),16,18,21,23,27,29,31(3
     9),34,36,37,40,42,45-octadecaene]-20',1''-cyclohexane]-39',44'-
     dicarboxylic acid, 11',29'-bis(1,1-dimethylethyl)-, compd. with
```

CM 1

CRN 223397-25-9 CMF C62 H68 O8

quinoxaline (1:1) (9CI) (CA INDEX NAME)

CM 2

CRN 91-19-0 CMF C8 H6 N2

N N

RN 227293-42-7 CAPLUS

CN 7,15,25,33-Tetraoxaheptacyclo[32.2.2.23,6.216,19.221,24.19,13.127,31]hexat etraconta-3,5,9,11,13(44),16,18,21,23,27,29,31(39),34,36,37,40,42,45-octadecaene-39,44-dicarboxylic acid, 11,29-bis(1,1-dimethylethyl)-2,2,20,20-tetramethyl-, compd. with quinoxaline (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 159051-86-2 CMF C56 H60 O8

10077150.7

CM 2

CRN 91-19-0 CMF C8 H6 N2

GI

$$R^1$$
 R CO_2H HO_2C $Bu-t$ R^1 R

Patel

I

AB The synthesis and characterization of macrocyclic host compds. I [RR1 = (CH2)5; R, R1 = Me; RR1 = 0; R = Me, R1 = CH2CO2H] having modified diphenylmethane units as bridging elements and 2 endo-oriented carboxyl groups attached to arom. building blocks are described. The complexation properties of the macrocycles towards amines and alcs. are reported, showing that the ability to form convergent inclusion compds. depends on the type of the spacer element. For the dicarboxylic hosts I [RR1 = (CH2)5; R, R1 = Me] endo-complexation of guest mols. based on H bonding to the acid functions is proved using 1H NMR and x-ray crystal structure anal.

RE.CNT 59 THERE ARE 59 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2003 ACS

AN 1998:761764 CAPLUS

DN 130:54817

TI Secondary lithium batteries

IN Yakata, Hiroshi; Amano, Kosuke; Sakauchi, Hiroshi; Sato, Masaharu

PA NEC Corp., Japan

SO Jpn. Kokai Tokkyo Koho, 9 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND DATE		APPLICATION NO.	DATE		
PI ·	JP 10312827	A2	19981124	JP 1997-123979	19970514		
	JP 3114651	B2	20001204				
				JP 1997-123979	19970514		

IT 164363-68-2, Poly(quinoxaline-5,8-diyl)

RL: DEV (Device component use); USES (Uses)

(cathodes with elec. attached porous conducting polymer member on anode side for lithium batteries)

RN 164363-68-2 CAPLUS

CN Poly(5,8-quinoxalinediyl) (9CI) (CA INDEX NAME)

The batteries have a cathode, a Li intercalating or Li depositing anode, an electrolyte, and a porous member of a conducting polymer, which can be doped by N type dopant, between the electrodes and elec. connected to the cathode and insulated from the anode. The polymer is selected from polythiophene, poly(p-aniline), poly(pyridine-diyl), poly(pyrimidine-diyl), poly(quinoxaline-diyl), poly(naphthalidine-diyl), or their derivs.

ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS L38

1996:711261 CAPLUS AN

126:47192 DN

ΤI

Ambident reactivity of nitro heteroaromatic anions Murashima, Takashi; Tamai, Ryuji; Fujita, Ken-ichi; Uno, Hidemitsu; Ono, ΑU

Dep. Chem., Faculty Sci., Ehime Univ., Matsuyama, 790-77, Japan CS

SO Tetrahedron Letters (1996), 37(46), 8391-8394 CODEN: TELEAY; ISSN: 0040-4039

Elsevier PB

Journal DT

English LΑ

CASREACT 126:47192 OS

180723-45-9P IT

> RL: SPN (Synthetic preparation); PREP (Preparation) (reaction of nitroarenes with base and Et isocyanoacetate)

RN 180723-45-9 CAPLUS

[1,2,5] Thiadiazolo[3,4-f] quinazoline-9-carboxylic acid, ethyl ester, CN 6-oxide (9CI) (CA INDEX NAME)

GI

AB The reaction of nitro heteroarom. compds. such as quinoxalines, benzothiadiazoles and selenadiazoles with Et isocyanoacetate in the presence of 1,8-diazabicyclo[5,4,9]undec-7-ene gave the corresponding pyrimidine N-oxides, while, in contrast, use of a proazaphosphatrane, i.e., 2,8,9-trimethyl-2,5,8,9-tetraaza-1phosphabicyclo[3.3.3] undecane (I) or an iminophosphorane, i.e., 1,1',1''-[(1,1-dimethylethyl)phosphinimylidyne]tris[pyrrolidine] (II) as a base under similar conditions gave pyrroles. The reaction of 1-nitronaphthalene with I gave 2H-benz[e]isoindole-3-carboxylic acid Et ester (III) (21% yield). A similar reaction of 6-nitroquinoline with II gave 2H-pyrrolo[3,4-f]quinoline-1-carboxylic acid Et ester (IV) (22% yield). L38 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2003 ACS AN 1995:767627 CAPLUS DN 124:21803 Method and agents for preventing tissue injury from hypoxia ΤI IN Bursten, Stuart L.; Singer, Jack W.; Rice, Glenn C. PA Ce;; Therapeutics, Inc., USA SO PCT Int. Appl., 56 pp. CODEN: PIXXD2 DT Patent LΑ English FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. DATE _ _ _ _ ----------PΙ WO 9513075 19950518 A1 WO 1994-US12821 19941114 W: AU, CA, JP RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE US 1993-152117 19931112 AU 9510907 **A1** 19950529 AU 1995-10907 19941114 US 1993-152117 19931112 WO 1994-US12821 19941114 EP 728003 19960828 A1 EP 1995-901808 19941114 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE US 1993-152117 19931112 WO 1994-US12821 19941114 US 5856331 Α 19990105 US 1997-948747 19971010 US 1993-152117 19931112 US 1994-353756 19941212 OS MARPAT 124:21803 IT 167427-02-3D, aminoalkyl derivs. RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (method and agents for preventing tissue injury from hypoxia) RN 167427-02-3 CAPLUS CNQuinoxaline, tetrahydro- (9CI) (CA INDEX NAME) CM1 CRN 91-19-0 CMF C8 H6 N2

GI

AB Tissue injury, caused by tissue hypoxia and reoxygenation, is prevented by administering a xanthine deriv. I [R1 = (.omega.-1) secondary alc.-substituted C5-12 alkyl enantiomer; R2, R3 = C1-12 alkyl or (di)oxaalkyl] or a (heterocyclylalkyl)amine that inhibits signal transduction by inhibiting cellular accumulation of linoleoyl phosphatidic acid through inhibition of lysophosphatidic acyltransferase. Diseases that can be treated with these compds. include shock, sequelae of myocardial infarction and stroke, altitude sickness, acidosis, hypoxia-mediated neurodegenerative diseases, and disorders related to transplantation and transplant rejection. Thus, in mice with exptl. hemorrhage, treatment with lisophylline (100 mg/kg i.v. after 1 h, then 100 mg/kg i.p. 8 times at 8-h intervals) largely normalized signs of hemorrhagic shock (neutrophil infiltration, interstitial edema, elevated plasma levels of interferon-.gamma. and tumor necrosis factor .alpha., elevated mRNA levels for interleukins 1.beta. and 6 in pulmonary mononuclear cells, etc.).

=> d cost		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
CONNECT CHARGES	19.04	20.21
NETWORK CHARGES	3.36	3.60
SEARCH CHARGES	80.36	228.11
DISPLAY CHARGES	168.41	168.41
	271.17	420.33
CAPLUS FEE (5%)	13.39	13.39
FULL ESTIMATED COST	284.56	433.72
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
TESTINE TESTINE	ENTRY	SESSION
CA SUBSCRIBER PRICE	-18.88	-18.88
	10.00	10.00

IN FILE 'CAPLUS' AT 16:40:06 ON 18 MAY 2003

=> d his

```
(FILE 'HOME' ENTERED AT 16:05:03 ON 18 MAY 2003)
    FILE 'REGISTRY' ENTERED AT 16:05:14 ON 18 MAY 2003
               STRUCTURE UPLOADED
L1
             30 S L1
L2
L3
         13138 S L1 SSS FULL
    FILE 'CAPLUS' ENTERED AT 16:06:46 ON 18 MAY 2003
L4
          2810 S L3
L5
           120 S L4 AND QUINOXALINE
L6
             0 S L4 AND CFR RECEPTOR
L7
             0 S L5 AND CFR INHIBITORS
L8
            68 S L4 AND PYRIMIDINE
L9
             8 S L8 AND L5
L10
             0 S L4 AND BEZOTHIADIAZOLE
L11
             0 S L4 AND BENZ OXADIAZOLE
L12
          163 S L4 AND BENZOXADIAZOLE
L13
          138 S L4 AND BENZOTHIADIAZOLE
L14
           825 S L4 AND BENZOTRIAZOLE
L15
            0 S L14 AND 2-METHYL BENZOTRIAZOLE
L16
             0 S L14 AND METHYL TRIZOLE
            7 S L14 AND PYRIMIDINE
L17
            0 S L4 AND 1,3,5-TRAZINE
L18
L19
           65 S L4 AND PYRAZOLE
L20
            0 S L19 AND PYRAZOLOPYRIMIDINE
L21
           89 S L4 AND TRIAZOLE
           0 S L21 AND TRAZOLOPYRIMIDINE
1 S L21 AND TRIAZOLOPYRIMIDINE
L22
L23
L24
            8 S L4 AND PYRIMIDINE AND QUINOXALINE
L25
            3 S L4 AND PYRIMIDINE AND BENZOXADIAZOLE
L26
            0 S L4 AND PYRROLO-PYRIMIDINE AND QUINOXALINE
L27
            0 S L4 AND IMIDAZO-PYRIMIDINE AND QUINOXALINE
L28
             6 S L4 AND BENZOTHIADIAZOLE AND QUINOXALINE
L29
          120 S L4 AND QUINOXALINE
L30
          138 S L4 AND BENZOTHIADIAZOLE
L31
          163 S L4 AND BENZOXADIAZOLE
L32
             6 S L4 AND BENZTRIAZOLE
L33
          120 S L4 AND OUINOXALINE
L34
            0 S L12 AND L13 AND L14 AND PYRIMIDINE
L35
            3 S L12 AND PYRIMIDINE
            7 S L13 AND PYRIMIDINE
L36
            7 S L14 AND PYRIMIDINE
L37
L38
             8 S L33 AND PYRIMIDINE
=> d 112 and 1,3,5 triazine
'AND' IS NOT A VALID FORMAT FOR FILE 'CAPLUS'
'TRIAZINE' IS NOT A VALID FORMAT FOR FILE 'CAPLUS'
The following are valid formats:
ABS ----- GI and AB
ALL ----- BIB, AB, IND, RE
APPS ----- AI, PRAI
BIB ----- AN, plus Bibliographic Data and PI table (default)
CAN ----- List of CA abstract numbers without answer numbers
CBIB ----- AN, plus Compressed Bibliographic Data
DALL ----- ALL, delimited (end of each field identified)
```

```
DMAX ----- MAX, delimited for post-processing
FAM ----- AN, PI and PRAI in table, plus Patent Family data
FBIB ----- AN, BIB, plus Patent FAM
IND ----- Indexing data
IPC ----- International Patent Classifications
MAX ----- ALL, plus Patent FAM, RE
PATS ----- PI, SO
SAM ----- CC, SX, TI, ST, IT
SCAN ----- CC, SX, TI, ST, IT (random display, no answer numbers;
             SCAN must be entered on the same line as the DISPLAY,
             e.g., D SCAN or DISPLAY SCAN)
STD ----- BIB, IPC, and NCL
IABS ----- ABS, indented with text labels
IALL ----- ALL, indented with text labels
IBIB ----- BIB, indented with text labels
IMAX ----- MAX, indented with text labels
ISTD ----- STD, indented with text labels
OBIB ----- AN, plus Bibliographic Data (original)
OIBIB ----- OBIB, indented with text labels
SBIB ----- BIB, no citations
SIBIB ----- IBIB, no citations
HIT ----- Fields containing hit terms
HITIND ----- IC, ICA, ICI, NCL, CC and index field (ST and IT)
             containing hit terms
HITRN ----- HIT RN and its text modification
HITSTR ----- HIT RN, its text modification, its CA index name, and
             its structure diagram
HITSEQ ----- HIT RN, its text modification, its CA index name, its
             structure diagram, plus NTE and SEQ fields
FHITSTR ---- First HIT RN, its text modification, its CA index name, and
             its structure diagram
FHITSEQ ---- First HIT RN, its text modification, its CA index name, its
             structure diagram, plus NTE and SEQ fields
KWIC ----- Hit term plus 20 words on either side
OCC ----- Number of occurrence of hit term and field in which it occurs
```

To display a particular field or fields, enter the display field codes. For a list of the display field codes, enter HELP DFIELDS at an arrow prompt (=>). Examples of formats include: TI; TI,AU; BIB,ST; TI,IND; TI,SO. You may specify the format fields in any order and the information will be displayed in the same order as the format specification.

All of the formats (except for SAM, SCAN, HIT, HITIND, HITRN, HITSTR, FHITSTR, HITSEQ, FHITSEQ, KWIC, and OCC) may be used with DISPLAY ACC to view a specified Accession Number. ENTER DISPLAY FORMAT (BIB):end

=> s l13 and 1,3,5,-triazine L40 2 L13 AND 1,3,5,-TRIAZINE

```
=> s 114 and 1,3,5-triazine
           39 L14 AND 1,3,5-TRIAZINE
=> s 133 and 1,3,5-triazine
             1 L33 AND 1,3,5-TRIAZINE
L42
=> d 139 fbib hitstr abs total
    ANSWER 1 OF 2 CAPLUS COPYRIGHT 2003 ACS
AN
     2002:869496 CAPLUS
DN
    137:363033
    Peptidomimetic modulators of cell adhesion
TI
    Gour, Barbara J.; Blaschuk, Orest W.; Ali, Anmar; Ni, Feng; Chen, Zhigang;
IN
    Michaud, Stephanie D.; Wang, Shoameng; Hu, Zenjian
PA
    U.S. Pat. Appl. Publ., 309 pp., Cont.-in-part of U.S. Ser. No. 491,078.
SO
    CODEN: USXXCO
DT
    Patent
    English
LΑ
FAN.CNT 2
    PATENT NO. KIND DATE
                                          APPLICATION NO. DATE
                     ____
                           -----
                                          -----
PΙ
    US 2002168761
                     A1
                           20021114
                                          US 2001-769145
                                                           20010124
                                          US 2000-491078 A220000124
PATENT FAMILY INFORMATION:
FAN
    2001:545724
    PATENT NO.
                     KIND DATE
                                          APPLICATION NO. DATE
     -----
                     ----
                           -----
                                          -----
PI
    WO 2001053331
                      A2
                           20010726
                                          WO 2001-US2508
                                                           20010124
                    A3
C2
    WO 2001053331
                           20020711
    WO 2001053331
                           20021031
            AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
            CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
            HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
            LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
            SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
            YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
            DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
            BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                          US 2000-491078 A 20000124
OS
    MARPAT 137:363033
IT
    188966-22-5D, Phenol, 2-(2H-benzotriazol-2-yl)-4-(1,1-
    dimethylhexyl)-, derivs. 351857-41-5, 2,1,3-
    Benzoxadiazole-5-carboxamide, N-(2-phenylethyl)-
    351857-49-3, Urea, N-[2-[(2,1,3-benzoxadiazol-5-
    ylmethyl)thio]phenyl]-N'-(2,4-dichlorophenyl)- 351857-50-6,
    2-Thiophenecarboxamide, N-[2-[(2,1,3-benzoxadiazol-5-ylmethyl)thio]phenyl]-
        351857-54-0, Morpholine, 4-[[2-(2,1,3-benzoxadiazol-5-yl)-4-
    thiazolyl]carbonyl] - 351857-55-1, 4-Thiazolecarboxamide,
    2-(2,1,3-benzoxadiazol-5-yl)-N-(2-pyridinylmethyl)- 351857-56-2,
    4-Thiazolecarbothioic acid, 2-(2,1,3-benzoxadiazol-5-yl)-,
    S-(2,4-dichlorophenyl) ester 351857-57-3, 4-Thiazolecarbothioic
    acid, 2-(2,1,3-benzoxadiazol-5-yl)-, S-phenyl ester 351857-58-4,
    Piperazine, 1-(2,1,3-benzoxadiazol-5-ylcarbonyl)-4-phenyl-
    351857-70-0, 4-Thiazolecarboxylic acid, 2-[(2,1,3-benzoxadiazol-5-
    yloxy) methyl] -, 4-chlorophenyl ester 351858-16-7, 2,1,3-
    Benzoxadiazole, 5-[[4-(4-methoxyphenyl)-2-thiazolyl]methoxy]-
```

Patel

351858-17-8, 4-Thiazolecarboxamide, 2-[(2,1,3-benzoxadiazol-5-yloxy)methyl]-N-(4-chlorophenyl)- 351858-60-1,

19-Norpregn-5-ene-20-carboxylic acid, 3-(acetyloxy)-, 2-[[(7-nitro-2,1,3-benzoxadiazol-4-yl)methyl]amino]ethyl ester, (3.beta.,20S)-

RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(peptidomimetic modulators of cadherin-mediated cell adhesion for therapeutic use in relation to three-dimensional structure)

RN 188966-22-5 CAPLUS

CN Phenol, 2-(2H-benzotriazol-2-yl)-4-(1,1-dimethylhexyl)- (9CI) (CA INDEX NAME)

Me
$$C-(CH_2)_4-Me$$

N OH

RN 351857-41-5 CAPLUS

CN 2,1,3-Benzoxadiazole-5-carboxamide, N-(2-phenylethyl)- (9CI) (CA INDEX NAME)

RN 351857-49-3 CAPLUS

CN Urea, N-[2-[(2,1,3-benzoxadiazol-5-ylmethyl)thio]phenyl]-N'-(2,4-dichlorophenyl)- (9CI) (CA INDEX NAME)

RN 351857-50-6 CAPLUS

CN 2-Thiophenecarboxamide, N-[2-[(2,1,3-benzoxadiazol-5-ylmethyl)thio]phenyl]-(9CI) (CA INDEX NAME)

RN 351857-54-0 CAPLUS

CN Morpholine, 4-[[2-(2,1,3-benzoxadiazol-5-yl)-4-thiazolyl]carbonyl]- (9CI) (CA INDEX NAME)

$$\bigcap_{N}\bigcap_{C}\bigcap_{N}\bigcap_{N}$$

RN 351857-55-1 CAPLUS

CN 4-Thiazolecarboxamide, 2-(2,1,3-benzoxadiazol-5-yl)-N-(2-pyridinylmethyl)-(9CI) (CA INDEX NAME)

RN 351857-56-2 CAPLUS

CN 4-Thiazolecarbothioic acid, 2-(2,1,3-benzoxadiazol-5-yl)-, S-(2,4-dichlorophenyl) ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 351857-57-3 CAPLUS

CN 4-Thiazolecarbothioic acid, 2-(2,1,3-benzoxadiazol-5-yl)-, S-phenyl ester (9CI) (CA INDEX NAME)

RN 351857-58-4 CAPLUS

CN Piperazine, 1-(2,1,3-benzoxadiazol-5-ylcarbonyl)-4-phenyl- (9CI) (CA INDEX NAME)

RN 351857-70-0 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2,1,3-benzoxadiazol-5-yloxy)methyl]-, 4-chlorophenyl ester (9CI) (CA INDEX NAME)

RN 351858-16-7 CAPLUS

CN 2,1,3-Benzoxadiazole, 5-[[4-(4-methoxyphenyl)-2-thiazolyl]methoxy]- (9CI) (CA INDEX NAME)

RN 351858-17-8 CAPLUS

CN 4-Thiazolecarboxamide, 2-[(2,1,3-benzoxadiazol-5-yloxy)methyl]-N-(4-chlorophenyl)- (9CI) (CA INDEX NAME)

RN 351858-60-1 CAPLUS

CN 19-Norpregn-5-ene-20-carboxylic acid, 3-(acetyloxy)-, 2-[[(7-nitro-2,1,3-benzoxadiazol-4-yl)methyl]amino]ethyl ester, (3.beta.,20S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

AB Peptidomimetics of cyclic peptides, and compns. comprising such peptidomimetics are provided. The peptidomimetics have a three-dimensional structure that is substantially similar to a three-dimensional structure of a cyclic peptide that comprises a cadherin cell adhesion recognition sequence HAV. Methods for using such peptidomimetics for modulating cadherin-mediated cell adhesion in a variety of contexts are also provided.

```
L39 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2003 ACS
```

AN 2000:384156 CAPLUS

DN 133:30662

TI Preparation of N-heteroaroyl-.beta.-alanines as .alpha.4 integrin inhibitors

IN Porter, John Robert; Head, John Clifford; Warrellow, Graham John;
Archibald, Sarah Catherine

PA Celltech Therapeutics Limited, UK

SO PCT Int. Appl., 66 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE -----PΙ WO 2000032575 20000608 A1WO 1999-GB3986 19991129 W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI,

10077150.7

Page 90

SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM,

AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,

DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

GB 1998-26174 A 19981130

20010926 EP 1999-973020 19991129 EP 1135371 A1

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,

IE, SI, LT, LV, FI, RO

GB 1998-26174 A 19981130

WO 1999-GB3986 W 19991129

JP 2002531439 T2 20020924 JP 2000-585217 19991129

GB 1998-26174 A 19981130

WO 1999-GB3986 W 19991129

OS MARPAT 133:30662

IT273920-09-5P

> RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of N-heteroaroyl-.beta.-alanines as .alpha.4 integrin

inhibitors)

RN 273920-09-5 CAPLUS

Benzenepropanoic acid, .beta.-[(2,1,3-benzoxadiazol-4-ylcarbonyl)amino]-4-CN[[(3,5-dichloro-4-pyridinyl)carbonyl]amino]- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

GI

AB R4ZZ1Z2CHR1CRR5R6 [I; R = (un)derivatized CO2H; R1 = NHR3, NHSO2R3, NHCOR3, etc.; R3 = aliph. group, (hetero)aryl, etc.; R4 = (un)substituted (hetero)aryl; R5,R6 = H, halo, alkyl, alkoxy, etc.,; Z = bond, (un)substituted (hetero)aliph. chain (sic); Z1 = bond, O, (alkyl)imino, CONH, CO2H, etc.; Z2 = (un)substituted phenylene, pyridinediyl, pyrazinediyl, etc.] were prepd. Thus, 4-(H2N)C6H4CH(NHCO2CMe3)CH2CO2Me (prepn. given) was amidated by 3,5-dichloroisonicotinoyl chloride and the deprotected product amidated by 2-chloronicotinic acid to give, after sapon., title compd. II. Data for biol. activity of I were given.

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d 140 fbib hitstr abs total

L40 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2003 ACS

AN 2003:282533 CAPLUS

DN 138:304304

TI Preparation of difluoroalkene derivatives as pest control agents containing the same, and intermediate therefor

IN Abe, Tetsuya; Tamai, Ryuji; Ito, Minoru; Tamaru, Masatoshi; Yano, Hiroyuki; Takahashi, Satoru; Muramatsu, Norimichi

PA Kumiai Chemical Industry Co., Ltd., Japan; Ihara Chemical Industry Co., Ltd.

SO PCT Int. Appl., 195 pp. CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

Patel

<5/18/2003>

GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

Page 92

JP 2001-299687 A 20010928 JP 2002-142329 A 20020517

OS MARPAT 138:304304

IT 509098-35-5P 509098-56-0P 509100-31-6P

RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of difluoroalkenyl heterocyclecarboxylate and -thiocarboxylates as pest control agents such as insecticides, acaricides, and nematocides)

RN 509098-35-5 CAPLUS

CN 2,1,3-Benzothiadiazole-5-carboxylic acid, 6,6-difluoro-5-methyl-5-hexenyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{CF2} & \text{O} \\ \parallel & \parallel \\ \text{Me-C-(CH2)_4-O-C} \end{array}$$

RN 509098-56-0 CAPLUS

CN 2H-Benzotriazole-5-carboxylic acid, 2-(6,6-difluoro-5-methyl-5-hexenyl)-, 6,6-difluoro-5-methyl-5-hexenyl ester (9CI) (CA INDEX NAME)

RN 509100-31-6 CAPLUS

CN 6-Quinoxalinecarboxylic acid, 4,4-difluoro-3-methyl-3-butenyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{CF2} & \text{O} \\ \| & \text{Me-C-CH}_2\text{-CH}_2\text{-O-C} \\ \end{array}$$

IT 175204-21-4

RL: RCT (Reactant); RACT (Reactant or reagent)
 (prepn. of difluoroalkenyl heterocyclecarboxylate and -thiocarboxylates
 as pest control agents such as insecticides, acaricides, and
 nematocides)

RN 175204-21-4 CAPLUS

CN 2,1,3-Benzothiadiazole-5-carboxylic acid, methyl ester (9CI) (CA INDEX NAME)

AΒ The difluoroalkenyl heterocyclecarboxylate, -thiocarboxylates, or dithiocarboxylate derivs. represented by the general formula Q-C(:L1)-L2-(CH2)n-C(CF3):CF2 or pharmacol. acceptable salts thereof (wherein L1 and L2 are the same or different and each represents oxygen or sulfur; n is an integer of 2 to 8; and Q represents an optionally substituted 5- to 12-membered heterocyclic group having any desired heteroatom selected among nitrogen, oxygen, and sulfur wherein the heteroatom in the heterocyclic ring is a nitrogen, it may be oxidized to N-oxide), which are useful as insecticides, acaricides, and nematocides, are prepd. These compds. are sufficiently effective in controlling various pests even when used in a small dose and are highly safe for crops, natural enemies to the pests, and animals. Thus, 4-phenyl-1,2,3-thiadiazole-5-carboxylic acid 0.23, 6,6-difluoro-5-methyl-5hexenol 0.17, and 4-dimethylaminopyridine 0.13 g were dissolved in 4 mL CH2Cl2, treated with 0.29 g 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride at room temp., and stirred for 20 h to give 6,6-difluoro-5-methyl-5-hexenyl 4-phenyl-1,2,3-thiadiazole-5-carboxylate (I). I and 4,4-difluoro-3-methyl-3-butenyl 6-butoxy-2-methylpyrimidine-4carboxylate at 500 ppm controlled .gtoreq.90% 4th instar larvae of Nilaparvata lugens.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L40 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2003 ACS

- AN 2000:772615 CAPLUS
- DN 133:335247
- TI Preparation of triazinamines, thiazolamines, and benzo[2,3]thiepino[4,5-d][1,3]thiazol-2-ylamines as selective NPY (Y5) antagonists
- IN Marzabadi, Mohammad R.; Wong, Wai C.; Noble, Stewart A.; Desai, Mahesh N.
- PA Synaptic Pharmaceutical Corporation, USA
- SO PCT Int. Appl., 291 pp. CODEN: PIXXD2

```
DT
     Patent
LΑ
     English
FAN.CNT 2
                     KIND DATE
                                           APPLICATION NO. DATE
     PATENT NO.
     -----
                                            -----
                                           WO 2000-US10784 20000421
     WO 2000064880
                      A1 20001102
PΙ
         W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
             DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
             CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                             US 1999-296332 A219990422
                                             US 1999-343762 A219990630
                                             US 1999-343994 A219990630
     US 6340683
                             20020122
                                             US 1999-296332
                                                              19990422
                      B1
     US 6124331
                             20000926
                                             US 1999-343994
                       Α
                                                              19990630
     US 6218408
                       B1
                             20010417
                                             US 1999-343762
                                                              19990630
     EP 1183245
                                             EP 2000-923566 20000421
                      A1
                             20020306
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO
                                             US 1999-296332 A 19990422
                                             US 1999-343762 A 19990630
                                             US 1999-343994 A 19990630
                                             WO 2000-US10784W 20000421
     JP 2002543067
                       T2
                             20021217
                                             JP 2000-613833 20000421
                                             US 1999-296332 A 19990422
                                             US 1999-343762 A 19990630
                                             US 1999-343994 A 19990630
                                             WO 2000-US10784W 20000421
     US 2002103201
                             20020801
                        A1
                                             US 2002-37859
                                                              20020103
                                             US 1999-296332 A119990422
PATENT FAMILY INFORMATION:
FAN 2000:687964
     PATENT NO.
                      KIND DATE
                                           APPLICATION NO. DATE
     -----
                                             -----
     US 6124331
                     A
A1
PΙ
                             20000926
                                           US 1999-343994 19990630
                                           WO 2000-US10784 20000421
     WO 2000064880
                             20001102
             AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,
             RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
             DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
             CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                             US 1999-296332 A219990422
                                             US 1999-343762 A219990630
                                             US 1999-343994 A219990630
     EP 1183245
                      A1
                             20020306
                                             EP 2000-923566 20000421
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO
                                             US 1999-296332 A 19990422
                                             US 1999-343762 A 19990630
```

10077150.7

Page 95

US 1999-343994 A 19990630 WO 2000-US10784W 20000421 20000421 JP 2002543067 T2 20021217 JP 2000-613833 US 1999-296332 A 19990422 US 1999-343762 A 19990630 US 1999-343994 A 19990630 WO 2000-US10784W 20000421 OS MARPAT 133:335247 IT 296270-08-1P, N-[6-(4,5-Dihydrobenzo[2,3]thiepino[4,5d] [1,3] thiazol-2-ylamino) hexyl] -2,1,3-benzothiadiazole -4-sulfonamide 296270-14-9P, N-[[4-(4,5-Dihydrobenzo[2,3]thiepino[4,5-d][1,3]thiazol-2-ylamino)cyclohexyl]methyl]-2,1,3-benzothiadiazole-4-sulfonamide 304006-08-4P, N-[4-[[4,6-Di(ethylamino)-1,3,5-triazin-2-yl]aminomethyl]cyclohexyl]methyl-2,1,3-benzothiadiazole-5-sulfonamide 304008-38-6P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of triazinamines, thiazolamines, and benzo[2,3]thiepino[4,5d][1,3]thiazol-2-ylamine selective NPY (Y5) antagonists via various synthetic routes) RN 296270-08-1 CAPLUS CN 2,1,3-Benzothiadiazole-4-sulfonamide, N-[6-[(4,5dihydro[1]benzothiepino[5,4-d]thiazol-2-yl)amino]hexyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
 & N & S \\
 & N & N \\
 &$$

RN 304006-08-4 CAPLUS

CN 2,1,3-Benzothiadiazole-5-sulfonamide, N-[[4-[[[4,6-bis(ethylamino)-1,3,5-triazin-2-yl]amino]methyl]cyclohexyl]methyl]- (9CI) (CA INDEX NAME)

RN 304008-38-6 CAPLUS

CN 2,1,3-Benzothiadiazole-4-sulfonamide, N-[[trans-4-[(4,5-dihydro[1]benzothiepino[5,4-d]thiazol-2-yl)amino]cyclohexyl]methyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

The title compds. (I), (II), and (III) [wherein R1 = halo, NR3R4, or AB (un) substituted Ph or heteroaryl; R2 = NR3R4; R3 and R4 = independently H, hydroxyalkyl, thioalkyl, alkoxyalkyl, alkylthioalkyl, (thio)carbamoylalkyl, carboxyalkyl, aminoalkyl, cyanoalkyl, (thio)acyl, (cyclo)alkyl, (cyclo)alkenyl, alkynyl, or (un)subsituted phenyl(alkyl) or heteroarylalkyl; or R3 and R4 taken together with the N to which they are attached = (un) substituted azetidinyl, pyrrolidinyl, piperidinyl, azepanyl, (thio)morpholinyl, oxazepanyl, thiazepanyl, piperazinyl, or diazepanyl; R5 = substituted amino(alkyl)cyclohexyl(alkyl)amino, amino(alkyl)piperidinyl, piperidinyl(alkyl)amino, piperazinyl, etc.; Y = O,S, or NH; Ar = (un)substituted heteroaryl; R6 = H, alkyl, hydroxyalkyl, alkoxyalkyl, or (un) substituted Ph; R7 = substituted aminoalkylamino or amino(alky1) cyclohexyl(alky1) amino; B = O, NH, or S; X = S, S(O), or SO2; R8 = H or alkyl; R9 = H, halo, CN, OH, NO2, amino, sulfo, hydroxyalkyl, alkoxyalkyl, carbamoylalkyl, akylaminoaklyl, polyfluoroalkly, or (amino)alkyl; m = 0-1; n = 1-2] were prepd. as selective antagonists for the neurotransmitter neuropeptide Y (Y5) receptor. For example, reaction of N-[[4-(aminomethyl)cyclohexyl]methyl]-1-naphthalenesulfonamide with 2,4-dichloro-6-(isopropylamino)triazine afforded the triazinediamine (IV) in 60% yield. Assays of IV against cloned human NPY receptors showed selectivity for NPY (Y5) with a Ki of 138 nM compared to values of > 100,000 nM for NPY (Y1), (Y2), and (Y4). The functional in vitro activity for IV, characterized using a RIA of cAMP, was also detd. (pKb = 6.0). I are useful for the treatment of obesity, bulimia nervosa, sexual/reproductive disorders, depression, epileptic seizure, hypertension, cerebral hemorrhage, congestive heart failure, sleep disturbances, or any condition in which antagonism of the Y5 receptor may be beneficial.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d 141 fbib hitstr abs total

```
L41 ANSWER 1 OF 39 CAPLUS COPYRIGHT 2003 ACS AN 2003:356073 CAPLUS
```

TI Insect repellent sunscreen compositions containing benzotriazole derivatives as light protecting agents

IN Goeppel, Anja

PA Beiersdorf AG, Germany

SO Eur. Pat. Appl., 23 pp. CODEN: EPXXDW

DT Patent

LA German

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE

PI EP 1308153 A2 20030507 EP 2002-23341 20021018

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK

DE 2001-10154111A 20011103

IT 155633-54-8, Phenol, 2-(2H-benzotriazol-2-yl)-4-methyl-6-[2-methyl3-[1,3,3,3-tetramethyl-1-[(trimethylsilyl)oxy]disiloxanyl]propyl]RL: COS (Cosmetic use); BIOL (Biological study); USES (Uses)
 (insect repellent sunscreen compns. contg. benzotriazole
 derivs. as light protecting agents)

RN 155633-54-8 CAPLUS

CN Phenol, 2-(2H-benzotriazol-2-yl)-4-methyl-6-[2-methyl-3-[1,3,3,3tetramethyl-1-[(trimethylsilyl)oxy]disiloxanyl]propyl]- (9CI) (CA INDEX NAME)

AB The invention concerns cosmetic and dermatol. compns. that contain at least one insect and/or spider repellent, sunscreens that are selected from the group of benzotriazole derivs. and addnl. UVA filters. Thus a formulation contained (wt./wt.%): Glycerin monostearate SE 0.50; glyceryl stearate citrate 2.00; PEG-100 stearate 0.50; cetyl alc. 2,50; disodium phenyldibenzimidazole tetrasulfonate 2.50; 4-methylbenzydilene camphor 4.00; diethylhexylbutamidotriazone 1.00; phenylbenzimidazole sulfonic acid 0.50; methylene bis-benzotriazolyl tetramethylbutylphenol 2.00; Repellent 3535 5.0; Titanium dioxide 1.00; butyleneqlycol dicaprylate/dicaprate 5.00; cyclomethicone 2.00; PVP hexadecene copolymer 0.50; glycerin 3.00; Xanthan gum 0.15; Vitamin E acetate 0.50; methylparaben 0.15; phenoxyethanol 1.00; perfume 0.20; water to 100.

```
L41 ANSWER 2 OF 39 CAPLUS COPYRIGHT 2003 ACS
```

AN2003:297607 CAPLUS

DN 138:308959

ΤI Compositions for giving the skin a natural suntan coloration based on Monascus-type pigments

IN Forestier, Serge; Candau, Didier; Seyler, Nathalie; Elguidj, Irene

PA L'Oreal, Fr.

SO Eur. Pat. Appl., 16 pp.

CODEN: EPXXDW

DT Patent

LΑ French

FAN.	CNT 1						
	PATENT NO.	KIND DATE	APPLICATION NO.	DATE			
ΡI	EP 1302199	A2 20030416	EP 2002-292395	20020927			
	R: AT, BE,	CH, DE, DK, ES, FR,	GB, GR, IT, LI, LU	, NL, SE, MC, PT,			
	IE, SI,	LT, LV, FI, RO, MK,	CY, AL, TR, BG, CZ	, EE, SK			
			FR 2001-13334 A	20011016			
			FR 2001-13335 A	20011016			
			FR 2001-13336 A	20011016			
	FR 2830755	A1 20030418	FR 2001-13334	20011016			
	FR 2830756	A1 20030418	FR 2001-13335	20011016			
	FR 2830757	A1 20030418	FR 2001-13336	20011016			
os	MARPAT 138:30895	59					
ΙT	155633-54-8, Dro	ometrizole Trisiloxan	ne				
	DI. COC /Compt	id udol . DIOI /Diolo	-i1 TICDO	/ 7 7 m m \			

RL: COS (Cosmetic use); BIOL (Biological study); USES (Uses) (compns. for giving skin natural suntan coloration based on Monascus-type pigments)

RN 155633-54-8 CAPLUS

CN Phenol, 2-(2H-benzotriazol-2-yl)-4-methyl-6-[2-methyl-3-[1,3,3,3-

tetramethyl-1-[(trimethylsilyl)oxy]disiloxanyl]propyl]- (9CI) (CA INDEX NAME)

AB Cosmetic compns. for giving the skin a natural suntan coloration based on Monascus-type pigments are claimed. A cosmetic compn. contained Monascus anka pigment 1.00, abs. ethanol 49.50, propylene glycol 24.75, and water 24.75 g.

```
L41 ANSWER 3 OF 39 CAPLUS COPYRIGHT 2003 ACS
```

- AN 2003:282533 CAPLUS
- DN 138:304304
- TI Preparation of difluoroalkene derivatives as pest control agents containing the same, and intermediate therefor
- IN Abe, Tetsuya; Tamai, Ryuji; Ito, Minoru; Tamaru, Masatoshi; Yano, Hiroyuki; Takahashi, Satoru; Muramatsu, Norimichi
- PA Kumiai Chemical Industry Co., Ltd., Japan; Ihara Chemical Industry Co., Ltd.
- SO PCT Int. Appl., 195 pp. CODEN: PIXXD2
- DT Patent
- LA Japanese

FAN. CNT 1

PAM.	CMI	Τ.																	
	PAT	CENT :	NO.		KI	ND	DATE			Α	PPLI	CATI	ON NO	o. :	DATE				
		-								_		- -							
ΡI	WO 2003029211				A1 20030410				W	0 20	02-J	P101	42	2002	0930				
		W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,	
			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,	
			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,	
			PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TN,	TR,	TT,	TZ,	
							VC,												
				TJ,														·	
		RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AT,	BE,	BG,	
			CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	
			PT,	SE,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	
			ΝE,	SN,	TD,	TG													
										J.	P 20	01-2	9968	7 A	2001	0928			

OS MARPAT 138:304304

IT 509098-35-5P 509098-56-0P 509100-31-6P

RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of difluoroalkenyl heterocyclecarboxylate and -thiocarboxylates as pest control agents such as insecticides, acaricides, and nematocides)

RN 509098-35-5 CAPLUS

JP 2002-142329 A 20020517

CN 2,1,3-Benzothiadiazole-5-carboxylic acid, 6,6-difluoro-5-methyl-5-hexenyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} CF_2 & O \\ \parallel & \parallel \\ Me^- C^- (CH_2)_4 - O^- C & N \\ \hline \end{array}$$

RN 509098-56-0 CAPLUS

CN 2H-Benzotriazole-5-carboxylic acid, 2-(6,6-difluoro-5-methyl-5-hexenyl)-, 6,6-difluoro-5-methyl-5-hexenyl ester (9CI) (CA INDEX NAME)

RN 509100-31-6 CAPLUS

CN 6-Quinoxalinecarboxylic acid, 4,4-difluoro-3-methyl-3-butenyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c} \operatorname{CF_2} & \operatorname{O} \\ \| & \| \\ \operatorname{Me-C-CH_2-CH_2-O-C} \end{array}$$

IT 175204-21-4

RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of difluoroalkenyl heterocyclecarboxylate and -thiocarboxylates
as pest control agents such as insecticides, acaricides, and
nematocides)

RN 175204-21-4 CAPLUS

CN 2,1,3-Benzothiadiazole-5-carboxylic acid, methyl ester (9CI) (CA INDEX NAME)

Patel

AB The difluoroalkenyl heterocyclecarboxylate, -thiocarboxylates, or dithiocarboxylate derivs. represented by the general formula Q-C(:L1)-L2-(CH2)n-C(CF3):CF2 or pharmacol. acceptable salts thereof (wherein L1 and L2 are the same or different and each represents oxygen or sulfur; n is an integer of 2 to 8; and Q represents an optionally substituted 5- to 12-membered heterocyclic group having any desired heteroatom selected among nitrogen, oxygen, and sulfur wherein the heteroatom in the heterocyclic ring is a nitrogen, it may be oxidized to N-oxide), which are useful as insecticides, acaricides, and nematocides, are prepd. These compds. are sufficiently effective in controlling various pests even when used in a small dose and are highly safe for crops, natural enemies to the pests, and animals. Thus, 4-phenyl-1,2,3-thiadiazole-5-carboxylic acid 0.23, 6,6-difluoro-5-methyl-5hexenol 0.17, and 4-dimethylaminopyridine 0.13 g were dissolved in 4 mL CH2Cl2, treated with 0.29 g 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride at room temp., and stirred for 20 h to give 6,6-difluoro-5-methyl-5-hexenyl 4-phenyl-1,2,3-thiadiazole-5-carboxylate (I). I and 4,4-difluoro-3-methyl-3-butenyl 6-butoxy-2-methylpyrimidine-4carboxylate at 500 ppm controlled .gtoreq.90% 4th instar larvae of Nilaparvata lugens.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L41 ANSWER 4 OF 39 CAPLUS COPYRIGHT 2003 ACS
AN 2003:202439 CAPLUS
DN 138:226400
TI Stabilisation of oxidation-sensitive and UV-sensitive active ingredients with dialkylnaphthalates in cosmetic formulations containing lipids
IN Wendel, Volker; Goeppel, Anja; Heinsohn, Guido
PA Beiersdorf A.-G., Germany
SO PCT Int. Appl., 40 pp.
CODEN: PIXXD2
```

DT Patent

LA German

FAN.CNT 1

PΙ

```
PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2003020234 A1 20030313 WO 2002-EP9310 20020821

W: US

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT,

LU, MC, NL, PT, SE, SK, TR
```

DE 10141475 A1 20030320 DE 2001-10141475A 20010829
DE 10141475 A1 20030320 DE 2001-10141475 20010829

OS MARPAT 138:226400

IT 155633-54-8, Drometrizole trisiloxane
RL: COS (Cosmetic use); BIOL (Biological study); USES (Uses)
(stabilization of oxidn.-sensitive and UV-sensitive active ingredients with dialkylnaphthalates in cosmetic formulations contq. lipids)

RN 155633-54-8 CAPLUS

CN Phenol, 2-(2H-benzotriazol-2-yl)-4-methyl-6-[2-methyl-3-[1,3,3,3-tetramethyl-1-[(trimethylsilyl)oxy]disiloxanyl]propyl]- (9CI) (CA INDEX NAME)

Ι

GI

AB The invention relates to cosmetic and dermatol. formulations comprising at least one oxidn.-sensitive and/or UV-sensitive active ingredient. The formulations are characterized in that they contain (a) at least one stabilizer from the group of the dialkylnaphthalates with structural formula (I), wherein R1 and R2 are selected independently from each other from the group of branched and unbranched C6-C24-alkyl groups, and (b) at least one lipid with a max. polarity of 30 mN/m. The compns. contain further cosmetic substances, e.g. Coenzyme Q10, Vitamins A and E, liponic acid and carotenes. Thus a O/W sunscreen lotion contained (wt./wt.%): glycerin monostearate 0.50; glyceryl stearate citrate 2.00; PEG-40 stearate 0.50; cetyl alc. 2.50; ethylhexyl triazone 4.00; octocrylene 10.0; diethylhexyl butamido triazone 1.00; phenylbenzimidazole sulfonic acid 0.50; bioctyl triazole 2.00; diethylhexyl-2,6-naphthalate 10.0; titanium dioxide 1.00; butylene glycol dicaprylate/dicaprate 5.00; cyclomethicone 2.00; PVP-hexadecene copolymer 0.50; glycerin 3.00; xanthan gum 0.15; Vitamin E 0.50; styrene-acrylate copolymer 0.80; EDTA 0.20; methylparaben 0.15; phenoxyethanol 1.00; perfume 0.20; water to 100.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L41 ANSWER 5 OF 39 CAPLUS COPYRIGHT 2003 ACS
```

AN 2003:202438 CAPLUS

DN 138:226399

TI Stabilisation of UV-sensitive active ingredients with dialkylnaphthalates in cosmetic preparations

IN Wendel, Volker; Goeppel, Anja

PA Beiersdorf A.-G., Germany

SO PCT Int. Appl., 32 pp.

CODEN: PIXXD2

DT Patent

LA German

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE

ΡI WO 2003020233 A2 20030313 WO 2002-EP9309 20020821 W: US RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR DE 2001-10141474A 20010829 DE 10141474 20030320 DE 2001-10141474 20010829 Α1 OS MARPAT 138:226399 IT 155633-54-8, Drometrizole trisiloxane RL: COS (Cosmetic use); BIOL (Biological study); USES (Uses) (stabilization of UV-sensitive active ingredients with dialkylnaphthalates in cosmetic prepns.)

RN 155633-54-8 CAPLUS

CN Phenol, 2-(2H-benzotriazol-2-yl)-4-methyl-6-[2-methyl-3-[1,3,3,3-tetramethyl-1-[(trimethylsilyl)oxy]disiloxanyl]propyl]- (9CI) (CA INDEX NAME)

Ι

GΙ

AB The invention relates to cosmetic and dermatol. formulations comprising at least one UV sensitive active ingredient; at least one dialkylnaphthalate of structural formula (I), wherein R1 and R2 are selected independently from each other from the group of branched and unbranched C6-C24-alkyl groups; and at least one emulsifier, selected from the group of phosphate and/or sulfate emulsifiers. The compns. contain vitamins and .alpha.-glucosylrutin. Thus a O/W sunscreen lotion contained (wt./wt.%): glycerin monostearate 0.50; glyceryl stearate citrate 2.00; PEG-40 stearate 0.50; cetyl phosphate 0.50; cetearyl sulfate 1.00; cetyl alc. 2.50; butylmethoxy dibenzoyl methane 3.00; ethylhexyl triazone 4.00; octocrylene 10.0; diethylhexyl butamido triazone 1.00; phenylbenzimidazole sulfonic acid 0.50; bis octyl triazole 2.00; diethylhexyl-2,6-naphthalate 10.0; titanium dioxide 1.00; butylene glycol dicaprylate/dicaprate 5.00; cyclomethicone 2.00; PVP-hexadecene copolymer 0.50; glycerin 3.00; xanthan gum 0.15; Vitamin E 0.50; styrene-acrylate copolymer 0.80; EDTA 0.20; methylparaben 0.15; phenoxyethanol 1.00; perfume 0.20; water to 100.

Patel

L41 ANSWER 6 OF 39 CAPLUS COPYRIGHT 2003 ACS

AN 2003:202429 CAPLUS

DN 138:226395

ΤI Stabilisation of oxidation-sensitive and UV-sensitive active ingredients with dialkylnaphthalates and thickening agents

Wendel, Volker; Goeppel, Anja IN

Beiersdorf A.-G., Germany PA

SO PCT Int. Appl., 48 pp. CODEN: PIXXD2

DT Patent

LΑ German

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE ----_____ -----PΙ WO 2003020224 A1 20030313 WO 2002-EP9375 20020822

W: US

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR

DE 2001-10141477A 20010829

DE 10141477 Α1 20030320 DE 2001-10141477 20010829

os MARPAT 138:226395

IT 155633-54-8, Drometrizole trisiloxane

> RL: COS (Cosmetic use); BIOL (Biological study); USES (Uses) (stabilization of oxidn.-sensitive and UV-sensitive active ingredients with dialkylnaphthalates and thickening agents)

RN 155633-54-8 CAPLUS

Phenol, 2-(2H-benzotriazol-2-yl)-4-methyl-6-[2-methyl-3-[1,3,3,3-CN tetramethyl-1-[(trimethylsilyl)oxy]disiloxanyl]propyl]- (9CI) (CA INDEX NAME)

Ι

GI

AΒ The invention relates to cosmetic and dermatol. formulations comprising at least one hydrophilic active ingredient, characterized in that they contain (a) at least one dialkylnaphthalate of structural formula (I),

Patel

wherein R1 and R2 are selected independently from each other from the group of branched and unbranched C6-C24-alkyl groups, and (b) at least one wax and/or oil thickening agent. The compns. contain further cosmetic substances, e.g. Coenzyme Q10, Vitamins A and E, liponic acid and carotenes. Thus an O/W sunscreen lotion contained (wt./wt.%): glycerin monostearate 0.50; glyceryl stearate citrate 2.00; PEG-40 stearate 0.50; cetyl alc. 2.50; bisimidazylate 2.50; ethylhexyl triazone 4.00; 4-methylbenzylidene camphor 4.00; diethylhexyl butamido triazone 1.00; phenylbenzimidazole sulfonic acid 0.50; bioctyl triazole 2.00; diethylhexyl-2,6-naphthalate 3.50; titanium dioxide 1.00; butylene glycol dicaprylate/dicaprate 5.00; cyclomethicone 2.00; C18-C36 triglyceride 2.00; PVP-hexadecene copolymer 0.50; glycerin 3.00; xanthan gum 0.15; Vitamin A 0.50; methylparaben 0.15; phenoxyethanol 1.00; perfume 0.20; water to 100.

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 7 OF 39 CAPLUS COPYRIGHT 2003 ACS

AN 2003:197075 CAPLUS

DN 138:209955

TI Sunscreen composition containing a dibenzoylmethane derivative and 1,1,1,-tris-(2-methyl-4-hydroxy-5-tert-butylphenyl)butane

IN Candau, Didier; Aubert, Fabien

PA L'oreal, Fr.

SO Fr. Demande, 24 pp.

CODEN: FRXXBL

DT Patent

LA French

FAN.CNT 1

	PATENT NO.	KIND DATE	APPLICATION NO.	DATE					
ΡI	FR 2828809	A1 20030228	FR 2001-11139	20010827					
	EP 1291008	A2 20030312	EP 2002-291957	20020802					
	EP 1291008	A3 20030402							
	R: AT, BE,	CH, DE, DK, ES, FR,	GB, GR, IT, LI, LU,	, NL, SE, MC, PT,					
	IE, SI,	LT, LV, FI, RO, MK,	CY, AL, TR, BG, CZ	, EE, SK					
			FR 2001-11139 A	20010827					
	JP 2003081803	A2 20030319	JP 2002-246935	20020827					
			FR 2001-11139 A	20010827					

OS MARPAT 138:209955

IT 155633-54-8, Drometrizole trisiloxane

RL: COS (Cosmetic use); BIOL (Biological study); USES (Uses) (sunscreen compn. contg. dibenzoylmethane deriv. and tris(methylhydroxybutylphenyl)butane)

RN 155633-54-8 CAPLUS

CN Phenol, 2-(2H-benzotriazol-2-yl)-4-methyl-6-[2-methyl-3-[1,3,3,3-tetramethyl-1-[(trimethylsilyl)oxy]disiloxanyl]propyl]- (9CI) (CA INDEX NAME)

AB A cosmetic or dermatol. compn. for the photoprotection of skin and hair comprises a UV filter dibenzoylmethane, and 1,1,1-tris-(2-methyl-4-hydroxy-5-tert-butylphenyl)butane. A process for improving the stability of compn. is also disclosed. Thus, a formulation contained Witconol TN 15.00, Parsol-1789 2, Structure-2001 0.45, preservative 1.20, and water qs to 100%.

L41 ANSWER 8 OF 39 CAPLUS COPYRIGHT 2003 ACS

AN 2003:130598 CAPLUS

DN 138:175549

TI Cosmetic and dermatological sunscreen compositions comprising benzotriazoles as UV filters and iminodisuccinic acid and/or its salts

IN Goeppel, Anja; Kranz, Ariane; Doerschner, Albrecht; Kroepke, Rainer

PA Beiersdorf Aktiengesellschaft, Germany

SO Eur. Pat. Appl., 21 pp.

CODEN: EPXXDW

DT Patent

LA German

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE ____ ------------ΡI EP 1284131 20030219 A1 EP 2002-17993 20020812 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK DE 2001-10140536A 20010817 DE 10140536 A1 20030227 DE 2001-10140536 20010817 155633-54-8, Phenol, 2-(2H-benzotriazol-2-yl)-4-methyl-6-[2-methyl-IΤ 3-[1,3,3,3-tetramethyl-1-[(trimethylsilyl)oxy]disiloxanyl]propyl]-

11 155633-54-8, Phenol, 2-(2H-Denzotriazol-2-yl)-4-methyl-6-[2-methyl-3-[1,3,3,3-tetramethyl-1-[(trimethylsilyl)oxy]disiloxanyl]propyl]RL: COS (Cosmetic use); BIOL (Biological study); USES (Uses)
(cosmetic and dermatol. sunscreen compns. comprising
benzotriazoles as UV filters and iminodisuccinic acid and/or its salts)

RN 155633-54-8 CAPLUS

CN Phenol, 2-(2H-benzotriazol-2-yl)-4-methyl-6-[2-methyl-3-[1,3,3,3-tetramethyl-1-[(trimethylsilyl)oxy]disiloxanyl]propyl]- (9CI) (CA INDEX NAME)

The invention concerns cosmetic and dermatol. sunscreen compns. that contain synergetic compns. of benzotriazoles and iminodisuccinic acid and/or its salts. The compns. further contain other UV-filters, .alpha.-glucosylrutin, Vitamin E or derivs. The compns. are also skin moisturizers and prevent skin from sun-related aging. Thus an O/W sunscreen emulsion contained (wt./wt.%): glyceryl monostearate SE 0.50; glyceryl stearate citrate 2.00; PEG-40 stearate 0.50; Tinosorb M 0.50; Bu methoxydibenzoyl methane 2.00; ethylhexyl triazone 4.00; 4-methylbenzylidene camphor 4.00; bisimidazylate 1.00; phenylbenzimidazole sulfonic acid 0.50; titanium dioxide 1.00; butyleneglycol dicaprylate/dicaprate 5.00; cyclomethicone 2.00; PVP-hexadecene copolymer 0.50; glycerin 3.00; xanthan gum 0.15; Vitamin E acetate 0.50; Baypure CX 100 0.30; EDTA 0.10; methylparaben 0.15; phenoxyethanol 1.00; perfume 0.20; water to 100.

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 9 OF 39 CAPLUS COPYRIGHT 2003 ACS

AN 2003:114221 CAPLUS

DN 138:158552

TI Cosmetic and dermatological light protection formulations containing of benzotriazole derivatives and latex particles

IN Schulz, Jens; Grundt, Wiebke; Knueppel, Anja

PA Beiersdorf AG, Germany

SO Ger. Offen., 36 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

		-																		
	PAT	CENT :	NO.		KII	ND	DATE			A	PPLI	CATI	N NC	Ο.	DATE					
							-	 -												
ΡI	DE	1013	8499		A.	1	2003	0213		D	E 20	01-1	0138	499	20010804					
	WO 2003013455				A.	2	20030220			M	20	02-E	P858:	2	2002	0801				
		W:	US																	
		RW:	ΑT,	ΒE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	ΙE,	ΙT,		
			LU,	MC,	NL,	PT,	SE,	SK,	TR											

IT 155633-54-8, Drometrizole trisiloxane

RL: COS (Cosmetic use); BIOL (Biological study); USES (Uses) (cosmetic and dermatol. light protection formulations contg. of benzotriazole derivs. and latex particles)

RN 155633-54-8 CAPLUS

CN Phenol, 2-(2H-benzotriazol-2-yl)-4-methyl-6-[2-methyl-3-[1,3,3,3-tetramethyl-1-[(trimethylsilyl)oxy]disiloxanyl]propyl]- (9CI) (CA INDEX NAME)

AB The invention concerns sunscreen emulsions that are synergic combinations

Patel

DE 2001-10138499A 20010804

of benzotriazole derivs. and latex particles of 100-400 .mu.m size; the compns. are sand repellent. The UVB protection factor is higher in compns. with latex particles than in those without latex particles. Latex particles include holes filled with water or air; UV filters are liq. Addnl. sunscreens from the group of triazine and camphor derivs., org. and inorg. pigments are included in the prepns. Further ingredients are .alpha.-glucosylrutin and Vitamin E. The compns. are oil-free. Thus an O/W sunscreen emulsion contained (wt./wt.%): glycerin monostearate SE 0.50; glyceryl stearate citrate 2.00; PEG 40 stearate 0.50; cetyl alc. 2.50; butylmethoxydibenzoyl methane 1.00; ethylhexyl triazone 4.00; 4-methylbenzylidene camphor 4.00; diethylhexyl butamido triazone 1.00; phenylbenzimidazole sulfonic acid 0.50; methylene bis-benzotriazolyl tetramethylbutyl phenol 2.00; titanium dioxide 1.00; butylene glycol 5.00; cyclomethicone 2.00 PVP-hexadecene copolymer 0.50; glycerin 3.00; Xanthan gum 0.15; Vitamin E acetate 0.50; acrylate-styrene copolymer 1.00; methylparaben 0.15; phenoxyethanol 1.00; perfume 0.20; water to 100.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L41 ANSWER 10 OF 39 CAPLUS COPYRIGHT 2003 ACS
```

AN 2003:54998 CAPLUS

DN 138:78205

TI Cosmetic compositions containing benzoazolyl, benzodiazolyl or benzotriazole derivatives as sunscreens and dihydroxyacetone (DHA) as skin-tanning agent

IN Knueppel, Anja; Eitrich, Anja

PA Beiersdorf AG, Germany

SO Eur. Pat. Appl., 18 pp.

CODEN: EPXXDW

DT Patent

LA German

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE --------------------PT EP 1277460 A2 20030122 EP 2002-15838 20020716 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK DE 2001-10135024A 20010718 DE 10135024 A1 20030424 DE 2001-10135024 20010718

IT 155633-54-8, Drometrizole trisiloxane

RL: COS (Cosmetic use); BIOL (Biological study); USES (Uses) (cosmetic compns. contg. benzoazolyl, benzodiazolyl or benzotriazole derivs. as sunscreens and dihydroxyacetone (DHA) as skin-tanning agent)

RN 155633-54-8 CAPLUS

CN Phenol, 2-(2H-benzotriazol-2-yl)-4-methyl-6-[2-methyl-3-[1,3,3,3-tetramethyl-1-[(trimethylsilyl)oxy]disiloxanyl]propyl]- (9CI) (CA INDEX NAME)

The invention concerns cosmetic prepns. that contain sunscreens selected from benzoazolyl, benzodiazolyl or benzotriazole derivs. and dihydroxyacetone (DHA) as skin-tanning agent. The compns. can further contain other sunscreens in form of org. or inorg. pigments. The cosmetics are used for preventing skin aging, sunburns and for tanning. Thus an O/W emulsion contained (wt./wt.%): dihydroxyacetone 4.0; glyceryl stearate citrate 2.0; cetyl phosphate 1.0; glyceryl lanolate 0.5; disodium Ph dibenzimidazole tetrasulfonate 3.0; methylene-bis-benztriazolyl tetra-Me butylphenol 3.0; ethylhexyl salicylate 4.0; diethylhexyl butamidotriazone 2.0; octyldodecanol 5.00; trisodium EDTA 1.00; Vitamin E acetate 0.50; iodopropynyl butylcarbamate 0.10; methylparaben 0.30; dyes (oil and water sol.) 0.01; trisodium EDTA 0.4; citrate buffer q.s.; perfume 0.3; water to 100.

```
L41 ANSWER 11 OF 39 CAPLUS COPYRIGHT 2003 ACS
```

AN 2003:4760 CAPLUS

DN 138:61066

TI Solubilization of 1,3,5-triazine

derivatives using n-acylamino acid esters

IN Candau, Didier

PA L'Oreal, Fr.

SO Eur. Pat. Appl., 25 pp.

CODEN: EPXXDW

DT Patent

LA French

FAN.CNT 1

	PATENT NO.					ND	DATE	APPLICATION NO.						DATE					
		- -		 -				- -											
ΡI	ΕP	1269	980		A.	1	2003	0102		EP	200	2-29	9155	4	20020621				
	R: AT, BE			ΒĒ,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,	
			ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR				•			
										FR	200	1-84	426	Α	2001	0626			
	FR	2826264			A.	A1 20021227				FR	200	1-84	426		2001	0626			
	US	6509008			B1 20030121			US	200	2-1	7833	9	2002	0625					
										FR 2001-8426			Α	2001	0626				
	JΡ	2003	0265	59	A.	2	2003	0129		JP	200	2-18	8619	5	2002	0626			
										FR 2001-8426			Α	2001	0626				
	CN 1394592			A 20030205			CN 2002-124447				7	2002	0626		•				
										FR	200	1-84	426	Α	2001	0626			

OS MARPAT 138:61066

IT 155633-54-8, -Drometrizole Trisiloxane

RL: COS (Cosmetic use); BIOL (Biological study); USES (Uses) (solubilization of triazine derivs. using acylamino acid esters)

RN 155633-54-8 CAPLUS

CN Phenol, 2-(2H-benzotriazol-2-yl)-4-methyl-6-[2-methyl-3-[1,3,3,3-tetramethyl-1-[(trimethylsilyl)oxy]disiloxanyl]propyl]- (9CI) (CA INDEX NAME)

AB N-acylamino acid esters are used for the solubilization of 1, 3,5-triazine derivs. in. Efficacy of N-acyl amino acid in increasing sun protection factor in sunscreen emulsions is described.

RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L41 ANSWER 12 OF 39 CAPLUS COPYRIGHT 2003 ACS
```

AN 2002:869496 CAPLUS

DN 137:363033

TI Peptidomimetic modulators of cell adhesion

IN Gour, Barbara J.; Blaschuk, Orest W.; Ali, Anmar; Ni, Feng; Chen, Zhigang; Michaud, Stephanie D.; Wang, Shoameng; Hu, Zenjian

PA Can.

SO U.S. Pat. Appl. Publ., 309 pp., Cont.-in-part of U.S. Ser. No. 491,078. CODEN: USXXCO

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	US 2002168761	A1	20021114	US 2001-769145	

PATENT FAMILY INFORMATION:

FAN 2001:545724

	PATENT NO.					ND DATE			APPLICATION NO. DATE										
ΡI	WO	2001	0533	31	1 A2			0726		WO 2001-US2508 20010124									
	WO	2001	0533	31	A.	3	2002	0711											
	WO	2001	0533	31	C	C2 20021031													
	W: AE, AG,			AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,	
			CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	GM,	HR,	
			HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,	
			LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NO,	NZ,	PL,	PT,	RO,	RU,	
															UG,	US,	UΖ,	VN,	
			YU,	ZA,	ZW,	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	TJ,	TM					
		RW:	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,	
			DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	ΙT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,	
			ΒJ,	CF,	CG,	CI,	CM,	GA,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG			
										US	5 20	00-49	91078	8 A	20000	0124			

OS MARPAT 137:363033

10077150.7

Page 111

4-[[2-(2,1,3-benzoxadiazol-5-yl)-4-thiazolyl]carbonyl]-351857-55-1, 4-Thiazolecarboxamide, 2-(2,1,3-benzoxadiazol-5-yl)-N-(2-pyridinylmethyl) - 351857-56-2, 4-Thiazolecarbothioic acid, 2-(2,1,3-benzoxadiazol-5-yl)-, S-(2,4-dichlorophenyl) ester 351857-57-3, 4-Thiazolecarbothioic acid, 2-(2,1,3-benzoxadiazol-5yl)-, S-phenyl ester 351857-58-4, Piperazine, 1-(2,1,3-benzoxadiazol-5-ylcarbonyl)-4-phenyl- 351857-70-0, 4-Thiazolecarboxylic acid, 2-[(2,1,3-benzoxadiazol-5-yloxy)methyl]-, 4-chlorophenyl ester 351858-16-7, 2,1,3-Benzoxadiazole, 5-[[4-(4-methoxyphenyl)-2-thiazolyl]methoxy]- 351858-17-8, 4-Thiazolecarboxamide, 2-[(2,1,3-benzoxadiazol-5-yloxy)methyl]-N-(4chlorophenyl) - 351858-60-1, 19-Norpregn-5-ene-20-carboxylic acid, 3-(acetyloxy)-, 2-[[(7-nitro-2,1,3-benzoxadiazol-4yl)methyl]amino]ethyl ester, (3.beta.,20S)-RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (peptidomimetic modulators of cadherin-mediated cell adhesion for therapeutic use in relation to three-dimensional structure)

188966-22-5 CAPLUS
Phenol, 2-(2H-benzotriazol-2-yl)-4-(1,1-dimethylhexyl)- (9CI) (CA INDEX

RN 351857-41-5 CAPLUS

CN 2,1,3-Benzoxadiazole-5-carboxamide, N-(2-phenylethyl)- (9CI) (CA INDEX NAME)

RN 351857-49-3 CAPLUS

CN Urea, N-[2-[(2,1,3-benzoxadiazol-5-ylmethyl)thio]phenyl]-N'-(2,4-dichlorophenyl)- (9CI) (CA INDEX NAME)

RN

CN

RN 351857-50-6 CAPLUS

CN 2-Thiophenecarboxamide, N-[2-[(2,1,3-benzoxadiazol-5-ylmethyl)thio]phenyl]-(9CI) (CA INDEX NAME)

RN 351857-54-0 CAPLUS

CN Morpholine, 4-[[2-(2,1,3-benzoxadiazol-5-yl)-4-thiazolyl]carbonyl]- (9CI) (CA INDEX NAME)

RN 351857-55-1 CAPLUS

CN 4-Thiazolecarboxamide, 2-(2,1,3-benzoxadiazol-5-yl)-N-(2-pyridinylmethyl)-(9CI) (CA INDEX NAME)

RN 351857-56-2 CAPLUS

CN 4-Thiazolecarbothioic acid, 2-(2,1,3-benzoxadiazol-5-yl)-, S-(2,4-dichlorophenyl) ester (9CI) (CA INDEX NAME)

RN 351857-57-3 CAPLUS

CN 4-Thiazolecarbothioic acid, 2-(2,1,3-benzoxadiazol-5-yl)-, S-phenyl ester (9CI) (CA INDEX NAME)

RN 351857-58-4 CAPLUS

CN Piperazine, 1-(2,1,3-benzoxadiazol-5-ylcarbonyl)-4-phenyl- (9CI) (CA INDEX NAME)

RN 351857-70-0 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2,1,3-benzoxadiazol-5-yloxy)methyl]-, 4-chlorophenyl ester (9CI) (CA INDEX NAME)

RN 351858-16-7 CAPLUS

CN 2,1,3-Benzoxadiazole, 5-[[4-(4-methoxyphenyl)-2-thiazolyl]methoxy]- (9CI) (CA INDEX NAME)

RN 351858-17-8 CAPLUS

CN 4-Thiazolecarboxamide, 2-[(2,1,3-benzoxadiazol-5-yloxy)methyl]-N-(4-chlorophenyl)- (9CI) (CA INDEX NAME)

RN 351858-60-1 CAPLUS

CN 19-Norpregn-5-ene-20-carboxylic acid, 3-(acetyloxy)-, 2-[[(7-nitro-2,1,3-benzoxadiazol-4-yl)methyl]amino]ethyl ester, (3.beta.,205)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

AB Peptidomimetics of cyclic peptides, and compns. comprising such peptidomimetics are provided. The peptidomimetics have a three-dimensional structure that is substantially similar to a three-dimensional structure of a cyclic peptide that comprises a cadherin cell adhesion recognition sequence HAV. Methods for using such peptidomimetics for modulating cadherin-mediated cell adhesion in a variety of contexts are also provided.

L41 ANSWER 13 OF 39 CAPLUS COPYRIGHT 2003 ACS

AN 2002:714121 CAPLUS

DN 137:237454

TI Use of sunscreen combinations in cosmetic and pharmaceutical preparations

IN Heidenfelder, Thomas; Tiefensee, Kirstin; Wuensch, Thomas

PA BASF Aktiengesellschaft, Germany

SO Eur. Pat. Appl., 27 pp.

Patel

CODEN: EPXXDW

DT Patent LA German

FAN.CNT 1

PAN.		TENT NO.	KIND	DATE	APPLICATION NO. DATE
PI		1240894 1240894	A2 A3		EP 2002-3206 20020219
		R: AT, BE	, CH, DE	C, DK, ES,	FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, MK, CY, AL, TR
	DE	10113058	A1	20020919	DE 2001-10113058A 20010315 DE 2001-10113058 20010315
	US	6488915	В1	20021203	US 2002-95224 20020312
	US	2002192167	A1	20021219	DE 2001-10113058A 20010315
	JP	2002308751	A2	20021023	JP 2002-69215 20020313
	ΔΙΙ	2002024613	A 5	20020919	DE 2001-10113058A 20010315 AU 2002-24613 20020314
	710	2002024015	AJ	20020717	DE 2001-10113058A 20010315
	BR	2002000839	Α	20030325	BR 2002-839 20020314
	~~~	1000100	_		DE 2001-10113058A 20010315
	CN	1382433	A	20021204	CN 2002-107541 20020315

OS MARPAT 137:237454

IT 439660-72-7

RL: COS (Cosmetic use); BIOL (Biological study); USES (Uses) (use of sunscreen combinations in cosmetic and pharmaceutical prepns.)

DE 2001-10113058A 20010315

RN 439660-72-7 CAPLUS

CN Phenol, 2-(2H-benzotriazol-2-yl)-4-methyl-6-[2-methyl-4,4-bis[(trimethylsilyl)oxy]pentyl]- (9CI) (CA INDEX NAME)

The invention concerns cosmetic and pharmaceutical prepns. that contain combinations of UV-A and UV-B sunscreens; UV-A screens are from the group of 2-(4-alkoxy-anilinomethylene)-malonic acid esters; UV-B screens are from the group of hydroxybenzophenone derivs., diarylbutadienes, 1,3,5-triazine derivs., benzotriazole derivs., siloxanes, benzimidazole derivs., and benzophenone derivs. Thus a lipstick prepn. contained (wt./wt.%): 2-(4-alkoxy-anilinomethylene)-malonic acid ester 5.00; hydroxybenzophenone deriv. 8.00; titanium dioxide 10.00; zinc oxide 5.00; castor oil 4.00; pentaerythrityl/stearate/caprate/caprylate adipate 4.00; Glyceryl Stearate SE 3.00; beeswax 2.00; microcryst. wax 2.00; quaternium -18 bentonite 2.00; PEG-45-dodecyl glycol copolymer 1.50; eucerinum anhydride to 100.

L41 ANSWER 14 OF 39 CAPLUS COPYRIGHT 2003 ACS

AN 2002:714057 CAPLUS

DN 137:218196

TI Preparation and use of a white, biaxially oriented, crystallizable, thermoplastic film with high whiteness and additional functionality

IN Murschall, Ursula; Kern, Ulrich; Oberlaender, Klaus; Kiehne, Thorsten

PA Mitsubishi Polyester Film Gmbh, Germany

SO Ger. Offen., 14 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

		_																
	PAT	CENT	NO.		KIND DATE			AP	PLIC	CATIO	N NC	Ο.	DATE					
												<b>-</b>						
ΡI	DE 10112493				A	1	2002	0919		DE	200	)1-1	0112	493	20010315			
	EP 1256597				A:	2	20021113			EP 2002-5255					20020311			
		R:	ΑT,	ΒE,	CH,	DE,	, DK,	ES,	FR,	GB, (	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
			ΙE,	SI,	LT,	LV	, FI,	RO,	MK,	CY, Z	AL,	TR						
										DE 2001-10112493A 20010315								
	JP 2002326279			79	A2 20021112				JP 2002-66967 20020312									
										DE 2001-10112493A 20010315						5		

IT 350511-31-8, 2,2'-Methylene-bis(6-(2H-benzotriazol-2-yl)-4-

(1,1,2,2-tetra-methylpropyl)-phenol RL: MOA (Modifier or additive use); USES (Uses)

(UV stabilizer; prepn. and use of a white, biaxially oriented crystallizable thermoplastic film with addnl. functionality)

RN 350511-31-8 CAPLUS

CN Phenol, 2,2'-methylenebis[6-(2H-benzotriazol-2-yl)-4-(1,1,2,2-tetramethylpropyl)- (9CI) (CA INDEX NAME)

The 10-500-.mu.m-thick title film comprising preferably a base layer B and two surface layers A and C, contains as main component a crystallizable thermoplastic such as poly(ethylene terephthalate) (PET), optionally bibenzene modified (PETBB), poly(ethylene naphthalate) (PEN), and/or poly(butylene terephthalate) (PBT), and 2.0-25.0 wt.% of .gtoreq.1 TiO2-pigment (rutile type) and .gtoreq.1 optical brightener as well as optionally .gtoreq.1 further additive such as UV stabilizers (0.01-5 wt.%), hydrolysis stabilizer (0.01-1 wt.%), and/or fireproofing agents (0.5-30 wt.%). The film is suitable for interior and exterior uses, for furniture, food packagings, as construction material, packaging material, laminate, for labels, signs or medical applications. The film may contain .ltoreq.30% recycled material without any neg. influence on its properties. The title film can be functionally coated on one or both sides, sealed, and/or corona-treated.

L41 ANSWER 15 OF 39 CAPLUS COPYRIGHT 2003 ACS

AN 2002:574879 CAPLUS

DN 137:145180

Cosmetic composition for treating keratinous materials comprising a ΤI cationic poly(alkyl) vinyllactam polymer and a protecting or conditioning Cottard, Francois; De La Mettrie, Roland IN L'Oreal, Fr. PΑ PCT Int. Appl., 66 pp. SO CODEN: PIXXD2 DT Patent LΑ French FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. DATE ____ ----------20020801 PΤ WO 2002058646 A1 WO 2002-FR251 20020122 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ. TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG FR 2001-1108 A 20010126 FR 2820030 Α1 20020802 FR 2001-1108 20010126 FR 2820030 B1 20030411 ΙT 155633-54-8 RL: COS (Cosmetic use); BIOL (Biological study); USES (Uses) (cosmetic compn. for treating keratinous materials comprising cationic poly(alkyl) vinyllactam polymer and protecting or conditioning agent)

Phenol, 2-(2H-benzotriazol-2-yl)-4-methyl-6-[2-methyl-3-[1,3,3,3-

tetramethyl-1-[(trimethylsilyl)oxy]disiloxanyl]propyl]- (9CI) (CA INDEX

155633-54-8 CAPLUS

RN

CN

NAME)

AB The invention concerns a compn. for treating keratinous materials, in particular hair, comprising, in a physiol. and in particular cosmetically acceptable medium, at least a protecting and conditioning agent, and addnl. at least a cationic poly(alkyl) vinyllactam polymer. Said combinations enable to improve deposition of the agent protecting or conditioning the keratinous materials and the cosmetic properties. A shampoo contained ethoxylated sodium lauryl sulfate 17, 30% cocoylbetaine 2.5, Polymer ACP-1234 (a quaternary ammonium acrylic polymer) 1, copra acid monoisopropanolamide 0.6, Uvinul MS40 0.1, perfume, preservatives and water q.s 100 q.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L41
     ANSWER 16 OF 39 CAPLUS COPYRIGHT 2003 ACS
     2002:555324 CAPLUS
AN
DN
     137:114247
ΤI
     Sunscreen compositions comprising a 1,3,5-
     triazine derivative and a tricarboxylic acid triester as solvent
IN
     Candau, Didier
     L'oreal, Fr.
PA
     PCT Int. Appl., 32 pp.
SO
     CODEN: PIXXD2
DT
     Patent
T.A
     French
FAN.CNT 1
     PATENT NO.
                       KIND DATE
                                               APPLICATION NO. DATE
                                               -----
                       ---- -----
PI
     WO 2002056851
                       A1
                              20020725
                                              WO 2002-FR78 20020110
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
              CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
              GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
              LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
              PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
              US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                               FR 2001-750
                                                             A 20010119
                                               FR 2001-750
     FR 2819717
                              20020726
                        Α1
                                                                 20010119
     FR 2819717
                        В1
                              20030314
OS
     MARPAT 137:114247
IT
     155633-54-8, Drometrizole trisiloxane
     RL: COS (Cosmetic use); BIOL (Biological study); USES (Uses)
         (sunscreen compns. comprising 1,3,5-
        triazine deriv. and tricarboxylic acid triester as solvent)
     155633-54-8 CAPLUS
RN
     Phenol, 2-(2H-benzotriazol-2-yl)-4-methyl-6-[2-methyl-3-[1,3,3,3-
CN
     tetramethyl-1-[(trimethylsilyl)oxy]disiloxanyl]propyl]- (9CI) (CA INDEX
     NAME)
```

The invention concerns novel cosmetic or dermatol. compns., in particular for solar protection of the skin and/or hair, exhibiting enhanced solar protection power, and characterized in that they comprise, in a cosmetically and/or dermatol. acceptable support: (i) at least a 1,3,5-triazine deriv. (filter); (ii) at least a tricarboxylic acid triester (solvent) in an amt. sufficient for solubilizing on its own said deriv. completely. The invention also concerns their use for protecting the skin, the lips, the eyelashes, the eyebrows, the nails against UV radiation effects. A sunscreen contained

Arlacel 165FL 1, cetyl alc. 0.5, Stearine TP 2.5, polydimethylsiloxane 0.5, tridecyl trimellitate 20, 2,4-bis{[(4-2-ethylhexyloxy)2hydroxy]phenyl}-6-(4-methoxyphenyl)-1,3,5triazine 5, glycerin 5, Pemulen TR1 1, hydroxypropyl Me cellulose 0.1, triethanolamine q.s. pH = 7, preservatives and water q.s. 100 q. RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT L41 ANSWER 17 OF 39 CAPLUS COPYRIGHT 2003 ACS ΝA 2002:487359 CAPLUS DM 137:52063 TΙ Sunscreen composition containing a 1,3,5triazine derivative, a dibenzoylmethane derivative, and a 4,4-diarylbutadiene compound IN Candau, Didier L'Oreal, Fr. PAPCT Int. Appl., 38 pp. SO CODEN: PIXXD2 DTPatent LA French FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. DATE -----A2 PΙ WO 2002049599 WO 2001-FR3639 20011120 20020627 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG FR 2000-16517 A 20001218 FR 2818126 20020621 FR 2000-16517 Α1 20001218 FR 2818126 В1 20030207 AU 2002018392 Α5 20020701 AU 2002-18392 20011120 FR 2000-16517 A 20001218 WO 2001-FR3639 W 20011120 OS MARPAT 137:52063 IT 155633-54-8, Drometrizole trisiloxane RL: COS (Cosmetic use); BIOL (Biological study); USES (Uses) (sunscreen compn. contg. triazine deriv., dibenzoylmethane deriv., and diarylbutadiene compd.) RN 155633-54-8 CAPLUS Phenol, 2-(2H-benzotriazol-2-yl)-4-methyl-6-[2-methyl-3-[1,3,3,3-CNtetramethyl-1-[(trimethylsilyl)oxy]disiloxanyl]propyl]- (9CI) (CA INDEX NAME)

AB The invention concerns a cosmetic or dermatol. compn., for topical use, in particular for skin and hair solar protection, characterized in that it comprises, in a cosmetically acceptable carrier: (a) at least a dibenzoylmethane deriv. and (b) at least a photosensitive 1, 3,5-triazine deriv. in the presence of a dibenzoylmethane deriv. and (c) at least a 4,4-diarylbutadiene diarylbutadiene compd., the wt. ratio of the 4,4-diarylbutadiene over the dibenzoylmethane deriv. being higher than 2.5 and said compn. not contq. cinnamate deriv. The invention also concerns a method for improving the light-stability of a photosensitive 1,3,5triazine deriv. in the presence of a UV filter of the dibenzoylmethane type which consists in adding to the triazine deriv./dibenzoylmethane deriv. combination an efficient amt. of at least a 4,4-diarylbutadiene compd. A sunscreen contained Arlacel 165 FL 2, Lanette-18 1, Stearine TP 2.5, polydimethylsiloxane 0.5, Witconol TN 20, a dibenzoylmethane sulfonate deriv. 6, Bu methoxydibenzoylmethane (Parsol 1789) 2, Et hexyl triazone (Uvinul T150) 5, glycerin 4, triethanolamine 0.8, polyacrylic acid 0.4, preservative and water q.s. 100 g.

```
L41 ANSWER 18 OF 39 CAPLUS COPYRIGHT 2003 ACS
```

AN 2002:487357 CAPLUS

DN 137:67911

ΤI Cosmetic sunscreen compositions based on a synergic mixture of UV filters and their uses

ΙN Candau, Didier

PAL'Oreal, Fr.

SO PCT Int. Appl., 65 pp.

CODEN: PIXXD2

DTPatent

LΑ French

```
FAN.CNT 1
     PATENT NO.
                       KIND
                             DATE
                                             APPLICATION NO.
                                                               DATE
                       ____
                             -----
                                             -----
PΙ
     WO 2002049597
                       A2
                             20020627
                                             WO 2001-FR3637 20011120
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA,
             UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                              FR 2000-16520 A 20001218
     FR 2818128
                             20020621
                        A1
                                             FR 2000-16520
                                                                20001218
     AU 2002018390
                        A5
                             20020701
                                             AU 2002-18390
                                                                20011120
                                             FR 2000-16520 A 20001218
                                             WO 2001-FR3637 W 20011120
```

Patel <5/18/2003> 10077150.7

Page 121

OS MARPAT 137:67911

IT 154778-80-0 155633-54-8, Drometrizole trisiloxane
162245-07-0

RL: COS (Cosmetic use); BIOL (Biological study); USES (Uses) (cosmetic sunscreen compns. based on synergic mixt. of UV filters and their uses)

RN 154778-80-0 CAPLUS

CN Phenol, 2,2'-methylenebis[6-(2H-benzotriazol-2-yl)-4-(1,1-dimethylethyl)-(9CI) (CA INDEX NAME)

RN 155633-54-8 CAPLUS

CN Phenol, 2-(2H-benzotriazol-2-yl)-4-methyl-6-[2-methyl-3-[1,3,3,3-tetramethyl-1-[(trimethylsilyl)oxy]disiloxanyl]propyl]- (9CI) (CA INDEX NAME)

RN 162245-07-0 CAPLUS

CN Methanone, [5-[[3-(2H-benzotriazol-2-yl)-2-hydroxy-5-(1,1,3,3-tetramethylbutyl)phenyl]methyl]-2-hydroxy-4-(octyloxy)phenyl]phenyl- (9CI) (CA INDEX NAME)

Me Me₃C-CH₂-C-Me O- (CH₂)₇-Me OH OH 
$$\sim$$
 OH  $\sim$  OH  $\sim$  OH  $\sim$  OH  $\sim$  OH  $\sim$  OH

AB The invention concerns novel cosmetic or dermatol. compns. for topical use, in particular for skin and hair protection, characterized in that they comprise, in a cosmetically acceptable carrier, at least: (a) an

insol. org. UV filter with particle size ranging between 10 nm and 5 .mu.m, as first filter and (b) a 4,4-diarylbutadiene compd. as second filter. The invention also concerns their uses for skin and hair protection against the effects of UV radiation. A sunscreen contained Arlacel 165 FL 2, Lanette-18 1, Stearine TP 2.5, polydimethylsiloxane 0.5, Witconol TN 20, an arylvinyl ketone deriv. 8, glycerin 4, triethanolamine 0.8, methylene bis-benzotriazolyl tetramethylbutylphenol (Tinosorb M) 5, polyacrylic acid 0.4, preservative and water q.s. 100 g.

L41 ANSWER 19 OF 39 CAPLUS COPYRIGHT 2003 ACS

AN 2002:330194 CAPLUS

DN 136:345488

TI Cosmetic composition containing a retinoid and a silicone benzotriazole

IN Martin, Guenaelle; Touzan, Philippe

PA L'oreal, Fr.

SO Eur. Pat. Appl., 17 pp.

CODEN: EPXXDW

DT Patent

LA French

FAN.CNT 1

		-																
	PATENT NO.			KIND DATE				APPLICATION NO.			DATE							
		<b></b>																
PI	EP 1201228		A1 20020		020502 EP		P 2001-402554		20011003									
		R: .	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
										CY,			•	•	•	•	•	•
										FR	200	00-13	3938	Α	2000	1030		
	FR	28158	57		A.	1	2002	0503		FR	200	00-13	3938		2000	1030		
	FR	28158	57		В:	1	2003	0214										
	CN	13508	39		Α		2002	0529		CN	200	1-13	37563	3	2001	1029		
										FR	200	00-13	3938	Α	2000	1030		
	JP	20021	7954	5	A2	2	2002	0626		JP	200	1-33	31598	3	2001	1029		
										FR	200	00-13	3938	Α	2000	1030		
	US	20020	8127	1	A.	1	2002	0627		US	200	1-98	34492	2	2001	1030		
										FR	200	00-13	3938	Α	2000	1030		

IT 155633-54-8

RL: COS (Cosmetic use); BIOL (Biological study); USES (Uses) (cosmetic compn. contg. retinoid and silicone benzotriazole)

RN 155633-54-8 CAPLUS

CN Phenol, 2-(2H-benzotriazol-2-yl)-4-methyl-6-[2-methyl-3-[1,3,3,3-tetramethyl-1-[(trimethylsilyl)oxy]disiloxanyl]propyl]- (9CI) (CA INDEX NAME)

AB Cosmetic compns. contg. a retinoid, e.g. retinol, and a silicone benzotriazole are are used for treatment or prevention of intrinsic or photo-induced skin aging. The silicone benzotriazole in the compn. can filter the UV without degrading the retinoids. A

Patel <5/18/2003>

cosmetic compn. contained PEG-100 stearate and glyceryl stearate 2.1, Polysorbate-60 0.9, cetyl alc. 2.6, hydrogenated polyisobutene 12, hexyldecanol 8, BHT 0.1, preservatives 0.70, a silicone benzotriazole 3, glycerin 3, pentasodium ethylenediamine tetramethylene phosphonic acid 0.07, xanthan gum 0.1, carbomer 0.4, triethanolamine 0.38, and water q.s. 100%.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 20 OF 39 CAPLUS COPYRIGHT 2003 ACS

AN 2001:831893 CAPLUS

DN 136:184461

TI Synthesis of new combined 2,2,6,6-tetramethylpiperidine-2hydroxyphenylbenzotriazole 1,3,5triazine derivatives as stabilizers for polymers

AU Bojinov, Vladimir B.; Grabchev, Ivo

CS Organic Synthesis Department, University of Chemical Technology and Metallurgy, Sofia, 1756, Bulg.

SO Polymer Degradation and Stability (2001), 74(3), 543-550 CODEN: PDSTDW; ISSN: 0141-3910

PB Elsevier Science Ltd.

DT Journal

LA English

IT 399017-99-3P 399018-00-9P 399018-01-0P 399018-02-1P 399018-03-2P 399018-04-3P

RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(monomer; synthesis of polymerizable 2,2,6,6-tetramethylpiperidine-2-hydroxyphenylbenzotriazole 1,3,5-

triazine derivs. as light stabilizers in prepn. of Me
methacrylate polymers)

RN 399017-99-3 CAPLUS

CN Phenol, 2-(2H-benzotriazol-2-yl)-4-methyl-6-[[4-(2-propenyloxy)-6-[(2,2,6,6-tetramethyl-4-piperidinyl)oxy]-1,3,5-triazin-2-yl]amino]- (9CI) (CA INDEX NAME)

RN 399018-00-9 CAPLUS

CN Phenol, 4-methyl-2-(5-methyl-2H-benzotriazol-2-yl)-6-[[4-(2-propenyloxy)-6-[(2,2,6,6-tetramethyl-4-piperidinyl)oxy]-1,3,5-triazin-2-yl]amino]- (9CI) (CA INDEX NAME)

Patel <5/18/2003>

RN 399018-01-0 CAPLUS

CN Phenol, 2-(2H-benzotriazol-2-yl)-4-methyl-6-[[4-[(1,2,2,6,6-pentamethyl-4-piperidinyl)oxy]-6-(2-propenyloxy)-1,3,5-triazin-2-yl]amino]- (9CI) (CA INDEX NAME)

RN 399018-02-1 CAPLUS

CN Phenol, 4-methyl-2-(5-methyl-2H-benzotriazol-2-yl)-6-[[4-[(1,2,2,6,6-pentamethyl-4-piperidinyl)oxy]-6-(2-propenyloxy)-1,3,5-triazin-2-yl]amino]-(9CI) (CA INDEX NAME)

RN 399018-03-2 CAPLUS

CN Phenol, 2-(2H-benzotriazol-2-yl)-6-[[4-chloro-6-(2-propenyloxy)-1,3,5-triazin-2-yl]amino]-4-methyl- (9CI) (CA INDEX NAME)

399018-04-3 CAPLUS RN

Phenol, 2-[[4-chloro-6-(2-propenyloxy)-1,3,5-triazin-2-yl]amino]-4-methyl-CN 6-(5-methyl-2H-benzotriazol-2-yl)- (9CI) (CA INDEX NAME)

IT 399017-98-2P

> RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis of polymerizable 2,2,6,6-tetramethylpiperidine-2-

hydroxyphenylbenzotriazole 1,3,5-

triazine derivs. as light stabilizers in prepn. of Me

methacrylate polymers)

RN 399017-98-2 CAPLUS

Phenol, 2-amino-4-methyl-6-(5-methyl-2H-benzotriazol-2-yl)- (9CI) CN INDEX NAME)

IT 399018-07-6P 399018-08-7P 399018-09-8P

399018-10-1P 399018-11-2P 399018-12-3P

399018-13-4P 399018-14-5P 399018-15-6P

399018-16-7P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (synthesis of polymerizable 2,2,6,6-tetramethylpiperidine-2-

hydroxyphenylbenzotriazole 1,3,5-

triazine derivs. as light stabilizers in prepn. of Me methacrylate polymers)

RN 399018-07-6 CAPLUS

CN2-Propenoic acid, 2-methyl-, methyl ester, polymer with

Patel <5/18/2003> 2-(2H-benzotriazol-2-yl)-6-[[4-chloro-6-(2-propenyloxy)-1,3,5-triazin-2-yl]amino]-4-methylphenol (9CI) (CA INDEX NAME)

CM 1

CRN 399018-03-2 CMF C19 H16 C1 N7 O2

CM 2

CRN 80-62-6 CMF C5 H8 O2

RN 399018-08-7 CAPLUS

CN 2-Propenoic acid, 2-methyl-, methyl ester, polymer with 2-[[4-chloro-6-(2-propenyloxy)-1,3,5-triazin-2-yl]amino]-4-methyl-6-(5-methyl-2H-benzotriazol-2-yl)phenol (9CI) (CA INDEX NAME)

CM 1

CRN 399018-04-3 CMF C20 H18 C1 N7 O2

CM 2

CRN 80-62-6 CMF C5 H8 O2

RN 399018-09-8 CAPLUS

CN 2-Propenoic acid, 2-methyl-, methyl ester, polymer with 2-(2H-benzotriazol-2-yl)-4-methyl-6-[[4-(2-propenyloxy)-6-[(2,2,6,6-tetramethyl-4-piperidinyl)oxy]-1,3,5-triazin-2-yl]amino]phenol (9CI) (CA INDEX NAME)

CM 1

CRN 399017-99-3 CMF C28 H34 N8 O3

CM 2

CRN 80-62-6 CMF C5 H8 O2

RN 399018-10-1 CAPLUS

CN 2-Propenoic acid, 2-methyl-, methyl ester, polymer with 4-methyl-2-(5-methyl-2H-benzotriazol-2-yl)-6-[[4-(2-propenyloxy)-6-[(2,2,6,6-tetramethyl-4-piperidinyl)oxy]-1,3,5-triazin-2-yl]amino]phenol (9CI) (CA INDEX NAME)

CM 1

CRN 399018-00-9 CMF C29 H36 N8 O3

Me Me Me Me 
$$H_2C = CH - CH_2 - O$$
 Me Me

CM 2

CRN 80-62-6 CMF C5 H8 O2

RN 399018-11-2 CAPLUS

CN 2-Propenoic acid, 2-methyl-, methyl ester, polymer with 2-(2H-benzotriazol-2-yl)-4-methyl-6-[[4-[(1,2,2,6,6-pentamethyl-4-piperidinyl)oxy]-6-(2-propenyloxy)-1,3,5-triazin-2-yl]amino]phenol (9CI) (CA INDEX NAME)

CM 1

CRN 399018-01-0 CMF C29 H36 N8 O3

CM 2

CRN 80-62-6 CMF C5 H8 O2

RN 399018-12-3 CAPLUS

CN 2-Propenoic acid, 2-methyl-, methyl ester, polymer with 4-methyl-2-(5-methyl-2H-benzotriazol-2-yl)-6-[[4-[(1,2,2,6,6-pentamethyl-4-piperidinyl)oxy]-6-(2-propenyloxy)-1,3,5-triazin-2-yl]amino]phenol (9CI) (CA INDEX NAME)

CM 1

CRN 399018-02-1 CMF C30 H38 N8 O3

CM 2

CRN 80-62-6 CMF C5 H8 O2

RN 399018-13-4 CAPLUS

CN 2-Propenoic acid, 2-methyl-, methyl ester, polymer with 2-(2H-benzotriazol-2-yl)-6-[[4-chloro-6-(2-propenyloxy)-1,3,5-triazin-2-yl]amino]-4-methylphenol and 2-chloro-4-(2-propenyloxy)-6-[(2,2,6,6-tetramethyl-4-piperidinyl)oxy]-1,3,5-triazine (9CI) (CA INDEX NAME)

CM 1

CRN 399018-03-2 CMF C19 H16 C1 N7 O2

CM 2

CRN 219320-48-6 CMF C15 H23 Cl N4 O2

CM 3

CRN 80-62-6 CMF C5 H8 O2

RN 399018-14-5 CAPLUS

CN 2-Propenoic acid, 2-methyl-, methyl ester, polymer with 2-chloro-4-(2-propenyloxy)-6-[(2,2,6,6-tetramethyl-4-piperidinyl)oxy]-1,3,5-triazine and 2-[[4-chloro-6-(2-propenyloxy)-1,3,5-triazin-2-yl]amino]-4-methyl-6-(5-methyl-2H-benzotriazol-2-yl)phenol (9CI) (CA INDEX NAME)

CM 1

CRN 399018-04-3 CMF C20 H18 Cl N7 O2

CM 2

CRN 219320-48-6 CMF C15 H23 Cl N4 O2

Me 
$$\stackrel{\text{Me}}{\underset{\text{HN}}{\longrightarrow}}$$
  $\stackrel{\text{C1}}{\underset{\text{N}}{\longrightarrow}}$   $\stackrel{\text{N}}{\underset{\text{N}}{\longrightarrow}}$   $\stackrel{\text{N}}{\underset{\text{N}}{\longrightarrow}}$   $\stackrel{\text{N}}{\underset{\text{N}}{\longrightarrow}}$   $\stackrel{\text{N}}{\underset{\text{N}}{\longrightarrow}}$   $\stackrel{\text{N}}{\underset{\text{N}}{\longrightarrow}}$   $\stackrel{\text{N}}{\underset{\text{N}}{\longrightarrow}}$ 

CM 3

CRN 80-62-6 CMF C5 H8 O2

RN 399018-15-6 CAPLUS

CN 2-Propenoic acid, 2-methyl-, methyl ester, polymer with 2-(2H-benzotriazol-2-yl)-6-[[4-chloro-6-(2-propenyloxy)-1,3,5-triazin-2-yl]amino]-4-methylphenol and 2-chloro-4-[(1,2,2,6,6-pentamethyl-4-piperidinyl)oxy]-6-(2-propenyloxy)-1,3,5-triazine (9CI) (CA INDEX NAME)

CM 1

CRN 399018-03-2 CMF C19 H16 C1 N7 O2

CM 2

CRN 399017-97-1 CMF C16 H25 Cl N4 O2

CM 3

CRN 80-62-6 CMF C5 H8 O2

RN 399018-16-7 CAPLUS

CN 2-Propenoic acid, 2-methyl-, methyl ester, polymer with

2-chloro-4-[(1,2,2,6,6-pentamethyl-4-piperidinyl)oxy]-6-(2-propenyloxy)
1,3,5-triazine and 2-[[4-chloro-6-(2-propenyloxy)-1,3,5-triazin-2
yl]amino]-4-methyl-6-(5-methyl-2H-benzotriazol-2-yl)phenol (9CI) (CA

INDEX NAME)

CM 1

CRN 399018-04-3 CMF C20 H18 Cl N7 O2

CM 2

CRN 399017-97-1 CMF C16 H25 Cl N4 O2

Me Me Me 
$$\sim$$
 Cl  $\sim$  Cl  $\sim$  Cl  $\sim$  CH₂-CH= $\sim$  CH₂

CM 3

CRN 80-62-6 CMF C5 H8 O2

AB New stabilizer compds. (a combination of 2,2,6,6-tetramethylpiperidine and 2-hydroxyphenylbenzotriazole in one mol.) were synthesized. Four polymerizable combined stabilizers as well as two unsatd. triazinyl-2,2,6,6-tetramethylpiperidines and two unsatd. triazinyl-2-hydroxyphenylbenzotriazoles as individual stabilizers were synthesized. Their copolymers and the ter-copolymers of the individual stabilizers with Me methacrylate were obtained. Chem. bonding of the stabilizers in the polymer was confirmed spectrophotometrically. The influence of these additives on the photostability of the copolymers was studied. The participation of the combined stabilizers in the polymn. did not significantly affect the mol. wt. or polydispersity of the copolymers. A significant stabilizing effect against photodegran. was found.

RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 21 OF 39 CAPLUS COPYRIGHT 2003 ACS

AN 2001:752426 CAPLUS

DN 136:151935

TI Influence of polymer matrixes on the photophysical properties of UV absorbers

AU Stein, Martin; Keck, Juergen; Waiblinger, Frank; Fluegge, Anja P.; Kramer, Horst E. A.; Hartschuh, Achim; Port, Helmut; Leppard, David; Rytz, Gerhard

CS Institut fuer Physikalische Chemie, Universitaet Stuttgart, Stuttgart, D-70569, Germany

SO Journal of Physical Chemistry A (2002), 106(10), 2055-2066 CODEN: JPCAFH; ISSN: 1089-5639

PB American Chemical Society

DT Journal

LA English

IT 381164-50-7

RL: PRP (Properties); RCT (Reactant); RACT (Reactant or reagent) (UV-absorbing monomer; reactivity ratio in radical polymn. with Me methacrylate and styrene)

RN 381164-50-7 CAPLUS

CN Benzeneacetic acid, 3-(2H-benzotriazol-2-yl)-5-(1,1-dimethylethyl)-4hydroxy-, 2-hydroxy-3-[(2-methyl-1-oxo-2-propenyl)oxy]propyl ester (9CI)
(CA INDEX NAME)

IT 381164-51-8P 381164-52-9P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)

(effect of polymer matrixes on photophys. properties of UV absorbers)  ${\tt RN} - 381164 - 51 - 8 - {\tt CAPLUS}$ 

CN Benzeneacetic acid, 3-(2H-benzotriazol-2-yl)-5-(1,1-dimethylethyl)-4-hydroxy-, 2-hydroxy-3-[(2-methyl-1-oxo-2-propenyl)oxy]propyl ester, polymer with methyl 2-methyl-2-propenoate (9CI) (CA INDEX NAME)

CM 1

CRN 381164-50-7 CMF C25 H29 N3 O6

CM 2

CRN 80-62-6 CMF C5 H8 O2

RN 381164-52-9 CAPLUS

CN Benzeneacetic acid, 3-(2H-benzotriazol-2-yl)-5-(1,1-dimethylethyl)-4-hydroxy-, 2-hydroxy-3-[(2-methyl-1-oxo-2-propenyl)oxy]propyl ester, polymer with ethenylbenzene (9CI) (CA INDEX NAME)

CM 1

CRN 381164-50-7 CMF C25 H29 N3 O6

CM 2

CRN 100-42-5 CMF C8 H8

 $H_2C = CH - Ph$ 

AB The copolymn. parameters for monomer pairs of the copolymerizable UV absorbers MA-TIN 1 (2-[2-hydroxy-3-tert-butyl-5-(0-[2-hydroxy-3-(2methylpropenoyloxy)propyl]-2-carbonyloxyethyl)phenyl]benzotriazole ) and MA-TZ 1 (2,4-bis(2,4-dimethylphenyl)-6-[2-hydroxy-4-(2-hydroxy-3-[2methylpropenoyloxy])propoxyphenyl]-1,3,5triazine) with styrene and Me methacrylate were detd. The UV absorbers were present to a higher extent in the copolymers than they are when simply present as mixts. of monomeric UV absorbers in the monomer feed. At higher temps., the radiationless deactivation from the excited proton-transferred singlet state becomes more efficient for the UV absorbers phys. mixed in the polymer than for the resp. polymeric UV absorbers. MA-TZ 1 embedded in poly(Me methacrylate) shows an equal increase of phosphorescence intensity with UV irradn. time as the decrease of the proton-transferred fluorescence. By combining fluorescence and phosphorescence measurements it becomes possible to est. the proportion of UV stabilizer mols. with an intermol. hydrogen bridge to poly (Me methacrylate) and which are not suitable for light protection of polymers at room temp. At low pressure and temp., the increase of light-induced phosphorescence was delayed. This "phosphorescence induction" phenomenon can be ascribed to the free vol. of polymer matrixes in which various UV absorbers have been incorporated. The emission spectroscopic results are applicable to products which are customary in trade, as shown by investigations on a clear coat binder system.

RE.CNT 83 THERE ARE 83 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L41 ANSWER 22 OF 39 CAPLUS COPYRIGHT 2003 ACS
```

AN 2001:574592 CAPLUS

DN 135:170485

TI UV-screening compositions containing a mono- or polycarboxylic naphthalenic acid derivative complex with a UV-screening hydroxyphenylbenzotriazole derivative

PA L'Oreal, Fr.

SO Fr. Demande, 27 pp.

CODEN: FRXXBL

DT Patent

LA French

FAN.CNT 1

	0111 1				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	FR 2801206	A1	20010525	FR 1999-14583	19991119
	FR 2801213	A1	20010525	FR 1999-16272	19991222
				FR 1999-14583 A	19991119

OS MARPAT 135:170485

IT 154778-80-0 155633-54-8 353274-51-8

RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)

(UV-screening compns. contg. mono- or polycarboxylic naphthalenic acid

deriv. complex with UV-screening hydroxyphenylbenzotriazole deriv.)

RN 154778-80-0 CAPLUS

CN Phenol, 2,2'-methylenebis[6-(2H-benzotriazol-2-yl)-4-(1,1-dimethylethyl)-(9CI) (CA INDEX NAME)

RN 155633-54-8 CAPLUS

CN Phenol, 2-(2H-benzotriazol-2-yl)-4-methyl-6-[2-methyl-3-[1,3,3,3-tetramethyl-1-[(trimethylsilyl)oxy]disiloxanyl]propyl]- (9CI) (CA INDEX NAME)

RN 353274-51-8 CAPLUS

CN Methanone, [2-[[3-(2H-benzotriazol-2-yl)-2-hydroxy-5-(1,1,3,3-tetramethylbutyl)phenyl]methyl]-6-hydroxy-4-(octyloxy)phenyl]phenyl- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me}_3\text{C-CH}_2\text{-C-Me} & \text{O} \\ \text{Ph-C} \\ \text{O} \\ \text{OH} \end{array}$$

The title hair and skin sunscreens are disclosed (Markush structure given). A sunscreen contained Arlacel 165 FL 2, stearyl alc. 1, palm oil stearic acid 2.5, polydimethylsiloxane 0.5, Witconol TN 20, triethanolamine 0.5, methylene bis(tetramethylbutylhydroxyphenyl benzotriazole) 5, glycerin 5, Amphisol K 1, polyacrylic acid 0.3, hydroxypropyl Me cellulose 0.1, Bu methoxydibenzoyl methane 2, Hallbrite TQ (a naphthalene dicarboxylic acid deriv.) 4, drometrizole trisiloxane 3, triethanolamine q.s. pH = 7, preservative q.s. and water q.s. 100 g.

L41 ANSWER 23 OF 39 CAPLUS COPYRIGHT 2003 ACS

AN 2001:545789 CAPLUS

DN 135:123617

TI Amorphous, structured, transparently colored UV-absorbing film, its production and its use

IN Murschall, Ursula; Dietz, Wolfgang; Crass, Guenther; Kern, Ulrich

PA Mitsubishi Polyester Film G.m.b.H., Germany

SO PCT Int. Appl., 31 pp.

CODEN: PIXXD2

DT Patent

LA German

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE

PI WO 2001053403 A2 20010726 WO 2001-EP280 20010111

WO 2001053403 A3 20011213

W: JP, KR, US

RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR

DE 2000-10002155A 20000120

DE 10002155 A1 20010726

DE 2000-10002155 20000120

IT 350511-31-8

RL: MOA (Modifier or additive use); USES (Uses)
 (UV absorber; in amorphous, structured, tinted transparent UV-absorbing
 films)

RN 350511-31-8 CAPLUS

CN Phenol, 2,2'-methylenebis[6-(2H-benzotriazol-2-yl)-4-(1,1,2,2-tetramethylpropyl)- (9CI) (CA INDEX NAME)

AB The invention relates to an amorphous, structured, transparently tinted, UV light-absorbing film made from a crystallizable thermoplastic of thickness 30-1000 .mu.m. The film contains at least one dyes and one UV absorber, both of which are sol. in the thermoplastic (preferably polyester) and is characterized by good optical properties, high light transmission in the wavelength range .gtoreq. 400 nm, preferably 420-800 nm, economical thermoformability, and absorption of short wave UV light in the wavelength range < 380 nm. An example was given which used poly(ethylene terephthalate), Tinuvin 1577 UV absorber, C.I. Solvent Red 138, and a fluorescent brightener.

L41 ANSWER 24 OF 39 CAPLUS COPYRIGHT 2003 ACS

AN 2001:545788 CAPLUS

DN 135:123616

TI Amorphous structured, transparent UV-absorbing film, its production and

its use

IN Murschall, Ursula; Dietz, Wolfgang; Crass, Guenther; Kern, Ulrich

PA Mitsubishi Polyester Film G.m.b.H., Germany

SO PCT Int. Appl., 33 pp.

CODEN: PIXXD2

DT Patent

LA German

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE
PI WO 2001053402 A1 20010726 WO 2001-EP278 20010111

W: JP, KR, US

RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR

DE 2000-10002156A 20000120

DE 10002156 A1 20010726 DE 2

DE 2000-10002156 20000120

IT 350511-31-8

RL: MOA (Modifier or additive use); USES (Uses)
(UV absorber; amorphous structured, transparent UV-absorbing films

RN 350511-31-8 CAPLUS

CN Phenol, 2,2'-methylenebis[6-(2H-benzotriazol-2-yl)-4-(1,1,2,2-tetramethylpropyl)- (9CI) (CA INDEX NAME)

AB The invention relates to an amorphous, structured, transparent, UV light-absorbing film made from a crystallizable thermoplastic of thickness 30-1000 .mu.m. The film contains at least one fluorescent brightener and one UV absorber, both of which are sol. in the thermoplastic (preferably polyester) and is characterized by good optical properties, high light transmission in the wavelength range .gtoreq. 400 nm, a structured surface, and the absorption of short-wave UV light in the wavelength range .ltoreq. 360 nm. An example was given which contained poly(ethylene terephthalate), Tinuvin 1577 UV absorber, and a triazine phenylcoumarin fluorescent brightener.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 25 OF 39 CAPLUS COPYRIGHT 2003 ACS

AN 2001:545783 CAPLUS

DN 135:123612

TI White, flame-resistant UV-stable film made from a crystallizable thermoplastic, its production and its use

IN Murschall, Ursula; Stopp, Andreas; Crass, Guenther; Kern, Ulrich

PA Mitsubishi Polyester Film G.m.b.H., Germany

SO PCT Int. Appl., 39 pp.

CODEN: PIXXD2

DT Patent LA German

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE
PI WO 2001053395 A1 20010726 WO 2001-EP274 20010111

W: JP, KR, US

RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR

DE 10002163 A1 20010726 DE 2000-10002163A 20000120 DE 1272551 A1 20030108 EP 2001-913744 20010111

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR

DE 2000-10002163A 20000120

WO 2001-EP274 W 20010111 US 2003055136 A1 20030320 US 2002-181505 20020718 DE 2000-10002163A 20000120

WO 2001-EP274 W 20010111

IT 350511-31-8

RL: MOA (Modifier or additive use); USES (Uses)

(UV absorber; in white flame-resistant UV-stable polyester films)

RN 350511-31-8 CAPLUS

CN Phenol, 2,2'-methylenebis[6-(2H-benzotriazol-2-yl)-4-(1,1,2,2-tetramethylpropyl)- (9CI) (CA INDEX NAME)

- The invention relates to a white, biaxially oriented film which comprises a crystallizable thermoplastic main component and is characterized by further comprising at least one UV stabilizer, at least one white pigment, and at least one flame-proofing agent, which is sol. in the thermoplastic and introduced directly, during the film prodn., by masterbatch technol., whereby the masterbatch is pre-conditioned by gradual heating under reduced pressure and with stirring. An example was given which contained poly(ethylene terephthalate), Tinuvin 1577 UV stabilizer, TiO2 pigment, and a fire retardant.
- RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT
- L41 ANSWER 26 OF 39 CAPLUS COPYRIGHT 2003 ACS
- AN 2001:545782 CAPLUS
- DN 135:123611
- TI Transparent, UV-stabilized, flame-resistant films made of crystallizable thermoplastic materials, their production and their use
- IN Murschall, Ursula; Kern, Ulrich; Stopp, Andreas; Crass, Guenther

Patel <5/18/2003>

PA Mitsubishi Polyester Film G.m.b.H., Germany

SO PCT Int. Appl., 40 pp.

CODEN: PIXXD2

DT Patent

LA German

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE
PI WO 2001053394 A1 20010726 WO 2001-EP202 20010110

W: JP, KR, US

RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR

DE 10002173 A1 20010726 DE 2000-10002173A 20000120 DE 1274775 A1 20030115 EP 2001-900406 20010110

P 1274775 A1 20030115 EP 2001-900406 20010110 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR

DE 2000-10002173A 20000120

WO 2001-EP202 W 20010110

US 2003004237 A1 20030102 US 2002-181529 20020718 DE 2000-10002173A 20000120

WO 2001-EP202 W 20010110

IT 350511-31-8

RL: MOA (Modifier or additive use); USES (Uses)

(UV stabilizer; in transparent, UV-stabilized, flame-resistant films)

RN 350511-31-8 CAPLUS

CN Phenol, 2,2'-methylenebis[6-(2H-benzotriazol-2-yl)-4-(1,1,2,2-tetramethylpropyl)- (9CI) (CA INDEX NAME)

AB The invention concerns transparent, flame-retardant, thermoformable, UV-stabilized, single- or multilayered films, contg. a crystallizable thermoplastic material, preferably poly(ethylene terephthalate), at least one flame retarding agent and a UV stabilizer as main components. The films are characterized by good stretchability, thermoformability, and good optical and mech. properties, and are suitable for indoor and outdoor applications. An example was given which was based on poly(ethylene terephthalate), Tinuvin 1577 UV absorber, and a flame retardant.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 27 OF 39 CAPLUS COPYRIGHT 2003 ACS

AN 2001:545781 CAPLUS

DN 135:123610

TI Transparent, UV-stabilized, thermoformable film made of crystallizable thermoplastics, its production and its use

Patel <5/18/2003>

IN Murschall, Ursula; Kern, Ulrich; Stopp, Andreas; Crass, Guenther

PA Mitsubishi Polyester Film G.m.b.H., Germany

SO PCT Int. Appl., 33 pp.

CODEN: PIXXD2

DT Patent

LA German

FAN.CNT 1

ΡI

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2001053393 A1 20010726 WO 2001-EP200 20010110

W: JP, KR, US

RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR

DE 2000-10002172A 20000120

DE 10002172 A1 20010726 DE 2000-10002172 20000120 EP 1265949 A1 20021218 EP 2001-907426 20010110

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR

DE 2000-10002172A 20000120

WO 2001-EP200 W 20010110

US 2003068500 A1 20030410 US 2002-181514 20020718 DE 2000-10002172A 20000120

WO 2001-EP200 W 20010110

IT 350511-31-8

RL: MOA (Modifier or additive use); USES (Uses) (UV stabilizer; transparent, UV-stabilized, thermoformable film contg.)

RN 350511-31-8 CAPLUS

CN Phenol, 2,2'-methylenebis[6-(2H-benzotriazol-2-yl)-4-(1,1,2,2-tetramethylpropyl)- (9CI) (CA INDEX NAME)

- AB The invention relates to a transparent, UV-stabilized, single- or multi-layered thermoformable film which contains, as a principal constituent, a crystallizable thermoplastic, preferably poly(ethylene terephthalate), and at least one UV stabilizer. The inventive films are characterized by good stretchability, thermoformability, and optical and mech. properties. The films are suited for outdoor use. An example was given which incorporated the UV stabilizer Tinuvin 1577 into the polyester.
- RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT
- L41 ANSWER 28 OF 39 CAPLUS COPYRIGHT 2003 ACS
- AN 2001:545780 CAPLUS
- DN 135:108442
- TI Amorphous, transparent, UV-absorbing, thermoformable film, its production

and its use

IN Murschall, Ursula; Dietz, Wolfgang; Crass, Guenther

PA Mitsubishi Polyester Film G.m.b.H., Germany

SO PCT Int. Appl., 36 pp.

CODEN: PIXXD2

DT Patent

LA German

FAN.CNT 1

PΙ

PATENT NO. KIND DATE APPLICATION NO. DATE
WO 2001053392 A1 20010726 WO 2001-EP179 20010110

W: JP, KR, US

RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR

DE 2000-10002177A 20000120

DE 10002177 A1 20010726

DE 2000-10002177 20000120

IT 350511-31-8

RL: MOA (Modifier or additive use); USES (Uses)
(UV absorber; in amorphous, transparent, UV-absorbing thermoformable barrier polyester films)

RN 350511-31-8 CAPLUS

CN Phenol, 2,2'-methylenebis[6-(2H-benzotriazol-2-yl)-4-(1,1,2,2-tetramethylpropyl)- (9CI) (CA INDEX NAME)

AB The invention relates to an amorphous, transparent, UV-stable barrier film consisting of a crystallizable thermoplastic, whose thickness ranges between 30 and 1000 .mu.m. The film contains at least one barrier layer against gases and a UV absorber which can be dissolved in the thermoplastic, preferably a polyester. The film is characterized by excellent optical characteristics, cost-effective thermoforming properties and in particular, by the absorption of short-wave UV-light in the wavelength range below 380 nm. An example based on poly(ethylene terephthalate) contg. Tinuvin 1577 UV absorber was given.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 29 OF 39 CAPLUS COPYRIGHT 2003 ACS

AN 2001:545779 CAPLUS

DN 135:123609

- TI Amorphous, white, flame-retardant, UV-stable, thermoformable film, its production and its use
- IN Murschall, Ursula; Dietz, Wolfgang; Crass, Guenther
- PA Mitsubishi Polyester Film G.m.b.H., Germany
- SO PCT Int. Appl., 42 pp. CODEN: PIXXD2

DT Patent LA German

FAN.CNT 1

W: JP, KR, US

RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR

DE 2000-10002153A 20000120

DE 10002153 A1 20010726 DE 2000-10002153 20000120

IT 350511-31-8

RL: MOA (Modifier or additive use); USES (Uses)
 (UV absorber; in amorphous, white, flame-retardant, UV-stable
 thermoformable films)

RN 350511-31-8 CAPLUS

CN Phenol, 2,2'-methylenebis[6-(2H-benzotriazol-2-yl)-4-(1,1,2,2-tetramethylpropyl)- (9CI) (CA INDEX NAME)

The invention relates to an amorphous, white, flame-retardant, UV-stable, thermoformable film consisting of a thermoplastic of thickness 30-1000 .mu.m. Said film contains at least one white pigment, in addn. to at least one UV absorber, a flame-retardant agent, and optionally a hydrolysis stabilizer, each of which can be dissolved in the thermoplastic, which is preferably polyester. Said substances are introduced in the form of a masterbatch during the prodn. of the film. The film is characterized by excellent UV stability, flame-retardant properties, and optical characteristics, in addn. to excellent thermoforming properties and is cost-effective to produce. An example was given which used poly(ethylene terephthalate) thermoplastic, TiO2 pigment, Tinuvin 1577 UV stabilizer, and a flame retardant.

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 30 OF 39 CAPLUS COPYRIGHT 2003 ACS

AN 2001:545778 CAPLUS

DN 135:138361

- TI Amorphous, transparent tinted, thermoformable film which absorbs UV light, its production and its use
- IN Murschall, Ursula; Kern, Ulrich; Crass, Guenther
- PA Mitsubishi Polyester Film G.m.b.H., Germany

SO PCT Int. Appl., 34 pp.

CODEN: PIXXD2

DT Patent

LA German

Patel <5/18/2003>

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE
PI WO 2001053390 A1 20010726 WO 2001-EP176 20010110

W: JP, KR, US

RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR

DE 2000-10002152A 20000120

DE 10002152 A1 20010726

DE 2000-10002152 20000120

IT 350511-31-8

RL: MOA (Modifier or additive use); USES (Uses)
(UV absorber; in amorphous, transparent tinted, UV-absorbing thermoformable films)

RN 350511-31-8 CAPLUS

CN Phenol, 2,2'-methylenebis[6-(2H-benzotriazol-2-yl)-4-(1,1,2,2-tetramethylpropyl)- (9CI) (CA INDEX NAME)

AB The invention relates to an amorphous, transparent tinted, UV-absorbing, thermoformable film (consisting of a crystallizable thermoplastic, preferably polyester) of thickness 30-1000 .mu.m. The film contains at least one UV absorber which can be dissolved in the polymer and a sol. dye. The film is characterized by excellent optical characteristics, a high level of light transmission in the wavelength range .gtoreq. 400 nm, and the absorption of short-wave UV-light in the wavelength range below 380 nm. An example was given which incorporated poly(ethylene terephthalate), Tinuvin 1577 UV absorber, and C.I. Solvent Red 138.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 31 OF 39 CAPLUS COPYRIGHT 2003 ACS

AN 2001:545602 CAPLUS

DN 135:108438

TI Matte, UV-stable, flame-retardant, thermoformable, coextruded polyester films, their production and their use

IN Murschall, Ursula; Kern, Ulrich; Crass, Guenther

PA Mitsubishi Polyester Film G.m.b.H., Germany

SO PCT Int. Appl., 48 pp.

CODEN: PIXXD2

DT Patent

LA German

FAN.CNT 1

Patel <5/18/2003>

RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR

DE 10002181 A1 20010726 DE 2000-10002181A 20000120 DE 1274578 A1 20030115 DE 2001-907428 20010110

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR

DE 2000-10002181A 20000120 WO 2001-EP210 W 20010110

IT 350511-31-8

RL: MOA (Modifier or additive use); USES (Uses)
(UV absorber; in matte, UV-stable, flame-retardant, thermoformable coextruded polyester films)

RN 350511-31-8 CAPLUS

CN Phenol, 2,2'-methylenebis[6-(2H-benzotriazol-2-yl)-4-(1,1,2,2-tetramethylpropyl)- (9CI) (CA INDEX NAME)

AΒ The invention relates to biaxially oriented, coextruded polyester films. The films comprise a base layer which consists of at least 70 wt.% thermoplastic polyester, preferably poly(ethylene terephthalate) (PET) with a diethylene glycol and/or polyethylene glycol content greater >1.3 wt.% and have at least one matte outer layer and optionally addnl. intermediate layers. The films contain at least one flameproofing agent, preferably org. phosphorus compds. The films are characterized by high flame-resistance, no embrittlement after exposure to heat, a matte surface devoid of unwanted clouding, and excellent thermoforming properties and are, together with the molded bodies produced therefrom, suitable for numerous interior and exterior applications. The (matte) outer layers can be identical or different and contain a mixt. or a blend of a component I which consists of PET homopolymers and/or copolymers and a component II which is a copolymer resulting from the condensation product of isophthalic acid, an aliph. dicarboxylic acid, and a sulfo monomer with a copolymerizable aliph. or cycloaliph. glycol.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 32 OF 39 CAPLUS COPYRIGHT 2003 ACS

AN 2001:545601 CAPLUS

DN 135:108437

- TI Matte, UV-stable, thermoformable, coextruded polyester films, their prodn. and their use
- IN Murschall, Ursula; Kern, Ulrich; Crass, Guenther
- PA Mitsubishi Polyester Film G.m.b.H., Germany

SO PCT Int. Appl., 41 pp.

CODEN: PIXXD2

DT Patent LA German

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE
PI WO 2001053087 A1 20010726 WO 2001-EP209 20010110

W: JP, KR, US

RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR

DE 2000-10002169A 20000120

DE 10002169 A1 20010726 DE 2000-10002169 20000120 EP 1274576 A1 20030115 EP 2001-903636 20010110

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR

DE 2000-10002169A 20000120 WO 2001-EP209 W 20010110

OS MARPAT 135:108437

IT 350511-31-8

RL: MOA (Modifier or additive use); USES (Uses)
 (UV absorber; in matte, UV-stable, thermoformable coextruded polyester
 films)

RN 350511-31-8 CAPLUS

CN Phenol, 2,2'-methylenebis[6-(2H-benzotriazol-2-yl)-4-(1,1,2,2tetramethylpropyl)- (9CI) (CA INDEX NAME)

AB The invention relates to biaxially oriented, coextruded polyester films. The films comprise a base layer which consists of at least 70 wt.% thermoplastic polyester, preferably poly(ethylene terephthalate) (PET) with a diethylene glycol and/or polyethylene glycol content >1.3 wt.% and have at least one matte outer layer and optionally addnl. intermediate layers. The films also contain at least one UV absorber, preferably hydroxybenzotriazoles and triazines. The films are characterized by high UV stability, no embrittlement after exposure to heat, a matte surface devoid of unwanted clouding, and excellent thermoforming properties and are, together with the molded bodies produced therefrom, suitable for numerous interior and exterior applications. The (matte) outer layers can be identical or different and contain a mixt. or a blend of a component I which consists of PET homopolymers and/or copolymers and a component II which is a copolymer resulting from the condensation product of isophthalic acid, an aliph. dicarboxylic acid, and a sulfo monomer with a copolymerizable aliph. or cycloaliph. glycol.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L41 ANSWER 33 OF 39 CAPLUS COPYRIGHT 2003 ACS

AN 2001:545598 CAPLUS

DN 135:108436

TI Transparent, biaxially oriented, UV-stabilized, sealable film, its production and its use

IN Murschall, Ursula; Kern, Ulrich; Dietz, Wolfgang; Crass, Guenther

PA Mitsubishi Polyester Film G.m.b.H., Germany

SO PCT Int. Appl., 31 pp.

CODEN: PIXXD2

DT Patent

LA German

FAN.CNT 1

PΙ

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2001053084 A1 20010726 WO 2001-EP204 20010110

W: JP, KR, US

RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR

DE 2000-10002166A 20000120

DE 10002166 A1 20010726 DE 2000-10002166 20000120

IT 350511-31-8

RL: MOA (Modifier or additive use); USES (Uses)
(UV absorber; in transparent, biaxially oriented, UV-stabilized sealable films)

RN 350511-31-8 CAPLUS

CN Phenol, 2,2'-methylenebis[6-(2H-benzotriazol-2-yl)-4-(1,1,2,2-tetramethylpropyl)- (9CI) (CA INDEX NAME)

- AB The invention concerns a transparent, biaxially oriented, UV-stabilized barrier film made of crystallizable thermoplastic material, whose thickness ranges from 10 to 500 .mu.m. The film contains at least one UV stabilizer as light protective agent, at least one barrier layer to reduce gas and aroma permeability, and a heat-sealing lacquer or layer. The film is characterized by good stretchability and by very good optical and mech. properties. The film is produced by an extrusion process and is suitable for indoor and outdoor use.
- RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT
- L41 ANSWER 34 OF 39 CAPLUS COPYRIGHT 2003 ACS
- AN 1999:717947 CAPLUS
- DN 131:327326
- TI Synergistic sunscreen composition
- IN Allard, Delphine; Gombert, Christele
- PA L'Oreal S. A., Fr.
- SO Fr. Demande, 24 pp.

CODEN: FRXXBL

DT Patent LA French FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	FR 2775434	<b>A</b> 1	19990903	FR 1998-2416	19980227
	FR 2775434	B1	20000519		
	EP 943321	A1	19990922	EP 1998-403311	19981228
	EP 943321	B1	20010411		
	D 700 DD	CII DE	D11 D0 DD 0	D OD TO TT T++	

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

	,,	-,,	, ,					
				FR	1998-2416	Α	19980227	
AΤ	200418	E	20010415	AT	1998-403311		19981228	
				FR	1998-2416	Α	19980227	
ES	2158655	<b>T</b> 3	20010901	ES	1998-403311		19981228	
				FR	1998-2416	Α	19980227	
AU	710077	B1	19990916	ΑU	1999-14710		19990203	
				FR	1998-2416	Α	19980227	
_	11315006	A2	19991116	JP	1999-37315		19990216	
JP	3069553	B2	20000724					
				FR	1998-2416	Α	19980227	
BR	9900500	A	20000502	BR	1999-500		19990222	
				FR	1998-2416	Α	19980227	
MX	9901792	A	20000930	MX	1999-1792		19990223	
				FR	1998-2416	Α	19980227	
US	6171579	B1	20010109	US	1999-258852		19990226	
				FR	1998-2416	Α	19980227	
RU	2162686	C2	20010210	RU	1999-103929		19990226	
				FR	1998-2416	Α	19980227	
CN	1231885	A	19991020		1999-102553		19990301	
				FR	1998-2416	Α	19980227	

OS MARPAT 131:327326

IT 155633-54-8D, mixts. with 1,3,5-

triazine derivs.

RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(synergistic sunscreen compns.)

RN 155633-54-8 CAPLUS

CN Phenol, 2-(2H-benzotriazol-2-yl)-4-methyl-6-[2-methyl-3-[1,3,3,3-tetramethyl-1-[(trimethylsilyl)oxy]disiloxanyl]propyl]- (9CI) (CA INDEX NAME)

AB The title compn. comprises a 1,3,5triazine deriv., preferably Uvinul T150, and a benzotriazole silicone.

L41 ANSWER 35 OF 39 CAPLUS COPYRIGHT 2003 ACS

AN 1999:312737 CAPLUS

DN 131:5271

TI Preparation of UV absorbing triazine compounds and their intermediates

IN Ueno, Sadao; Nobe, Jouji

PA Kimoto and Co., Ltd., Japan; Green Consultant G. K.

SO Jpn. Kokai Tokkyo Koho, 14 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE

PI JP 11130786 A2 19990518 JP 1997-292077 19971024

JP 1997-292077 19971024

OS MARPAT 131:5271

IT 207562-44-5P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (prepn. of triazine compds. having benzotriazolyl- and silylalkylaminogroups as UV absorbers)

RN 207562-44-5 CAPLUS

CN Phenol, 2-(2H-benzotriazol-2-yl)-6-[(4,6-dichloro-1,3,5-triazin-2-yl)amino]-4-methyl- (9CI) (CA INDEX NAME)

IT 225798-08-3P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); TEM (Technical or engineered material use); PREP (Preparation); USES (Uses) (prepn. of triazine compds. having benzotriazolyl- and silylalkylaminogroups as UV absorbers)

RN 225798-08-3 CAPLUS

CN Phenol, 2-(2H-benzotriazol-2-yl)-6-[[4-chloro-6-[[3-(trimethoxysilyl)propyl]amino]-1,3,5-triazin-2-yl]amino]-4-methyl-(9CI)(CA INDEX NAME)

GI

$$R^{1}$$
 $R^{2}$ 
 $R^{5}$ 
 $R^{5}$ 
 $R^{6}$ 

$$Q = R^4 \longrightarrow N \longrightarrow N \longrightarrow R^5$$

AB The compds. I [R1 = benzotriazolylanilino Q [R4, R5 = H, halo, C1-20 alkyl, alkoxy, (CH2)mCO2H; m = 1-10]; R2 = NHR6SiR7R8R9 (R6 = C1-20 alkylene which may contain NH; R7-R9 = H, halo, C1-10 alkyl, alkoxy); R3 = halo, R1, R2] (II), useful as UV absorbers are prepd. by treatment of I (R1 = Q; R2, R3 = halo) (III) with R2H (R2 = NHR6SiR7R8R9). III are prepd. by reducing nitrobenzenes IV (A = NO2; R5 = same as in II) and condensing the resulting aminobenzenes IV (A = NH2) with 2,4,6-trihalotriazines. II binds well to materials treated with them, e.g. fiber products, glasses, etc., due to silyl group. A cotton knit was treated with an aq. compn. contg. Na2CO3, Na2SO4, and 2-chloro-4-(3'-trimethoxysilylpropylamino)-6-[2''-hydroxy-5''-methyl-3''-(2-benzotriazolyl)phenylamino]-1,3,5-triazine (prepn. given) at 90.degree. for 30 min. UV-absorbing property of the knit was stable even after 10 washings.

L41 ANSWER 36 OF 39 CAPLUS COPYRIGHT 2003 ACS

AN 1998:795318 CAPLUS

DN 130:82630

TI Weather-resistant laminated polyester films with good transparency, durability, interlayer adhesion, and UV absorption

IN Tanaka, Yoshio; Mimura, Takashi

PA Toray Industries, Inc., Japan

SO Jpn. Kokai Tokkyo Koho, 5 pp. CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	0112 2				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	JP 10329291	A2	19981215	JP 1997-143820	19970602
				TP 1997-143820	19970602

IT 159484-56-7, Butyl acrylate-2-(2'-hydroxy-5'methacryloxyethylphenyl)-2H-benzotriazole-methyl methacrylate

copolymer 159484-58-9, Acrylic acid-butyl acrylate-2-(2'-hydroxy-5'-methacryloxyethylphenyl)-2H-benzotriazole-methyl methacrylate copolymer 218899-86-6, Butyl acrylate-2-hydroxyethyl methacrylate-2-(2'-hydroxy-5'-methacryloxyethylphenyl)-2H-benzotriazole-methyl methacrylate copolymer 218899-87-7, Acrylic acid-butyl acrylate-formaldehyde-2-(2'-hydroxy-5'-methacryloxyethylphenyl)-2H-benzotriazole-methyl methacrylate-1,3,5-triazine-2,4,6-triamine copolymer 218899-88-8, Butyl acrylate-formaldehyde-2-hydroxyethyl methacrylate-2-(2'-hydroxy-5'-methacryloxyethylphenyl)-2H-benzotriazole-methyl methacrylate-melamine copolymer RL: PRP (Properties); TEM (Technical or engineered material use); USES (Uses)

(weather-resistant laminated polyester films with good transparency, durability, interlayer adhesion, and UV absorption)

RN 159484-56-7 CAPLUS

CN 2-Propenoic acid, 2-methyl-, 2-[3-(2H-benzotriazol-2-yl)-4-hydroxyphenyl]ethyl ester, polymer with butyl 2-propenoate and methyl 2-methyl-2-propenoate (9CI) (CA INDEX NAME)

CM 1

CRN 96478-09-0 CMF C18 H17 N3 O3

$$\begin{picture}(20,0) \put(0,0){\line(1,0){100}} \put(0,0){\line(1,0){100$$

CM 2

CRN 141-32-2 CMF C7 H12 O2

CM 3

CRN 80-62-6 CMF C5 H8 O2

RN 159484-58-9 CAPLUS

CN 2-Propenoic acid, 2-methyl-, 2-[3-(2H-benzotriazol-2-yl)-4-hydroxyphenyl]ethyl ester, polymer with butyl 2-propenoate, methyl 2-methyl-2-propenoate and 2-propenoic acid (9CI) (CA INDEX NAME)

CM 1

CRN 96478-09-0 CMF C18 H17 N3 O3

$$\begin{array}{c|c} & \text{OH} \\ & \text{N} \\ & \text{N} \\ & \text{CH}_2\text{--}\text{CH}_2\text{--}\text{O}\text{--}\text{C}\text{--}\text{Me} \end{array}$$

CM 2

CRN 141-32-2 CMF C7 H12 O2

CM 3

CRN 80-62-6 CMF C5 H8 O2

$$\begin{array}{c|c} ^{H_2C} & \text{O} \\ \parallel & \parallel \\ \text{Me-} & \text{C-} & \text{C-} & \text{OMe} \end{array}$$

CM 4

CRN 79-10-7 CMF C3 H4 O2

RN 218899-86-6 CAPLUS

CN 2-Propenoic acid, 2-methyl-, 2-[3-(2H-benzotriazol-2-yl)-4-hydroxyphenyl]ethyl ester, polymer with butyl 2-propenoate, 2-hydroxyethyl 2-methyl-2-propenoate and methyl 2-methyl-2-propenoate (9CI) (CA INDEX NAME)

CM 1

CRN 96478-09-0 CMF C18 H17 N3 O3

$$\begin{picture}(20,0) \put(0,0){\line(1,0){100}} \put(0,0){\line(1,0){100$$

CM 2

CRN 868-77-9 CMF C6 H10 O3

CM 3.

CRN 141-32-2 CMF C7 H12 O2

$$\begin{array}{c} \text{O} \\ \parallel \\ \text{n-BuO-C-CH== CH}_2 \end{array}$$

CM 4

CRN 80-62-6 CMF C5 H8 O2

$$\begin{array}{ccc} ^{H_2C} & \text{O} \\ \parallel & \parallel \\ \text{Me-} & \text{C-} & \text{C-} & \text{OMe} \end{array}$$

RN 218899-87-7 CAPLUS

CN 2-Propenoic acid, 2-methyl-, 2-[3-(2H-benzotriazol-2-yl)-4-hydroxyphenyl]ethyl ester, polymer with butyl 2-propenoate, formaldehyde, methyl 2-methyl-2-propenoate, 2-propenoic acid and 1,3,5-triazine-2,4,6-triamine (9CI) (CA INDEX NAME)

CM 1

CRN 96478-09-0 CMF C18 H17 N3 O3

$$\begin{picture}(20,0) \put(0,0){\line(1,0){100}} \put(0,0){\line(1,0){100$$

CM 2

CRN 141-32-2 CMF C7 H12 O2

CM 3

CRN 108-78-1 CMF C3 H6 N6

CM 4

CRN 80-62-6

CMF C5 H8 O2

CM 5

CRN 79-10-7 CMF C3 H4 O2

CM 6

CRN 50-00-0 CMF C H2 O

## $H_2C = 0$

RN 218899-88-8 CAPLUS

CN 2-Propenoic acid, 2-methyl-, 2-[3-(2H-benzotriazol-2-yl)-4-hydroxyphenyl]ethyl ester, polymer with butyl 2-propenoate, formaldehyde, 2-hydroxyethyl 2-methyl-2-propenoate, methyl 2-methyl-2-propenoate and 1,3,5-triazine-2,4,6-triamine (9CI) (CA INDEX NAME)

CM 1

CRN 96478-09-0 CMF C18 H17 N3 O3

$$\begin{array}{c|c} \text{OH} & \text{OH} \\ \hline \\ \text{N} & \text{O} & \text{CH}_2 \\ \hline \\ \text{CH}_2-\text{CH}_2-\text{O-C-C-Me} \end{array}$$

CM 2

CRN 868-77-9 CMF C6 H10 O3

CM 3

CRN 141-32-2 CMF C7 H12 O2

CM 4

CRN 108-78-1 CMF C3 H6 N6

CM 5

CRN 80-62-6 CMF C5 H8 O2

CM 6

CRN 50-00-0 CMF C H2 O

## $H_2C = 0$

AB The films comprise polyester films laminated with films obtained by copolymn. of reactive benzotriazole UV absorbents with .gtoreq.2 acrylic monomers. Thus, Lumirror T 60 (PET film) was coated with a soln.

contg. 50:43:7 2-(2'-hydroxy-5'-methacryloxyethylphenyl)-2H-benzotriazole-Me methacrylate-Bu acrylate copolymer to give a film showing good interlayer adhesion, light transmittance 89.9%, haze 2.1%, and no apparent change by UV irradn.

L41 ANSWER 37 OF 39 CAPLUS COPYRIGHT 2003 ACS

AN 1998:709383 CAPLUS

DN 129:317061

TI Azide group-containing organic stabilizers for polymers

IN Steinmann, Alfred

PA Ciba Specialty Chemicals Holding Inc., Switz.

SO Ger. Offen., 40 pp. CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

RN

PATENT NO. KIND DATE APPLICATION NO. DATE

PI DE 19816681 A1 19981022 DE 1998-19816681 19980415
CH 1997-912 19970418

OS MARPAT 129:317061

IT 214971-37-6P

RL: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; prepn. of org. azides as migration-resistant stabilizers for plastics)

RN 214971-37-6 CAPLUS

CN Benzenepropanoic acid, 3-(2H-benzotriazol-2-yl)-5-(1,1-dimethylethyl)-4-hydroxy-, 2-bromoethyl ester (9CI) (CA INDEX NAME)

IT **214971-33-2P**, 2-Azidoethyl 3-[3-(2H-benzothriazol-2-yl)-5-tert-butyl-4-hydroxyphenyl]propionate

RL: IMF (Industrial manufacture); MOA (Modifier or additive use); PREP (Preparation); USES (Uses)

(prepn. of org. azides as migration-resistant stabilizers for plastics) 214971-33-2 CAPLUS

CN Benzenepropanoic acid, 3-(2H-benzotriazol-2-yl)-5-(1,1-dimethylethyl)-4-hydroxy-, 2-azidoethyl ester (9CI) (CA INDEX NAME)

Patel <5/18/2003>

- AB Stabilizers (such as hindered amines or phenols or benzotriazoles ) contg. azide groups show good resistance to migration in plastics. Thus, 4-(azidosulfonyl)-2,6-di-tert-butylphenol was obtained by chlorosulfonating 2,6-di-tert-butylphenol and treating the product with NaN3; application to isotactic polypropylene was illustrated.
- L41 ANSWER 38 OF 39 CAPLUS COPYRIGHT 2003 ACS
- AN 1996:464587 CAPLUS
- DN 125:115934
- TI Investigations on Polymeric and Monomeric Intramolecularly Hydrogen-Bridged UV Absorbers of the **Benzotriazole** and Triazine Class
- AU Keck, Juergen; Kramer, Horst E. A.; Port, Helmut; Hirsch, Thomas; Fischer, Peter; Rytz, Gerhard
- CS Institut fuer Physikalische Chemie, Universitaet Stuttgart, Stuttgart, D-70569, Germany
- SO Journal of Physical Chemistry (1996), 100(34), 14468-14475 CODEN: JPCHAX; ISSN: 0022-3654
- PB American Chemical Society
- DT Journal
- LA English
- IT 179694-00-9P 179694-01-0P 179694-04-3P
  - RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (investigations on polymeric and monomeric intramolecularly hydrogen-bridged UV absorbers of benzotriazole and triazine class)
- RN 179694-00-9 CAPLUS
- CN Benzenepropanoic acid, 3-(2H-benzotriazol-2-yl)-5-(1,1-dimethylethyl)-4-hydroxy-, 2-hydroxy-3-[(2-methyl-1-oxo-2-propenyl)oxy]propyl ester, polymer with ethenylbenzene (9CI) (CA INDEX NAME)

CM 1

CRN 135590-53-3 CMF C26 H31 N3 O6

10077150.7

Page 159

CM 2

CRN 100-42-5 CMF C8 H8

 $H_2C = CH - Ph$ 

RN 179694-01-0 CAPLUS

CN Benzenepropanoic acid, 3-(2H-benzotriazol-2-yl)-5-(1,1-dimethylethyl)-4-hydroxy-, 2-hydroxy-3-[(2-methyl-1-oxo-2-propenyl)oxy]propyl ester, polymer with 2-methyl-2-propenoic acid (9CI) (CA INDEX NAME)

CM 1

CRN 135590-53-3 CMF C26 H31 N3 O6

CM 2

CRN 79-41-4 CMF C4 H6 O2

$$\begin{array}{c} \text{CH}_2 \\ \parallel \\ \text{Me-C-CO}_2 \text{H} \end{array}$$

RN 179694-04-3 CAPLUS

CN Benzenepropanoic acid, 3-(2H-benzotriazol-2-yl)-5-(1,1-dimethylethyl)-4-hydroxy-, 2-hydroxy-3-[(2-methyl-1-oxo-2-propenyl)oxy]propyl ester, polymer with methyl 2-methyl-2-propenoate (9CI) (CA INDEX NAME)

CM 1

CRN 135590-53-3 CMF C26 H31 N3 O6

CM 2

CRN 80-62-6 CMF C5 H8 O2

AB Various copolymers of MA-TIN 1, 2-[2-hydroxy-3-tert-butyl-5-(0-[2-hydroxy-3-(2-methylpropenoyloxy)propyl]-2-carbonyloxyethyl)phenyl] benzotriazole, and MA-TZ 1, 2,4-bis(2,4-dimethylphenyl)-6-[2hydroxy-4-(2-hydroxy-3-[2-methylpropenoyloxy])propoxyphenyl]-1, 3,5-triazine, with styrene, Me methacrylate, and methacrylic acid were synthesized by radical polymn. Their absorption spectra in the long-wavelength UV region appear unchanged compared to those of the monomeric UV absorbers, indicating the stabilizer chromophore remains unimpaired in the course of the polymn. Both the monomeric and the polymeric stabilizers exhibit a strongly Stokes-shifted, temp.-dependent, low-quantum-yield fluorescence which arises from an intermediate species formed by intramol. proton transfer. The intramol. hydrogen bond which is low-quantum-yield fluorescence which arises from an intermediate species formed by intramol. proton transfer. essential for the photostability of this type of UV absorbers thus is still intact in the copolymers. Activation energies for the radiationless deactivation process can be evaluated from the temp. dependence of the proton-transferred fluorescence. These energies lie between 4 and 5 kJ/mol for most of the benzotriazole and triazine stabilizers investigated and show hardly any matrix dependence. Fluorescence-decay measurements with cryst. MA-TIN 1 at different temps. reveal a close correspondence of the temp. dependence between decay times and relative quantum yields. The radiationless process thence is concluded to originate from the proton-transferred level S1'. The decay time at room temp. is estd. at 70 ps, close to the value for cryst. TIN P (Tinuvin P). The proton-transferred fluorescence of MA-TIN 1, in contrast, exhibits a biexponential decay profile.

- L41 ANSWER 39 OF 39 CAPLUS COPYRIGHT 2003 ACS
- AN 1994:193200 CAPLUS
- DN 120:193200
- TI Synthesis and application of UV stabilizers for polymeric materials based on triazinylaminobenzotriazole
- AU Konstantinova, T.; Bogdanova, A.; Stanimirov, S.; Konstantinov, Hr.
- CS Dep. Org. Synth., Higher Inst. Chem. Technol., Sofia, 1756, Bulg.
- SO Polymer Degradation and Stability (1994), 43(2), 187-93

10077150.7 Page 161

CODEN: PDSTDW; ISSN: 0141-3910

Journal DT

LΑ English

IT 153976-86-4P 153976-87-5P 153976-88-6P

153976-89-7P

RL: SPN (Synthetic preparation); PREP (Preparation) (UV stabilizers, prepn. and characterization and polymn. of, with

styrene)

RN 153976-86-4 CAPLUS

CN Phenol, 2-[5-[[4-chloro-6-(2-propenyloxy)-1,3,5-triazin-2-y1]amino]-2Hbenzotriazol-2-yl]- (9CI) (CA INDEX NAME)

RN 153976-87-5 CAPLUS

Phenol, 4-chloro-2-[5-[[4-chloro-6-(2-propenyloxy)-1,3,5-triazin-2-CN yl]amino]-2H-benzotriazol-2-yl]- (9CI) (CA INDEX NAME)

RN 153976-88-6 CAPLUS

CN 2H-Benzotriazole-5-sulfonic acid, 6-[[4-chloro-6-(2-propenyloxy)-1,3,5triazin-2-yl]amino]-2-(2-hydroxyphenyl)- (9CI) (CA INDEX NAME)

RN 153976-89-7 CAPLUS

CN Phenol, 5-[[4-chloro-6-(2-propenyloxy)-1,3,5-triazin-2-yl]amino]-2-(5methyl-2H-benzotriazol-2-yl)- (9CI) (CA INDEX NAME)

Patel <5/18/2003> Page 162

IT 153976-90-0P 153976-91-1P 153976-92-2P

153976-93-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and photostability of)

RN 153976-90-0 CAPLUS

CN Phenol, 2-[5-[[4-chloro-6-(2-propenyloxy)-1,3,5-triazin-2-yl]amino]-2H-benzotriazol-2-yl]-, polymer with ethenylbenzene (9CI) (CA INDEX NAME)

CM 1

CRN 153976-86-4 CMF C18 H14 C1 N7 O2

$$\begin{array}{c|c} \text{Cl} & \text{NH} & \text{NH} \\ \hline & \text{N} & \text{NH} \\ \\ \text{H}_2\text{C} = \text{CH} - \text{CH}_2 - \text{O} \\ \end{array}$$

CM 2

CRN 100-42-5 CMF C8 H8

 $H_2C = CH - Ph$ 

RN 153976-91-1 CAPLUS

CN Phenol, 4-chloro-2-[5-[[4-chloro-6-(2-propenyloxy)-1,3,5-triazin-2-yl]amino]-2H-benzotriazol-2-yl]-, polymer with ethenylbenzene (9CI) (CA INDEX NAME)

CM 1

CRN 153976-87-5 CMF C18 H13 Cl2 N7 O2

CM 2

CRN 100-42-5 CMF C8 H8

 $H_2C = CH - Ph$ 

RN 153976-92-2 CAPLUS

CN 2H-Benzotriazole-5-sulfonic acid, 6-[[4-chloro-6-(2-propenyloxy)-1,3,5-triazin-2-yl]amino]-2-(2-hydroxyphenyl)-, polymer with ethenylbenzene (9CI) (CA INDEX NAME)

CM 1

CRN 153976-88-6 CMF C18 H14 C1 N7 O5 S

CM 2

CRN 100-42-5 CMF C8 H8

 $H_2C = CH - Ph$ 

RN 153976-93-3 CAPLUS
CN Phenol, 5-[[4-chloro-6-(2-propenyloxy)-1,3,5-triazin-2-yl]amino]-2-(5-methyl-2H-benzotriazol-2-yl)-, polymer with ethenylbenzene (9CI) (CA INDEX NAME)

CM 1

CRN 153976-89-7 CMF C19 H16 C1 N7 O2

CM 2

CRN 100-42-5 CMF C8 H8

 $H_2C = CH - Ph$ 

IT 153976-96-6P 153976-97-7P 153976-98-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and reaction of, with allyloxydichlorotriazine)

RN 153976-96-6 CAPLUS

CN Phenol, 2-(5-amino-2H-benzotriazol-2-yl)-4-chloro- (9CI) (CA INDEX NAME)

RN 153976-97-7 CAPLUS

CN 2H-Benzotriazole-5-sulfonic acid, 6-amino-2-(2-hydroxyphenyl)-, monosodium salt (9CI) (CA INDEX NAME)

Na

4

Na

RN 153976-98-8 CAPLUS

CN Phenol, 5-amino-2-(5-methyl-2H-benzotriazol-2-yl)- (9CI) (CA INDEX NAME)

AB Four new compds., derivs. of triazinylaminobenzotriazole, contg. a polymerizable allyloxy group have been synthesized. The compds. were characterized by elemental anal., TLC, IR, UV/VIA, and 1H NMR spectra. Polystyrene has been prepd. in the presence of the compds. Chem. bonding of the UV stabilizer in the polymer was confirmed spectrophotometrically. The spectral (absorption and fluorescence) characteristics of the compds have been investigated, showing that 45-85% of the compds. are bound. Max. stabilizing effect is achieved at 1 wt. % initial concn. of the stabilizer. A structure-photostability relationship has been sought.

## => d 142 fbib hitstr abs total

L42 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS

AN 2000:725471 CAPLUS

DN 133:281794

TI Preparation of aminopyrimidines as sorbitol dehydrogenase inhibitors

IN Chu-moyer, Margaret Yuhua; Murry, Jerry Anthony; Mylari, Banavara Lakshman; Zembrowski, William James

PA Pfizer Products Inc., USA

SO PCT Int. Appl., 328 pp. CODEN: PIXXD2

OF Detect

DT Patent

LA English

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE _ _ _ _ ----------PΙ WO 2000059510 Α1 20001012 WO 2000-IB296 AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

	RW:	DK,	ES,	FI,	FR,		GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	BE, SE,			
		00,	J_ /	J,	0.17	02.17	J.,	,		-	-	-		1999	0401		
NZ	5141	44		A		2001	0928										
									U:	5 19	99-1	2743	7PP	1999	0401		
BR	2000	0094	33	Α		2002	0115		Bl	20	00-9	433		2000	0316		
									U:	5 19	99-1	2743	7PP	1999	0401		
														2000			
EP	1185																,
	R:							FR,	GB,	GR,	ΙT,	LI,	LU,	NL,	SE,	MC,	PT,
		ΙE,	SI,	LT,	LV,	FI,	RO										
														1999			
TD	2002	C 4 7 7 .	0.0		_	2002	1000			-				2000			
JP	2002	5411	09	1.	2	2002	1203							2000			
														1999 2000			
EE	2001	0050	a,	Δ		2002	1216							2000			
	2001	0050	,	7.		2002	1210							1999			
														2000			
US	6414	149		В	1	2002	0702										
														1999			
NO	2001	0046	42	A		2001	1128		N	20	01-4	642		2001	0925		
									U:	3 19	99-1	2743	7PP	1999	0401		
									W	20	00-I	B296	W	2000	0316		
BG	1060	38		Α		2002	0628				-		-	2001			
														1999			
														2000			
US	2003	0651	79	A	1	2003	0403										
														1999			
147.1	. m.a.c.	122	2015	0.4					U.	3 20	00-5	3803	9 A3	2000	0329		
	RPAT :			<b>74</b>													

OS

## IT 300551-69-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of aminopyrimidines as sorbitol dehydrogenase inhibitors)

300551-69-3 CAPLUS RN

CN 2-Pyrimidinemethanol, 4-[5,6-dihydro-3-(6-quinoxalinyl)-1,2,4-triazolo[4,3a]pyrazin-7(8H)-yl]-.alpha.-methyl-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; R1 = CHO, COMe; COCH2Me, etc.; R2 = H, alkyl, alkoxy; R3 = II-IV, etc.; R23 = CONR25R26, SO2NR25R26 (wherein R25 = H, alkyl, arylalkylenyl; R26 = arylalkylenyl); R24 = H, alkyl, alkoxycarbonyl, etc.; R27 = H, alkyl; R28, R29 = H, OH, halo, etc.], sorbitol dehydrogenase inhibitors (no data) which are useful in treating or preventing diabetic complications, particularly diabetic neuropathy, diabetic nephropathy, diabetic microangiopathy, diabetic macroangiopathy and diabetic cardiomyopathy, were prepd. and formulated. E.q., a multi-step synthesis of the pyrimidine (R)-V, was given. This invention is also directed to pharmaceutical compns. comprising a combination of the compd. I with an aldose reductase inhibitor and to methods of treating or preventing diabetic complications therewith. This invention is also directed to pharmaceutical compns. comprising a combination of the compd. I with an NHE-1 inhibitor and to methods of treating cardiomyopathy and other heart-related problems therewith.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> s l4 and triazolopyrimidine L46 3 L4 AND TRIAZOLOPYRIMIDINE

=> d 144 fbib hitstr abs total

L44 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS

AN 2002:637684 CAPLUS

DN 137:185505

TI Preparation of bicyclic pyrimidine selective MMP-13 matrix metalloproteinase inhibitors with therapeutic uses

IN Dyer, Richard Dennis; Harter, William Glen; Hicks, James Lester; Johnson,
Adam Richard; Li, Jie Jack; Roark, William Howard; Shuler, Kevon Ray

PA Warner-Lambert Company, USA

SO PCT Int. Appl., 249 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE

PI WO 2002064599 A1 20020822 WO 2002-IB313 20020130

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,

Patel <5/18/2003>

GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG US 2001-268780PP 20010214

OS MARPAT 137:185505

IT 449799-34-2P, 6-Benzyl-8-methyl-5,7-dioxo-6,7-dihydro-5H-thiazolo[3,2-c]pyrimidine-2-carboxylic acid (2,1,3-benzothiadiazol-5-ylmethyl)amide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of bicyclic pyrimidine selective MMP-13 matrix metalloproteinase inhibitors with therapeutic uses)

RN 449799-34-2 CAPLUS

CN 5H-Thiazolo[3,2-c]pyrimidine-2-carboxamide, N-(2,1,3-benzothiadiazol-5-ylmethyl)-6,7-dihydro-8-methyl-5,7-dioxo-6-(phenylmethyl)- (9CI) (CA INDEX NAME)

GΙ

AB Selective MMP-13 inhibitors are bicyclic pyrimidines (shown as I; e.g. 6-benzyl-5,7-dioxo-6,7-dihydro-5H-thiazolo[3,2-c]pyrimidine-2-carboxylic acid benzyl ester) or a pharmaceutically acceptable salt thereof, wherein R1 is H or alkyl; R2, R3, and R4 include H, halo, alkyl, C.tplbond.C(CH2)m aryl; X is O, S, SO, SO2, CH2, C:O, CHOH, NH, or NR5; and Y = O or S. A compd. of the formula, or a pharmaceutically acceptable salt thereof, is useful for treating cancer or arthritis. IC50 values for various claimed compds. show the selectivity towards MMP-13 vs. other matrix metalloproteinases and the potent MMP-13 inhibitory activity (e.g. 0.0009 .mu.M for 8-methyl-5,7-dioxo-6-[4-(2H-tetrazol-5-yl)benzyl]-6,7-dihydro-5H-thiazolo[3,2-c]pyrimidine-2-carboxylic acid 4-fluorobenzylamide).

10077150.7

```
Page 169
     Although the methods of prepn. are not claimed, >100 example prepns. are
     included.
RE.CNT 7
               THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
               ALL CITATIONS AVAILABLE IN THE RE FORMAT
=> d 145 fbib hitstr abs total
     ANSWER 1 OF 2 CAPLUS COPYRIGHT 2003 ACS
AN
     2002:591551 CAPLUS
DN
     137:154938
ΤI
     Preparation of pyrazolo[4,3-d]pyrimidines as inhibitors of cGMP- and
     cAMP-phosphodiesterase (PDE V)
IN
     Eggenweiler, Hans-Michael; Eiermann, Volker; Schelling, Pierre
PΑ
     Merck Patent G.m.b.H., Germany
SO
     Ger. Offen., 38 pp.
     CODEN: GWXXBX
DT
     Patent
LA
     German
FAN.CNT 3
     PATENT NO. KIND DATE
                                             APPLICATION NO. DATE
                      ---- -<del>-</del>----
     DE 10104800
PΙ
                       A1 20020808
                                               DE 2001-10104800 20010202
     WO 2002062343
                        A2
                              20020815
                                               WO 2002-EP256 20020114
                      A3
     WO 2002062343
                              20021121
             AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
              PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
              CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
              BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                               DE 2001-10104800A 20010202
                                               DE 2001-10104801A 20010202
                                               DE 2001-10104802A 20010202
PATENT FAMILY INFORMATION:
     PATENT NO.
                       KIND DATE
                                              APPLICATION NO. DATE
     ----- ----
                                              -----
```

```
FAN 2002:591552
PΙ
       DE 10104801
                                 A1
                                           20020808
                                                                   DE 2001-10104801 20010202
       WO 2002062343
                                  A2
                                           20020815
                                                                   WO 2002-EP256
                                                                                              20020114
                                  A3
       WO 2002062343
                                           20021121
                    AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
                   AE, AG, AL, AM, AI, AU, AZ, BA, BB, BG, BR, BI, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
              RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
                    CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
                    BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                                                   DE 2001-10104800A 20010202
                                                                   DE 2001-10104801A 20010202
                                                                   DE 2001-10104802A 20010202
```

FAN 2002:591553

PATENT NO. KIND DATE APPLICATION NO. DATE

```
ΡI
      DE 10104802
                              A1
                                     20020808
                                                         DE 2001-10104802 20010202
      WO 2002062343
                              A2
                                     20020815
                                                         WO 2002-EP256
                                                                               20020114
      WO 2002062343
                              A3
                                     20021121
                AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
                 CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
                 GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
           LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BE, RI, CE, CG, CH, CM, GA, CN, CO, CW, MI, MB, NE, SN, TD, TC
                 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                                         DE 2001-10104800A 20010202
                                                         DE 2001-10104801A 20010202
                                                         DE 2001-10104802A 20010202
OS
      MARPAT 137:154938
IT
      195505-82-9, Emd-122801
      RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
       (Biological study); USES (Uses)
           (endothelin receptor antagonist; for pharmaceutical formylation contg.
          pyrazolopyrimidines as inhibitors of cGMP- and
          cAMP-phosphodiesterase (PDE V))
RN
      195505-82-9 CAPLUS
CN
      2,1,3-Benzothiadiazole-5-acetic acid, .alpha.-[2-(4-methoxyphenyl)-2-oxo-1-
      [(3,4,5-trimethoxyphenyl)methyl]ethylidene]-, sodium salt (9CI) (CA INDEX
      NAME)
```

Na

GΙ

$$R^{3}$$
 $R^{3}$ 
 $R^{3}$ 
 $R^{2}$ 
 $R^{2}$ 
 $R^{2}$ 

AB Pharmaceutical formylation contg. title compds. [I; R1, R2 = H, A, OA, OH, halo; or R1R2 = C3-5 alkylene, OCH2CH2, CH2OCH2, OCH2O, OCH2CH2O; R3, R4 = H, A; X = (CO2H-, CO2A-, CONH2-, CONHA-, CONA2-, cyano-substituted) (interrupted) alkylene, cycloalkyl, cycloalkylalkylene, Ph, PhMe; A = C1-6 alkyl] and/or salts, and/or solvates thereof, and .gtoreg.1 endothelin receptor antagonist, is claimed. Thus, Me 4-[7-chloro-1-methyl-3-propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]phenylcarboxylic acid ester was heated at 110.degree. with 3-chloro-4-methoxybenzylamine in N-methylpyrrolidone for 4 h to give ca. 54% Me 4-[7-(3-chloro-4-methoxybenzylamino)-1-methyl-3propyl-1H-pyrazolo[4,3-d]pyrimidin-5-yl]benzoate. I were said to show affinity for cGMP- and cAMP-phosphodiesterase (PDE V) (no data).

```
L45 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2003 ACS
```

AN 2001:208278 CAPLUS

DN 134:252353

TIPreparation of pyrazolopyrimidines as protein kinase inhibitor's

Ι

IN Hirst, Gavin C.; Calderwood, David; Wishart, Neil; Rafferty, Paul; Ritter, Kurt; Arnold, Lee D.; Friedman, Michael M.

PA BASF Aktiengesellschaft, Germany

SO PCT Int. Appl., 527 pp.

CODEN: PIXXD2

DTPatent

English LΑ

FAN.CNT 3

```
PATENT NO.
                           KIND DATE
                                                      APPLICATION NO. DATE
      ------
                            ____
      WO 2001019829
                            A2
                                                      WO 2000-US25468 20000915
PΤ
                                   20010322
      WO 2001019829
                            A3
                                   20010927
           W:
                AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
                CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
                HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
                LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
           SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, CN, CM, MI, MB, NE, CN, TD, TC
                CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                                      US 1999-154620PP 19990917
                                                      EP 2000-963554 20000915
      EP 1212327
                                   20020612
                            A2
           R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
                IE, SI, LT, LV, FI, RO, MK, CY, AL
                                                      US 1999-154620PP 19990917
                                                      WO 2000-US25468W 20000915
      BR 2000014073
                            Α
                                   20020716
                                                      BR 2000-14073
                                                                            20000915
                                                      US 1999-154620PP 19990917
                                                      WO 2000-US25468W 20000915
```

10077150.7 Page 172

```
JP 2003509428
                      T2
                           20030311
                                          JP 2001-523406
                                                           20000915
                                          US 1999-154620PP 19990917
                                          WO 2000-US25468W 20000915
                                          NO 2002-1328
    NO 2002001328
                      Α
                           20020521
                                                           20020318
                                          US 1999-154620PP 19990917
                                          WO 2000-US25468W 20000915
PATENT FAMILY INFORMATION:
FAN 2002:793426
    PATENT NO.
                     KIND DATE
                                          APPLICATION NO. DATE
     -----
                           _____
PΙ
    WO 2002080926
                     A1
                           20021017
                                         WO 2002-US9104 20020322
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
            CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
            GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
            LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
            PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
            UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
            TJ, TM
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
            CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
            BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                          US 2001-815310 A 20010322
    US 2002156081
                                          US 2001-815310 20010322
                      Α1
                           20021024
                                          US 1999-154620PP 19990917
                                          US 2000-663780 A220000915
FAN
    2002:814851
    PATENT NO.
                     KIND
                           DATE
                                          APPLICATION NO. DATE
                           ------
                                          -----
PΙ
    US 2002156081
                      A1
                           20021024
                                          US 2001-815310 20010322
                                          US 1999-154620PP 19990917
                                          US 2000-663780 A220000915
    WO 2002080926
                      A1
                           20021017
                                          WO 2002-US9104 20020322
            AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
            CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
            GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
            LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
            PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
            UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
            TJ, TM
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
            CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
            BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                          US 2001-815310 A 20010322
OS
    MARPAT 134:252353
IT
    330788-36-8P 330788-89-1P
    RL: BAC (Biological activity or effector, except adverse); BSU (Biological
    study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
    BIOL (Biological study); PREP (Preparation); USES (Uses)
        (prepn. of pyrazolopyrimidines as protein kinase inhibitors)
RN
    330788-36-8 CAPLUS
    2,1,3-Benzothiadiazole-4-sulfonamide, N-[4-[4-amino-1-[4-(4-methyl-1-
CN
    piperazinyl)cyclohexyl]-1H-pyrazolo[3,4-d]pyrimidin-3-yl]-2-fluorophenyl]-
    (9CI) (CA INDEX NAME)
```

Patel <5/18/2003>

RN 330788-89-1 CAPLUS

CN 2,1,3-Benzothiadiazole-4-sulfonamide, N-[[4-[4-amino-1-[cis-4-(4-methyl-1-piperazinyl)cyclohexyl]-1H-pyrazolo[3,4-d]pyrimidin-3-yl]phenylmethyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.

PAGE 1-A

PAGE 2-A

GΙ

The title compds. [I; G = substituted Ph; R2 = BE; B = (un)substituted cycloalkyl, azacycloalkyl, etc.; E = (un)substituted azacycloalkyl, azacycloalkylcarbonyl, etc.; R3 = H, OH, alkyl, alkoxy] which inhibit one or more protein kinase (such as FGFR, PDGFR, KDR, Tie-2, Lck, Fyn, Blk, Lyn, Src, and cdc2) activity, were prepd. and formulated. E.g., a multi-step synthesis of I [G = 4-phenoxyphenyl; R2 = 1-benzyl-4-piperidinyl; R3 = H] was described. Biol. data for compds. I were given.

## => d 146 fbib hitstr abs total

L46 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2003 ACS

AN 2002:539534 CAPLUS

DN 137:109285

TI Preparation of triazolo[4,5-d]pyrimidines as purinergic receptor antagonists

IN Gillespie, Roger John; Lerpiniere, Joanne; Gaur, Suneel; Bamford, Samantha Jayne; Stratton, Gemma Caroline; Leonardi, Stefania; Weiss, Scott Murray

PA Vernalis Research Limited, UK

SO PCT Int. Appl., 157 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT	NO.		KI	ND	DATE			A	PPLI	CATI	N NC	o. :	DATE			
									-		<b>-</b>						
ΡI	I WO 2002055083			A1 20020718				WO 2002-GB91			20020110						
	W:	ΑE,															
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
						ΙL,											
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,
		PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TN,	TR,	TT,	TZ,
		UA,	ŪG,	US,	UΖ,	VN,	YU,	ZA,	ZM,	ZW,	AM,	AZ,	BY,	KG,	KZ,	MD,	RU,
		ТJ,															
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AT,	BE,	CH,
		CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	·IE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,

Patel

<5/18/2003>

BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
GB 2001-624 A 20010110

OS MARPAT 137:109285

IT 442908-24-9P 442908-43-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of triazolo[4,5-d]pyrimidines as purinergic receptor antagonists)

RN 442908-24-9 CAPLUS

CN 3H-1,2,3-Triazolo[4,5-d]pyrimidin-5-amine, 3-(2,1,3-benzoxadiazol-5-ylmethyl)-7-(2-furanyl)- (9CI) (CA INDEX NAME)

RN 442908-43-2 CAPLUS

CN 3H-1,2,3-Triazolo[4,5-d]pyrimidin-5-amine, 3-(2,1,3-benzothiadiazol-4-ylmethyl)-7-(2-furanyl)- (9CI) (CA INDEX NAME)

GI

$$N$$
 $N$ 
 $N$ 
 $R^2$ 
 $R^3$ 
 $R^3$ 
 $R^3$ 

The title compds. [I; R1 = H, alkyl, aryl, etc.; R2 = aryl attached via an unsatd. carbon; R3 = H, alkyl, COR5, CO2R7, CONR5R6, CONR4NR5R6, SO2R7; R4-R6 = H, alkyl, aryl; or NR5R6 = heterocyclyl; or where R4-R6 are in a CONR4NR5R6 group, R4 and R5 may be linked to form a heterocyclic group; R7 = alkyl, aryl], useful in the treatment or prevention of a disorder in which the blocking of purine receptors, particularly adenosine receptors and more particularly A2A receptors, may be beneficial, particularly wherein said disorder is a movement disorder such as Parkinson's disease or depression, cognitive or memory impairment, acute or chronic pain, ADHD or narcolepsy, or for neuroprotection, were prepd. Thus, reacting 7-(2-furyl)-1H-[1,2,3]triazolo[4,5-d]pyrimidine-5-amine (prepn. given) with 2-fluorobenzyl bromide in the presence of NaH in DMF afforded 22% I [R1 = NH2; R2 = 2-furyl; R3 = 2-FC6H4CH2] which showed Ki of 3 nM against A2A receptor binding.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
L46 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2003 ACS
```

AN 2000:210169 CAPLUS

DN 132:251158

TI Preparation of [1,2,4]triazolo[1,5-c]pyrimidine derivatives as adenosine A2A receptor antagonists

IN Shimada, Junichi; Imma, Hironori; Osakada, Naoto; Shiozaki, Shizuo; Kanda, Tomoyuki; Kuwana, Yoshihisa

PA Kyowa Hakko Kogyo Co., Ltd., Japan

SO PCT Int. Appl., 64 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND DATE	APPLICATION NO.	DATE				
ΡI		A1 20000330						
	RO, SG,	BR, CA, CN, CZ, HU, SI, SK, UA, US, VN,	ZA, AM, AZ, BY, KG,	KZ, MD, RU, TJ, TM				
	RW: AT, BE, PT, SE	CH, CY, DE, DK, ES,	FI, FR, GB, GR, IE,	IT, LU, MC, NL,				
	CA 2344828	AA 20000330	JP 1998-267178 A CA 1999-2344828					
			JP 1998-267178 A	19980922				
	AU 9957579	A1 20000410	WO 1999-JP5176 W AU 1999-57579 JP 1998-267178 A	19990922				
			WO 1999-JP5176 W					
			EP 1999-944771					
	R: AT, BE, IE, SI,	CH, DE, DK, ES, FR, FI, RO	GB, GR, IT, LI, LU,	NL, SE, MC, PT,				

JP 1998-267178 A 19980922

BR 9914040	A	20020115	WO 1999-JP5176 W 19990922 BR 1999-14040 19990922 JP 1998-267178 A 19980922
NO 2001001417	A	20010521	WO 1999-JP5176 W 19990922 NO 2001-1417 20010320
			JP 1998-267178 A 19980922 WO 1999-JP5176 W 19990922
US 6545000	B1	20030408	US 2001-787779 20010322 JP 1998-267178 A 19980922 WO 1999-JP5176 W 19990922

Page 177

OS MARPAT 132:251158

IT 262452-17-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of triazolopyrimidines as receptors inhibitors)

RN 262452-17-5 CAPLUS

CN [1,2,4]Triazolo[1,5-c]pyrimidin-5-amine, 7-[4-(2,1,3-benzothiadiazol-5-ylmethyl)-1-piperazinyl]-2-(2-furanyl)- (9CI) (CA INDEX NAME)

GΙ

$$R^{5}$$
  $R^{4}$   $(CH_{2})_{m}$   $R^{6}$   $R^{2}$   $R^{2}$ 

AB Title compds. [I; wherein R1 represents heteroaryl, etc.; R2 represents hydrogen, etc.; n and m represent each an integer of 0 to 4; Q represents hydrogen, etc.; R6 represents hydrogen, etc.; R3 represents hydroxy, hydroxy(lower alkyl), lower alkoxy, imidazo[1,2-a]pyridyl, etc.; and R4 and R5 represent each lower alkyl or aryl, or R4 and R5 form together with the adjacent carbon atom a satd. carbon ring when R3 is any of OH, alkylhydroxy, alkoxy; or R4 and R5 represent each hydrogen, lower alkyl or aryl, or R4 and R5 form together with the adjacent carbon atom a satd. carbon ring when R3 is imidazo[1,2-1]pyridyl] and pharmacol. acceptable salts thereof are prepd. and tested as adenosine A2A receptor antagonists. The title compd. II was prepd.

Ι

10077150.7 Page 178

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L46 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2003 ACS

AN 1995:767627 CAPLUS

DN 124:21803

TI Method and agents for preventing tissue injury from hypoxia

IN Bursten, Stuart L.; Singer, Jack W.; Rice, Glenn C.

PA Ce;; Therapeutics, Inc., USA

SO PCT Int. Appl., 56 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

		_						
	PAT	FENT NO.	KIND	DATE		APPLICATION NO.	DATE	
PI	WO	9513075 W: AU, CA,		19950518		WO 1994-US12821	19941114	
				, DK, ES,	FR,	GB, GR, IE, IT, LU,		SE
	ΑIJ	9510907	Α1	19950529		US 1993-152117 AU 1995-10907		
		,310,00	***	19930329		US 1993-152117 WO 1994-US12821	19931112	
	ΕP	728003	A1	19960828		EP 1995-901808		
		R: AT, BE,	CH, DE	, DK, ES,	FR,	GB, GR, IE, IT, LI, US 1993-152117 WO 1994-US12821	• • •	PT, SE
	US	5856331	A	19990105		US 1997-948747 US 1993-152117	19971010	
						US 1994-353756		

OS MARPAT 124:21803

IT 167427-02-3D, aminoalkyl derivs.

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(method and agents for preventing tissue injury from hypoxia)

RN 167427-02-3 CAPLUS

CN Quinoxaline, tetrahydro- (9CI) (CA INDEX NAME)

CM 1

CRN 91-19-0 CMF C8 H6 N2

GΙ

AB Tissue injury, caused by tissue hypoxia and reoxygenation, is prevented by administering a xanthine deriv. I [R1 = (.omega.-1) secondary alc.-substituted C5-12 alkyl enantiomer; R2, R3 = C1-12 alkyl or (di)oxaalkyl] or a (heterocyclylalkyl)amine that inhibits signal transduction by inhibiting cellular accumulation of linoleoyl phosphatidic acid through inhibition of lysophosphatidic acyltransferase. Diseases that can be treated with these compds. include shock, sequelae of myocardial infarction and stroke, altitude sickness, acidosis, hypoxia-mediated neurodegenerative diseases, and disorders related to transplantation and transplant rejection. Thus, in mice with exptl. hemorrhage, treatment with lisophylline (100 mg/kg i.v. after 1 h, then 100 mg/kg i.p. 8 times at 8-h intervals) largely normalized signs of hemorrhagic shock (neutrophil infiltration, interstitial edema, elevated plasma levels of interferon-gamma. and tumor necrosis factor .alpha., elevated mRNA levels for interleukins 1.beta. and 6 in pulmonary mononuclear cells, etc.).

=> d cost		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
CONNECT CHARGES	24.14	25.31
NETWORK CHARGES	4.26	4.50
SEARCH CHARGES	114.80	262.55
DISPLAY CHARGES	413.16	413.16
	556.36	705.52
CAPLUS FEE (5%)	27.61	27.61
FULL ESTIMATED COST	583.97	733.13
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-51.43	-51.43

IN FILE 'CAPLUS' AT 16:49:22 ON 18 MAY 2003